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=> fil reg

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STRUCTURE FILE UPDATES: 1 DEC 2004 HIGHEST RN 791553-15-6
DICTIONARY FILE UPDATES: 1 DEC 2004 HIGHEST RN 791553-15-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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=> fil hcap

FILE 'HCAPLUS' ENTERED AT 14:48:26 ON 03 DEC 2004
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FILE COVERS 1907 - 3 Dec 2004 VOL 141 ISS 23
FILE LAST UPDATED: 1 Dec 2004 (20041201/ED)

This file contains CAS Registry Numbers for easy and accurate
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=> fil wpix

FILE 'WPIX' ENTERED AT 14:48:28 ON 03 DEC 2004
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FILE LAST UPDATED: 25 NOV 2004 <20041125/UP>
MOST RECENT DERWENT UPDATE: 200476 <200476/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
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=> file stnguide

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Nov 26, 2004 (20041126/UP).

=> d que 145

L34 8291 SEA FILE=WPIX ABB=ON PLU=ON (?GLYCOSID? OR ?GLYCO SID? OR
GLY CO SID? OR GLY COSID?)/BIX
L35 67414 SEA FILE=WPIX ABB=ON PLU=ON (C07H?/IPC) OR (B07-A02B OR
C07-A02B OR B07-A02)/MC
L39 2303 SEA FILE=WPIX ABB=ON PLU=ON (?PYRANOSID? OR ?PYRAN O SID? OR
PY RAN OSID? OR ?PYRAN OSID?)/BIX
L42 95020 SEA FILE=WPIX ABB=ON PLU=ON (?LITHI? OR LI OR (ME(1W)LI) OR
(BU(1W)LI))/BIX
L44 15 SEA FILE=WPIX ABB=ON PLU=ON (L34 OR L39) (15A) L42
L45 8 SEA FILE=WPIX ABB=ON PLU=ON L44 AND L35

=> dup rem 120 145

FILE 'HCAPLUS' ENTERED AT 14:49:30 ON 03 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE 'WPIX' ENTERED AT 14:49:30 ON 03 DEC 2004
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PROCESSING COMPLETED FOR L20
PROCESSING COMPLETED FOR L45
L46 21 DUP REM L20 L45 (0 DUPLICATES REMOVED)
ANSWERS '1-13' FROM FILE HCAPLUS
ANSWERS '14-21' FROM FILE WPIX

=> d iall abeq tech abex 14-21

L46 ANSWER 14 OF 21 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-661986 [64] WPIX
DOC. NO. CPI: C2004-236412
TITLE: Preparation of **glycosides**, used as e.g.
pharmaceutically active compounds, using a non-cryogenic
process comprises **lithiating** an aromatic
reactant and coupling thus obtained lithiated anion
species with a carbonyl substituted reactant.
DERWENT CLASS: B03
INVENTOR(S): GUO, Z; KIANG, S; SHEN, L
PATENT ASSIGNEE(S): (BRIM) BRISTOL-MYERS SQUIBB CO
COUNTRY COUNT: 108
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
WO 2004076470	A2	20040910	(200464)*	EN	31	C07H000-00	<--
RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE							
LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW							
W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE							
DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG							
KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ							
OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG							
US UZ VC VN YU ZA ZM ZW							

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2004076470	A2	WO 2004-US6210	20040227

PRIORITY APPLN. INFO: US 2003-451210P 20030227

INT. PATENT CLASSIF.:

MAIN: C07H000-00

BASIC ABSTRACT:

WO2004076470 A UPAB: 20041006

NOVELTY - Preparation of **glycosides** (A) using a non-cryogenic
process comprises **lithiating** an aromatic reactant (having a
leaving group) using a lithium reagent in a first microreactor under
non-cryogenic conditions to form a lithiated anion species (A1) and
coupling (A1) with a carbonyl substituted reactant to form (A).

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(1) a glycoside (A) formed by the method; and

(2) a method of making (A).

USE - The method is useful to prepare glycosides (claimed) that are
useful as intermediates in variety of chemical processes and as
pharmaceutically active compounds.

ADVANTAGE - The method does not require costly cryogenic reaction
vessels, transfer lines or pre-cooling of starting materials, which

reduces risk of formation of undesirable side products.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B07-A02B; B07-H
TECH UPTX: 20041006

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation (claimed): Preparation of (A), which is a benzene derivative of formula (I) comprises reaction of an aromatic reactant (a benzene derivative of formula (II)) in a first microreactor with an organo lithium reagent to form a lithiated anion species (a benzene derivative of formula (III)) and coupling (III) with a carbonyl substituted compound of formula (IV)).

R1 = H, NO₂, OR₄, halo, alkyl (optionally substituted), aryl or heterocycle;

R2 = alkyl (optionally substituted);

R4 = alkyl (optionally substituted) or aryl;

X1 = a heteroatom; and

PG, X2 = a protective group.

Preferred Process: The lithiating step is performed at about -10 degrees C to 20 degrees C (preferably -10 degrees C to 5 degrees C). The aromatic reactant is halide and the lithium reagent is n-butyl lithium or t-butyl lithium. The yield of (A) is greater than about 80% (preferably greater than 70%). The coupling step is performed at less than about -80 degrees C (preferably -10 degrees C) or at -20 degrees C to 20 degrees C under cryogenic or non-cryogenic conditions. The residence time in the second microreactor is about 2-3 seconds. The method further comprises deprotection of (A). The lithiating step is conducted in a solvent such as tetrahydrofuran (THF)/toluene or THF/heptane.

ABEX UPTX: 20041006

EXAMPLE - A solution of a 3-bromobenzyl-4-ethyl benzene in THF/toluene solvent was lithiated with n-butyl lithium in a microreactor at -10 degrees C to give 3-lithium benzyl-4-ethyl benzene. The 3-lithium benzyl-4-ethyl benzene was reacted with 3,4,5-tri trimethylsilyl-6-trimethylsilyl methoxy pyran-2-one under cryogenic conditions and tetrahydrofuran/toluene solvent at -78 degrees C to give 2-(3-(4-Ethyl-benzyl)-phenyl)-6-hydroxymethyl-2-methoxy-tetrahydro-pyran-3,4,5-triol (80-85%).

L46 ANSWER 15 OF 21 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 2001-293344 [31] WPIX

DOC. NO. CPI: C2001-089997

TITLE: Use of combination of cardiac glycoside and lithium salt in treatment of viral infections, especially of eye, e.g. herpes simplex infection of cornea and cytomegalovirus retinitis.

DERWENT CLASS: B05

INVENTOR(S): HARTLEY, C; PARDO, I

PATENT ASSIGNEE(S): (HEND-N) HENDERSON MORLEY LTD; (HEND-N) HENDERSON MORLEY RES & DEV LTD

COUNTRY COUNT: 1

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
GB 2355192	A	20010418	(200131)*		12	A61K031-704	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
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GB 2355192

A

GB 1999-24389

19991015

PRIORITY APPLN. INFO: GB 1999-24389 19991015

INT. PATENT CLASSIF.:

MAIN: A61K031-704

ADDITIONAL: A61K033-00

INDEX: A61P031-22

BASIC ABSTRACT:

GB 2355192 A UPAB: 20010620

NOVELTY - A cardiac **glycoside** (I) and a **lithium** salt (II) are used in the treatment of viral infections.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a composition useful for treating viral infections, comprising (I), (II) and a carrier.

ACTIVITY - Antiviral. Vero cells infected with herpes simplex type 2 (HSV2) strain 3345 were incubated in Glasgow's modified medium supplemented with 10% fetal bovine serum, 20 mM lithium and 25 micro g/ml ouabain. HSV2 cytopathic effect was inhibited at low, medium and high multiplicities of infection.

MECHANISM OF ACTION - Viral replication inhibitor.

USE - Combinations of (I) and (II) are especially useful for treating viral infections of the eye, e.g. herpes simplex infection of the cornea and cytomegalovirus retinitis.

ADVANTAGE - Combinations of (I) and (II) have synergistically enhanced antiviral activity.

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: B01-C09; B01-D02; B05-A01B; B07-A02B;
B14-A02

TECH UPTX: 20010620

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Composition: The composition is formulated for topical or systemic administration.

ABEX UPTX: 20010620

SPECIFIC COMPOUNDS - Disclosed cardiac **glycosides** are digoxin, digitoxin, medigoxin, lanatoside C, proscillaridin, k strophanthidin, peruvoside and ouabain. Disclosed **lithium** salts are lithium chloride, carbonate and sulfate.

ADMINISTRATION - (I) and (II) can be administered topically or systemically, e.g. in the form of impregnated contact lenses or intraocular depots.

L46 ANSWER 16 OF 21 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 1996-251710 [25] WPIX

DOC. NO. CPI: C1996-079680

TITLE: New polyanionic benzyl glycoside(s) tri acid amide(s) - are smooth-muscle cell proliferation inhibitors, useful for treating e.g. hypertension, congestive heart failure etc..

DERWENT CLASS: B03

INVENTOR(S): NOVAK, S T A; SOLL, R M; NOVAK, S T

PATENT ASSIGNEE(S): (AMHP) AMERICAN HOME PROD CORP

COUNTRY COUNT: 71

PATENT INFORMATION:

PATENT NO	KIND DATE	WEEK	LA	PG MAIN IPC
WO 9614324	A1 19960517	(199625)*	EN	42 C07H015-203<--

RW: AT BE CH DE DK ES FR GB GR IE IT KE LS LU MC MW NL OA PT SD SE SZ
 UG
 W: AL AM AU BB BG BR BY CA CN CZ EE FI GE HU IS JP KG KP KR KZ LK LR
 LS LT LV MD MG MK MN MX NO NZ PL RO RU SG SI SK TJ TM TT UA UZ VN
 AU 9641081 A 19960531 (199639) C07H015-203<--
 US 5565432 A 19961015 (199647) 15 A61K031-70
 FI 9701936 A 19970506 (199731) C07H000-00<--
 EP 791005 A1 19970827 (199739) EN C07H015-203<--
 R: AT BE CH DE DK ES FR GB GR IE IT LI LT LU LV NL PT SE SI
 ZA 9509436 A 19971029 (199749) 40 A61K000-00
 BR 9509608 A 19971028 (199750) C07H015-203<--
 MX 9703286 A1 19970801 (199829) C07H015-203<--
 HU 77756 T 19980728 (199842) C07H015-203<--
 JP 10508607 W 19980825 (199844) 49 C07H015-18<--
 KR 97707140 A 19971201 (199847) C07H015-203<--
 AU 699670 B 19981210 (199910) C07H015-203<--
 NZ 296459 A 19990128 (199910) C07H015-203<--
 EP 791005 B1 19990929 (199945) EN C07H015-203<--
 R: AT BE CH DE DK ES FR GB GR IE IT LI LT LU LV NL PT SE SI
 DE 69512528 E 19991104 (199953) C07H015-203<--
 ES 2136888 T3 19991201 (200005) C07H015-203<--
 IL 115747 A 19991231 (200018) C07H015-203<--
 TW 403758 A 20000901 (200112) A61K031-715

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9614324	A1	WO 1995-US14737	19951103
AU 9641081	A	WO 1995-US14737	19951103
		AU 1996-41081	19951103
US 5565432	A	US 1994-335010	19941107
FI 9701936	A	WO 1995-US14737	19951103
		FI 1997-1936	19970506
EP 791005	A1	EP 1995-939137	19951103
		WO 1995-US14737	19951103
ZA 9509436	A	ZA 1995-9436	19951107
BR 9509608	A	BR 1995-9608	19951103
		WO 1995-US14737	19951103
MX 9703286	A1	MX 1997-3286	19970506
HU 77756	T	WO 1995-US14737	19951103
		HU 1998-942	19951103
JP 10508607	W	WO 1995-US14737	19951103
		JP 1996-515532	19951103
KR 97707140	A	WO 1995-US14737	19951103
		KR 1997-703022	19970507
AU 699670	B	AU 1996-41081	19951103
NZ 296459	A	NZ 1995-296459	19951103
		WO 1995-US14737	19951103
EP 791005	B1	EP 1995-939137	19951103
		WO 1995-US14737	19951103
DE 69512528	E	DE 1995-612528	19951103
		EP 1995-939137	19951103
		WO 1995-US14737	19951103
ES 2136888	T3	EP 1995-939137	19951103
IL 115747	A	IL 1995-115747	19951024
TW 403758	A	TW 1995-112132	19951116

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 9641081	A Based on	WO 9614324
EP 791005	A1 Based on	WO 9614324
BR 9509608	A Based on	WO 9614324
HU 77756	T Based on	WO 9614324
JP 10508607	W Based on	WO 9614324
KR 97707140	A Based on	WO 9614324
AU 699670	B Previous Publ. Based on	AU 9641081 WO 9614324
NZ 296459	A Based on	WO 9614324
EP 791005	B1 Based on	WO 9614324
DE 69512528	E Based on Based on	EP 791005 WO 9614324
ES 2136888	T3 Based on	EP 791005

PRIORITY APPLN. INFO: US 1994-335010 19941107

REFERENCE PATENTS: 01Jnl.Ref; EP 356275; EP 454220; US 4431637; WO 9006755

INT. PATENT CLASSIF.:

MAIN: A61K000-00; A61K031-70; A61K031-715; C07H000-00
; C07H015-18; C07H015-203

SECONDARY: A61K031-705; C07H015-00

BASIC ABSTRACT:

WO 9614324 A UPAB: 19960625

Smooth-muscle cell proliferation inhibitors of formula (I) and their salts are new. In (I), Q = a gp. of formula (i); R1-R4 are H, SO3M or a gp. of formula (ii); each oligosaccharide gp contains 1-3 sugar gps.; M is Li, Na, K or ammonium; n is 1-2; X is halo, 1-6C alkyl or 1-6C alkoxy; and Y is carbonyl or sulphonyl.

USE - (I) are used to treat conditions characterised by excessive smooth muscle cell proliferation (claimed). (I) are used to treat restenosis, hypertension, asthma, congestive heart failure and proliferation arising from vascular reconstructive surgery and transplantation e.g. balloon angioplasty, vascular graft surgery, coronary artery by-pass surgery and heart transplantation. Admin. is systemic, oral, transmembranal, transdermal or topical. Admin. by continuous release is suitable. Systemic dosing by i.v. injection is 0.1-10 mg/kg/hr. over 5-30 days.

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; GI; DCN

MANUAL CODES: CPI: B04-C02X; B07-A02B; B14-F01B; B14-F02B;
B14-F02D; B14-J05; B14-K01A

ABEQ US 5565432 A UPAB: 19961124

A compound of Formula (I) wherein n is 1 or 2; each of R1, R2, R3, and R4 are, independently, H, SO3M, or a glycoside having the structure (i); and each monosaccharide or oligosaccharide group having the structure (ii), contg. 1 to 3 glycoside groups; M is lithium, sodium, potassium, or ammonium; X is a halogen, lower alkyl having 1 to 6 carbon atoms, or lower alkoxy having 1 to 6 carbon atoms; and Y is carbonyl or sulphonyl; or a pharmaceutically acceptable salt.

Dwg.0/0

L46 ANSWER 17 OF 21 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 1992-070312 [09] WPIX

DOC. NO. NON-CPI: N1992-052597

DOC. NO. CPI: C1992-032548

TITLE: Determining endotoxin in blood sample - by treating blood with surfactant e.g. oxyethylene ether and determining with limulus amoebocyte lysate.

DERWENT CLASS: A96 B04 B05 S03
 PATENT ASSIGNEE(S): (SE GK) SEIKAGAKU KOGYO CO LTD
 COUNTRY COUNT: 1
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
JP 04016765	A	19920121	(199209)*		23		
JP 2897064	B2	19990531	(199927)		7	G01N033-579	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 04016765	A	JP 1990-120580	19900510
JP 2897064	B2	JP 1990-120580	19900510

FILING DETAILS:

PATENT NO	KIND	PATENT NO
JP 2897064	B2 Previous Publ.	JP 04016765

PRIORITY APPLN. INFO: JP 1990-120580 19900510

INT. PATENT CLASSIF.: G01N033-57

MAIN: G01N033-579

SECONDARY: G01N033-57

BASIC ABSTRACT:

JP 04016765 A UPAB: 19931006

Process comprises determining a sample liquid, obtd. by treating total blood with surfactant selected from polyoxyethylene ethers, polyoxyethylene sorbitans, **n-alkylglucopyranosides**, sodium dodecyl sulphate or **lithium** dodecyl sulphate of formula (I) (n = 8-40) or (II) and nitric acid by using limulus amoebocyte lysate component.

More specifically in treating total blood with the surfactant and nitric acid, the surfactant is pref. used in a range of 0.08-0.33 W/V % based on total blood and nitric acid is used in a range of 0.28-0.83 M./l. based on total blood. The treatment is carried out for 3-30 min., pref. 5-30 min. Polyoxyethylene ethers are e.g. polyethylene glycol mono-p-iso-octyl phenyl ether or polyethylene glycol mono-p-tert-octyl-phenoxy-polyethoxy-ethanol. n-Alkylglucopyranosides are e.g. n(octyl-, nonyl-dodecyl-, decyl- or heptyl-)-(alpha or beta)-D-glucopyranoside. Polyoxyethylene-sorbitans are e.g. monolaurate, monopalmitate, monostearate or trioleate of polyoxy-ethylene-sorbitan. Endotoxin receptor present on the surface of erythrocyte, platelet, leucocyte or B-cell in total blood seems to be effectively liberated by the treatment with the surfactant and nitric acid. The sample liquid is adjusted to pH 5-9 and then determined by limulus amoebocyte lysate.

USE/ADVANTAGE - The invention relates to a method of determining endotoxin with high accuracy. According to the method, endotoxin in total blood can be effectively determined with high detection rate.

0/0

FILE SEGMENT: CPI EPI

FIELD AVAILABILITY: AB; GI; DCN

MANUAL CODES: CPI: A10-E08A; A12-V03C2; B04-B04A6; B04-B04D5; B04-C03C;
 B05-C02; **B07-A02**; B10-A09B; B11-C08;
 B12-K04A
 EPI: S03-E14H1

L46 ANSWER 18 OF 21 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 1984-232343 [38] WPIX
 DOC. NO. CPI: C1984-098050
 TITLE: 1-Thio-D-xylo pyranoside derivs. - useful for treating
 cancer and vascular disease.
 DERWENT CLASS: B03
 INVENTOR(S): KAMOHARA, S; OKAYAMA, M; SAKURAI, K; SUZUKI, S; UENO, Y
 PATENT ASSIGNEE(S): (SEGK) SEIKAGAKU KOGYO CO LTD
 COUNTRY COUNT: 3
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
EP 118676	A	19840919	(198438)*	EN	60		
R: DE FR GB							
EP 118676	B	19870923	(198738)	EN			
R: DE FR GB							

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
EP 118676	A	EP 1981-100499	19811207
EP 118676	B	EP 1984-100499	19830310

PRIORITY APPLN. INFO: JP 1980-172625 19801209; JP
 1981-65226 19810501; JP
 1981-65227 19810501; JP
 1981-144001 19810914; JP
 1981-175772 19811104

REFERENCE PATENTS: 1.Jnl.Ref; GB 2022411

INT. PATENT CLASSIF.: A61K031-70; C07H015-14

BASIC ABSTRACT:

EP 118676 A UPAB: 19930925

D-Xylopyranosides of formula (I) are new. R1=S-Ar-COOY or SR2;
 Y=H, Li, Na, K, 1/2Mg, 1/2Ca or 1/3Al; Ar=p-phenylene; R2 =9-25C
 n-alkyl, 4-25C branched alkyl, 3-25C alkenyl or 3-25C alkynyl.

USE/ADVANTAGE - (I) initiate biosynthesis of chondroitin sulphate and
 are expected to be useful in cancer therapy (by stripping cell-surface
 proteoglycan from cancer cells) in the treatment of vascular sclerosis,
 thrombosis, etc. They have better hydrolytic stability in vivo than known
 D-xylopyranosides.

0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB

MANUAL CODES: CPI: B07-A02; B12-E01; B12-G07; B12-H02;
 B12-H03

ABEQ EP 118676 B UPAB: 19930925

D-Xylopyranosides of formula (I) are new. R1=S-Ar-COOY or SR2;
 Y=H, Li, Na, K, 1/2Mg, 1/2Ca or 1/3Al; Ar=p-phenylene; R2 =9-25C
 n-alkyl, 4-25C branched alkyl, 3-25C alkenyl or 3-25C alkynyl.

USE/ADVANTAGE - (I) initiate biosynthesis of chondroitin sulphate and
 are expected to be useful in cancer therapy (by stripping cell-surface
 proteoglycan from cancer cells) in the treatment of vascular sclerosis,
 thrombosis, etc. They have better hydrolytic stability in vivo than known
 D-xylopyranosides.

0/0

L46 ANSWER 19 OF 21 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN
 ACCESSION NUMBER: 1984-220635 [36] WPIX

DOC. NO. CPI: C1984-092860
TITLE: P-Carboxy-phenyl-beta-D-xylo-pyranoside and salts -
useful for treating cancer, diseases involving lipid
deposition in blood vessels etc..
DERWENT CLASS: B03
INVENTOR(S): KAMOHARA, S; NOYORI, R; OKAYAMA, M; SAKURAI, K; SUZUKI,
S; UENO, Y
PATENT ASSIGNEE(S): (SEGK) SEIKAGAKU KOGYO CO LTD
COUNTRY COUNT: 3
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
EP 117413	A	19840905	(198436)*	EN	57		
	R:	DE	FR	GB			
EP 117413	B	19870826	(198734)	EN			
	R:	DE	FR	GB			

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
EP 117413	A	EP 1981-100498	19811207

PRIORITY APPLN. INFO: JP 1980-172625 19801209; JP
1981-65226 19810501; JP
1981-65227 19810501; JP
1981-144001 19810914; JP
1981-175772 19811104

REFERENCE PATENTS: GB 2022411
INT. PATENT CLASSIF.: A61K031-70; C07H015-20
BASIC ABSTRACT:

EP 117413 A UPAB: 19930925
D-Xylopyranoside series cpds. of formula (I), X = O Y = H,
Li, Na, K, Mg, Ca or Al; p = valency of Y.
USE - (I) change the nature and quantity of the glycoconjugate on the
surface of cell membranes and so are expected to inhibit cancer. (I) have
low toxicity and are suitable for long-term admin., and they do not have
teratogenicity or cause allergic reactions, and so have high safety. They
initiate the biosynthesis of chondroitin sulphate and so they prevent and
treat diseases caused by lipid deposition on the walls of blood vessels
and vascular sclerosis. (I) are less susceptible to hydrolysis by acids
and enzymes than most O-beta-D-xylopyranoside derivs.

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB
MANUAL CODES: CPI: B07-A02; B12-G07; B12-H03

L46 ANSWER 20 OF 21 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN
ACCESSION NUMBER: 1980-67677C [38] WPIX
TITLE: O-De methylation of amino-glycoside antibiotics
- by reaction with lithium in ethylene di
amine.
DERWENT CLASS: B03 C02 D22 E13
INVENTOR(S): KLOSS, J; NADZAN, A M
PATENT ASSIGNEE(S): (ABBO) ABBOTT LAB
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
-----------	------	------	------	----	----	------	-----

US 4220756 A 19800902 (198038)*

PRIORITY APPLN. INFO: US 1979-25239 19790329

INT. PATENT CLASSIF.: A61K071-31; C07H015-22

BASIC ABSTRACT:

US 4220756 A UPAB: 19930902

A process is claimed for O-demethylating an aminoglycoside antibiotic (I) which does not contain an acyl gp. which could be cleaved during demethylation.

The process comprises (a) reacting Li with ethylenediamine (II) in an inert atmos. at 8-111 degrees C until a deep blue colour appears, (b) adding (I) to the reaction mixture and reacting until the blue colour disappears, and (c) recovering the demethylated antibiotic (III) from the reaction mixture

The process is especially useful for preparing 3-O-demethylfortimicin B (IIIa) and its 4-n-alkyl derivs. The process gives higher yields (e.g. >50%) than similar processes using Li in ethylamine (cf. US 4124756).

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB

MANUAL CODES: CPI: B02-F; C02-F; D09-A01C; E02

L46 ANSWER 21 OF 21 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 1979-58945B [32] WPIX

TITLE: 2-Deoxy-3-C-methyl-alpha-D-arabino-hexopyranoside preparation
- useful as plant growth regulators, fungicides,
pharmaceuticals or intermediates.

DERWENT CLASS: B03 C02

PATENT ASSIGNEE(S): (TSUB) KUMIAI CHEM IND CO LTD

COUNTRY COUNT: 1

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
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JP 54081272	A	19790628	(197932)*				
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JP 63012074	B	19880317	(198815)				
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PRIORITY APPLN. INFO: JP 1977-147860 19771209

INT. PATENT CLASSIF.: C07H007-02; C07H009-04;

C07H015-04

BASIC ABSTRACT:

JP 54081272 A UPAB: 19930901

Production of 2-deoxy-3-C-methyl-alpha-D-arabino-hexopyranoside derivative (I) comprises reacting a 2-deoxy-alpha-D-**erythrohexopyranoside** -3-urose derivative (II) with methyltriphenyl bromide and butyl **lithium**, treating the resultant methylene cpd. (III) with a peracid and reducing the resultant epoxide cpd. (IV).

(I) are useful as plant growth regulators, fungicides, pharmaceuticals or intermediates. For example, it is useful as a synthetic intermediate for D-evermicoside which is a constituent found in various antibiotics. The process gives (I) in a good yield.

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB

MANUAL CODES: CPI: B07-A02; B10-A07; B12-A02; B12-P01;
C07-A02; C12-A02; C12-P01

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=> fil hcaplus

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FILE LAST UPDATED: 2 DEC 2004 (20041202/UP). FILE COVERS 1950 TO DATE.

On February 29, 2004, the 2004 MeSH terms were loaded. See HELP RLOAD for details.

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2004 vocabulary. See <http://www.nlm.nih.gov/mesh/> and http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a description of changes.

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MOST RECENT DERWENT UPDATE: 200476 <200476/DW>

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LAST RELOADED: Nov 26, 2004 (20041126/UP).

=> d que 18

L1	1967	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	SHEN, L?/AU
L2	41	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	KIANG, S?/AU
L3	4296	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	GUO, Z?/AU
L4	6295	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	(L1 OR L2 OR L3)
L5	47013	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	(?BRISTOL? OR ?MYER? OR ?SQUIBB?)/SO,CS,PA
L6	37	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L4 AND L5
L7	100654	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	?GLYCOSID?
L8	2	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L6 AND L7

=>

(FILE 'MEDLINE, BIOSIS, CABA, PASCAL, JICST-EPLUS, CONFSCI, EMBASE, WPIX'
ENTERED AT 14:52:27 ON 03 DEC 2004)

=> d que 157

L47	3466	SEA	SHEN, L?/AU
L48	67	SEA	KIANG, S?/AU
L49	5720	SEA	GUO, Z?/AU
L50	337077	SEA	?GLYCOSID? OR ?PYRANOSID?
L51	157003	SEA	(BRISTOL? OR MYER? OR SQUIBB?)/PA,CS,SO
L52	9233	SEA	(L47 OR L48 OR L49)
L54	52	SEA	L52 AND L50
L55	37	DUP	REM L54 (15 DUPLICATES REMOVED)

L56 2 SEA L55 AND L51
L57 1 SEA L56 NOT 2004-661986/AN

=> dup rem 18 157

FILE 'HCAPLUS' ENTERED AT 14:58:14 ON 03 DEC 2004
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PROCESSING COMPLETED FOR L8
PROCESSING COMPLETED FOR L57
L58 2 DUP REM L8 L57 (1 DUPLICATE REMOVED)
ANSWERS '1-2' FROM FILE HCAPLUS

=> d ibib ed abs 1-
YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L58 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 1992:146729 HCAPLUS
DOCUMENT NUMBER: 116:146729
TITLE: The catalytic consequences of experimental evolution.
Studies on the subunit structure of the second (ebg)
 β -galactosidase of Escherichia coli, and on
catalysis by ebgab, an experimental evolvant
containing two amino acid substitutions
AUTHOR(S): Elliott, Austin C.; Srinivasan, K.; Sinnott, Michael
L.; Smith, Paul J.; Bommuswamy, Jeyashri; Guo,
Zhen; Hall, Barry G.; Zhang, Yulei
CORPORATE SOURCE: Dep. Org. Chem., Univ. Bristol,
Bristol, BS8 1TS, UK
SOURCE: Biochemical Journal (1992), 282(1), 155-64
CODEN: BIJOAK; ISSN: 0306-3275
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 17 Apr 1992
AB The ratio of ebgA-gene product to ebgC-gene product in the functional
aggregate of ebg β -galactosidases was determined to be 1:1 by isolation of
the enzyme from bacteria grown on uniformly radiolabeled amino acids and
separation of the subunits by gel-permeation chromatog. under denaturing
conditions. This datum, taken together with a recalcn. of the previous
ultracentrifuge data (Hall, B. G., 1976), anal. gel-permeation chromatog.
and electron microscopy, strongly suggests an $\alpha_4\beta_4$ quaternary
structure for the enzyme. The second chemical step in the enzyme turnover
sequence, hydrolysis of the galactosyl-enzyme intermediate, is markedly
slower for ebgab, having both Asp-97 \rightarrow Asn and Trp-977 \rightarrow Cys
changes in the large subunit, than for ebga (having only the first change)
and ebgb (having only the second), and is so slow as to be rate-determining
even for an S-glycoside, β -D-galactopyranosyl thiopicrate, as is
shown by nucleophilic competition with methanol. The selectivity of
galactosyl-ebgab between water and methanol on a molar basis is 57,
similar to the value for galactosyl-ebgb. The equilibrium constant for the
hydrolysis of lactose at 37° is 152M, that for hydrolysis of
allolactose is approx. 44M and that for hydrolysis of lactulose is approx.
40M. A comparison of the free-energy profiles for the hydrolyses of
lactose catalyzed by the double mutant with those for the wild-type and
the single mutants reveals that free-energy changes from the two mutations

are not in general independently additive, but that the changes generally are in the direction predicted by the theory of J. J. Burbaum, et al., (1989) for an enzyme catalyzing a thermodynamically irreversible reaction. Michaelis-Menten parameters for the hydrolysis of six β -D-galactopyranosylpyridinium ions and ten aryl β -galactosides by ebgab were measured. The derived k_{cat} values are the same as those for ebgb (which has only the Trp-977 \rightarrow Cys change) and significantly different from those for ebgo (the wild-type enzyme) and ebga. The α - and β -deuterium secondary isotope effects on the hydrolysis of the galactosyl-enzyme of 1.08 and 1.00 are difficult to reconcile with the pyranose ring in this intermediate being in the $4C_1$ conformation.

L58 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:740342 HCAPLUS

DOCUMENT NUMBER: 141:207468

TITLE: A non-cryogenic process for forming **glycosides**

INVENTOR(S): Shen, Lifeng; Kiang, San; Guo, Zhenrong

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076470	A2	20040910	WO 2004-US6210	20040227
W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004230045	A1	20041118	US 2004-788825	20040227

PRIORITY APPLN. INFO.:

US 2003-451210P

P 20030227

OTHER SOURCE(S): MARPAT 141:207468

ED Entered STN: 10 Sep 2004

AB The present invention provides a method for making **glycoside** compds. including the steps of: (a) lithiating an aromatic reactant having a leaving group using lithium reagent in a first micro-reactor under non-cryogenic conditions to form a lithiated anion species, and (b) coupling the lithiated anion species with a carbonyl substituted reactant to form a **glycoside** (no data).

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=> fil lreg

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DICTIONARY FILE UPDATES: 1 DEC 2004 HIGHEST RN 791553-15-6

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FILE COVERS 1907 - 3 Dec 2004 VOL 141 ISS 23
FILE LAST UPDATED: 1 Dec 2004 (20041201/ED)

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 2 Dec 2004 (20041202/PD)
FILE LAST UPDATED: 2 Dec 2004 (20041202/ED)
HIGHEST GRANTED PATENT NUMBER: US6826778
HIGHEST APPLICATION PUBLICATION NUMBER: US2004244085
CA INDEXING IS CURRENT THROUGH 2 Dec 2004 (20041202/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 2 Dec 2004 (20041202/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2004

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>>> USPAT2 is now available.  USPATFULL contains full text of the  <<<
>>> original, i.e., the earliest published granted patents or  <<<
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>>> publications, starting in 2001, for the inventions covered in  <<<
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FILE CONTENT:1840 - 28 Nov 2004 VOL 141 ISS 22

```
*****
*
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*
*****
```

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FILE LAST UPDATED ON NOVEMBER 3, 2004

FILE COVERS 1771 TO 2004.
*** FILE CONTAINS 9,073,068 SUBSTANCES ***

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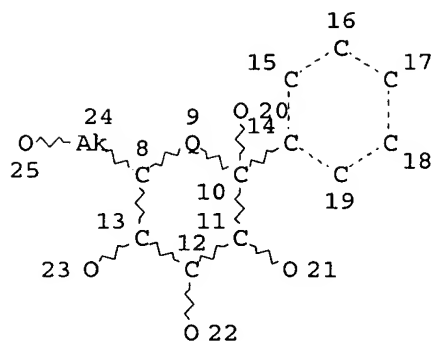
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Nov 26, 2004 (20041126/UP).

=> d que 118
L16 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L18 25 SEA FILE=REGISTRY SSS FUL L16

=> d l19

L19 ANALYZE L18 1- LC : 7 TERMS

TERM #	# OCC	# DOC	% DOC	LC
1	25	25	100.00	CA
2	25	25	100.00	CAPLUS
3	10	10	40.00	BEILSTEIN
4	8	8	32.00	USPATFULL
5	7	7	28.00	CASREACT
6	3	3	12.00	USPAT2
7	2	2	8.00	CHEMINFORMRX

***** END OF L19***

=> d que nos l20

L16 STR

L18 25 SEA FILE=REGISTRY SSS FUL L16

L20 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L18

=> d que nos l21

L16 STR

L18 25 SEA FILE=REGISTRY SSS FUL L16

L21 2 SEA FILE=USPATFULL ABB=ON PLU=ON L18

=> d que nos l22

L16 STR

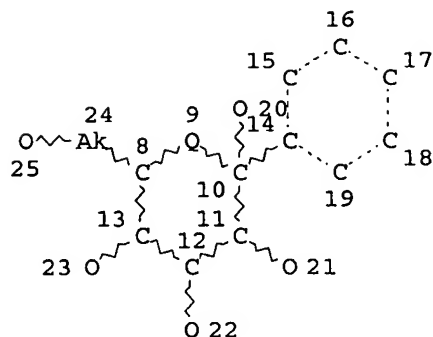
L18 25 SEA FILE=REGISTRY SSS FUL L16

L22 6 SEA FILE=CASREACT ABB=ON PLU=ON L18

=> d que l24

L16

STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L23 16 SEA FILE=BEILSTEIN SSS FUL L16

L24 10 SEA FILE=BEILSTEIN ABB=ON PLU=ON L23 NOT RN/FA

=>

=> dup rem l22 l20 l21

PROCESSING COMPLETED FOR L22

PROCESSING COMPLETED FOR L20

PROCESSING COMPLETED FOR L21

L27 13 DUP REM L22 L20 L21 (8 DUPLICATES REMOVED)

ANSWERS '1-6' FROM FILE CASREACT

ANSWERS '7-13' FROM FILE HCAPLUS

=> d iall

L27 ANSWER 1 OF 13 CASREACT COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 140:27985 CASREACT

TITLE: C-Arylglucoside synthesis: triisopropylsilane as a selective reagent for the reduction of an anomeric C-phenyl ketal

AUTHOR(S): Ellsworth, Bruce A.; Doyle, Abigail G.; Patel, Manorama; Caceres-Cortes, Janet; Meng, Wei; Deshpande, Prashant P.; Pullockaran, Annie; Washburn, William N.

CORPORATE SOURCE: Department of Metabolic Disease Discovery Chemistry, Bristol-Myers Squibb, Princeton, NJ, 08543, USA

SOURCE: Tetrahedron: Asymmetry (2003), 14(20), 3243-3247

CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

CLASSIFICATION: 33-3 (Carbohydrates)

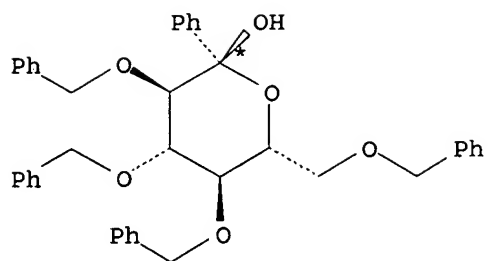
ABSTRACT:

Reduction of tetra-O-benzyl-protected 1C-phenylglucoside using triethylsilane and BF3·OEt2 has been reported (Czernecki, S.; Ville, G. J. Organic Chemical 1989,

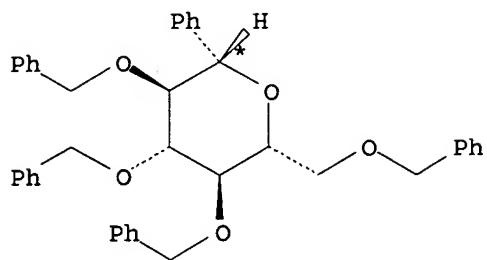
54, 610-612) to give exclusively 2,3,4,6-tetra-O-benzyl- β -1C-phenyl-1-deoxyglucoside. We have determined that this reduction actually gives a 4:1 mixture of anomers (β : α). We observed that the selectivity of the reduction is influenced by the steric bulk of the silane. The use of triisopropylsilane as a reducing agent gives >35:1 ratio (β : α) of 2,3,4,6-tetra-O-benzyl- β -1C-phenyl-1-deoxyglucoside.

SUPPL. TERM: anomeric C phenyl ketal triisopropylsilane stereoselective redn; benzyl C phenyl deoxyglucoside prepn
 INDEX TERM: Glycosides
 ROLE: SPN (Synthetic preparation); PREP (Preparation)
 (C-, aryl; preparation of 2,3,4,6-tetra-O-benzyl- β -1C-phenyl-1-deoxyglucoside using triisopropylsilane as a selective reagent for the reduction of an anomeric C-Ph ketal)
 INDEX TERM: Reduction
 (stereoselective; preparation of 2,3,4,6-tetra-O-benzyl- β -1C-phenyl-1-deoxyglucoside using triisopropylsilane as a selective reagent for the reduction of an anomeric C-Ph ketal)
 INDEX TERM: 6485-79-6, Triisopropylsilane 118436-89-8
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 2,3,4,6-tetra-O-benzyl- β -1C-phenyl-1-deoxyglucoside using triisopropylsilane as a selective reagent for the reduction of an anomeric C-Ph ketal)
 INDEX TERM: 112219-64-4P 116417-38-0P
 ROLE: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of 2,3,4,6-tetra-O-benzyl- β -1C-phenyl-1-deoxyglucoside using triisopropylsilane as a selective reagent for the reduction of an anomeric C-Ph ketal)
 REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD.
 REFERENCE(S): (1) Babirad, S; J Org Chem 1987, V52, P1370 CAPLUS
 (2) Bihovsky, R; J Org Chem 1988, V53, P4026 CAPLUS
 (3) Czernecki, S; J Org Chem 1989, V54(3), P610 CAPLUS
 (4) Daly, S; Tetrahedron Lett 1989, V30, P5713 CAPLUS
 (5) Dondoni, A; J Org Chem 1994, V59, P6404 CAPLUS
 (6) Dondoni, A; J Org Chem 2002, V67, P4475 CAPLUS
 (7) Dondoni, A; Synthesis 2001, P2129 CAPLUS
 (8) Du, Y; Tetrahedron 1998, V54, P9913 CAPLUS
 (9) Ellsworth, B; US 6414126 B1 2002 CAPLUS
 (10) Fuganti, C; Synlett 1999, P1241 CAPLUS
 (11) Hacksell, U; Prog Med Chem 1985, P19
 (12) Jaramillo, C; Synthesis 1994, P1 CAPLUS
 (13) Kraus, G; J Org Chem 1988, V53, P752 CAPLUS
 (14) Lancelin, J; Tetrahedron Lett 1983, V24, P4833 CAPLUS
 (15) Suzuki, K; Preparative Carbohydrate Chemistry 1997, P527 CAPLUS
 (16) Wang, Y; J Org Chem 1992, V57, P468 CAPLUS

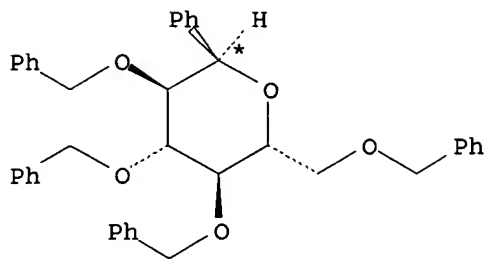
RX(1) OF 1 2 A ==> B + C



2 A



B



C

RX (1) RCT A 118436-89-8

STAGE(1)

RGT D 6485-79-6 Silane, tris(1-methylethyl)-, E 109-63-7
BF3-Et2O

SOL 75-05-8 MeCN, 75-09-2 CH2Cl2

STAGE(2)

RGT F 584-08-7 K2CO3

SOL 7732-18-5 Water

PRO B 112219-64-4, C 116417-38-0

NTE the ratio depends on silane, stereoselective

=> d iall 2-6

L27 ANSWER 2 OF 13 CASREACT COPYRIGHT 2004 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 123:83861 CASREACT

TITLE: N-Bromosuccinimide-mediated transformations of acetylated 1,5-anhydro-1-C-phenyl-D-hexitols

AUTHOR(S): Cettour, Pierre; Descotes, Gerard; Praly, Jean-Pierre

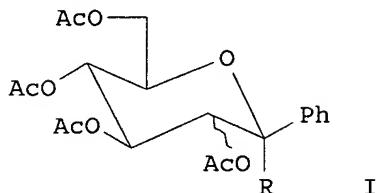
CORPORATE SOURCE: Laboratoire de Chimie Organique II, Universite Claude-Bernard Lyon I, Villeurbanne, 69622, Fr.

SOURCE: Journal of Carbohydrate Chemistry (1995), 14(3), 445-9

CODEN: JCACDM; ISSN: 0732-8303

PUBLISHER: Dekker

DOCUMENT TYPE: Journal
 LANGUAGE: English
 CLASSIFICATION: 33-3 (Carbohydrates)
 GRAPHIC IMAGE:



ABSTRACT:

Stereoselective photochem. hydroxylation of C-glycosides, e.g. I (R = H), with N-bromosuccinimide in CCl₄ gave the corresponding I (R = OH).

SUPPL. TERM: anhydrophenylhexitol bromosuccinimide catalyzed photochem hydroxylation; C glycoside stereoselective photochem hydroxylation

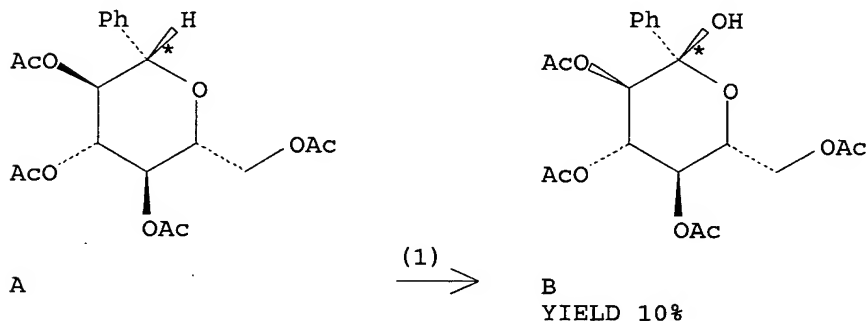
INDEX TERM: Hydroxylation
 Stereochemistry
 (bromosuccinimide-mediated hydroxylation of acetylated anhydrophenylhexitols)

INDEX TERM: Glycosides
 ROLE: SPN (Synthetic preparation); PREP (Preparation)
 (bromosuccinimide-mediated hydroxylation of acetylated anhydrophenylhexitols)

INDEX TERM: 13231-13-5 138284-52-3 138284-53-4
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (bromosuccinimide-mediated hydroxylation of acetylated anhydrophenylhexitols)

INDEX TERM: 165399-32-6P 165399-33-7P 165399-34-8P
 ROLE: SPN (Synthetic preparation); PREP (Preparation)
 (bromosuccinimide-mediated hydroxylation of acetylated anhydrophenylhexitols)

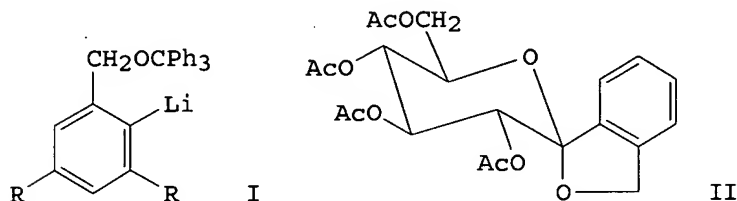
RX(1) OF 1 A ==> B



RX(1) RCT A 13231-13-5

RGT C 128-08-5 Bromosuccinimide
 PRO B 165399-32-6
 SOL 56-23-5 CCl4
 NTE stereoselective, photochem., key step

L27 ANSWER 3 OF 13 CASREACT COPYRIGHT 2004 ACS on STN DUPLICATE 5
 ACCESSION NUMBER: 115:208356 CASREACT
 TITLE: C-Glycosides. 9. Stereospecific synthesis of
 C-glycosidic spiroketal of the papulacandins
 AUTHOR(S): Czernecki, Stanislas; Perlat, Marie Claude
 CORPORATE SOURCE: Lab. Chim. Glucides, Univ. Pierre et Marie Curie,
 Paris, 75005, Fr.
 SOURCE: Journal of Organic Chemistry (1991), 56(22), 6289-92
 CODEN: JOCEAH; ISSN: 0022-3263
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 CLASSIFICATION: 33-3 (Carbohydrates)
 Section cross-reference(s): 75
 GRAPHIC IMAGE:



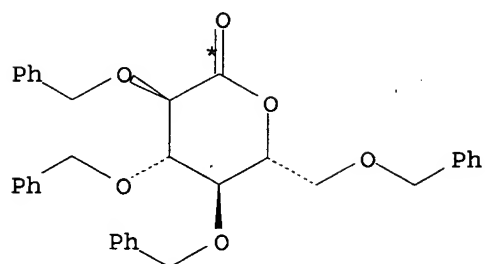
ABSTRACT:

The reaction of lithiated benzyl ether I (R = H, OMe) with perbenzylated D-gluconolactone, followed by cyclization with BF₃·Et₂O provides a new stereospecific synthesis of C-glycosidic spiroketals e.g. II. The structure of II was determined by x-ray diffraction. This methodol. is applied to the synthesis of the spiroketal unit of papulacandins.

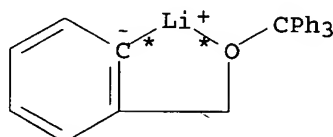
SUPPL. TERM: spiroketal carbon glycoside asym synthesis; papulacandin intermediate asym synthesis; gluconolactone stereoselective addn benzyl ether; crystal structure spiroketal carbon glycoside; mol structure spiroketal carbon glycoside
 INDEX TERM: Stereochemistry
 (of addition of gluconolactone with benzyl ether)
 INDEX TERM: Asymmetric synthesis and induction
 Crystal structure
 Molecular structure
 (of spiroketal C-glycoside)
 INDEX TERM: Addition reaction
 (stereoselective, of gluconolactone with benzyl ether, C-glycoside from)
 INDEX TERM: Glycosides
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (C-, spiroketal, asym. synthesis of)
 INDEX TERM: 61032-80-2P, Papulacandin B 61036-46-2P, Papulacandin A
 61036-48-4P, Papulacandin C 61036-49-5P, Papulacandin D

ROLE: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
 (approach to the synthesis of)
 INDEX TERM: 76843-39-5P 132814-53-0P
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and acetylation of)
 INDEX TERM: 132814-54-1P
 ROLE: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (preparation and crystal structure of)
 INDEX TERM: 132814-52-9P 135877-98-4P
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and debenzoylation of)
 INDEX TERM: 132814-51-8P 135877-97-3P
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and intramol. cyclocondensation of, spiroketal C-glycoside from)
 INDEX TERM: 132814-55-2P 135877-95-1P
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, with butyllithium)
 INDEX TERM: 135877-99-5P 135878-00-1P
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and stereoselective addition reaction of, with gluconolactone derivative)
 INDEX TERM: 5333-62-0P 62641-00-3P 76843-40-8P 135877-96-2P
 ROLE: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 INDEX TERM: 18982-54-2, 2-Bromobenzyl alcohol 74726-76-4, 2-Bromo-3,5-dimethoxybenzyl alcohol
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with triphenylmethyl chloride)
 INDEX TERM: 13096-62-3
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (stereoselective addition reaction of, with lithiated benzyl ether, C-glycoside from)

RX(1) OF 10 A + B ==> C...

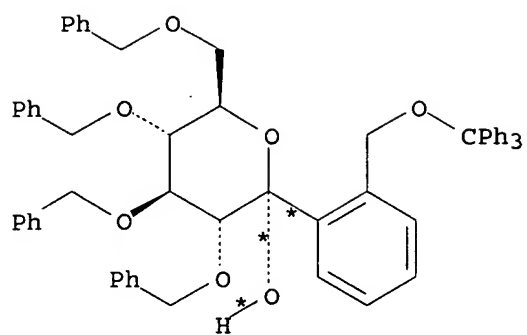


A



B

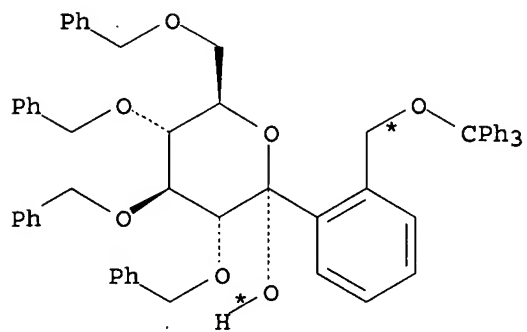




C

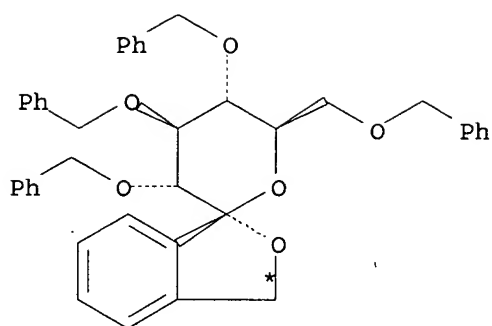
RX(1) RCT A 13096-62-3, B 135877-99-5
RGT D 12125-02-9 NH₄Cl
PRO C **132814-51-8**
SOL 108-88-3 PhMe
NTE key step, stereoselective

RX(2) OF 10 ...C ==> F...



C

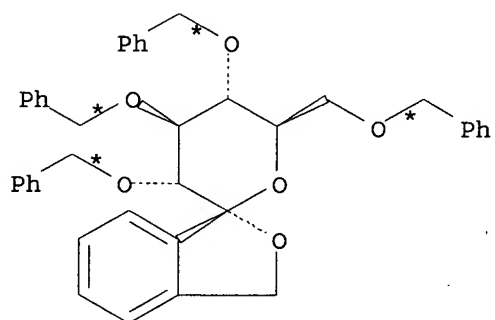
(2)
→



F

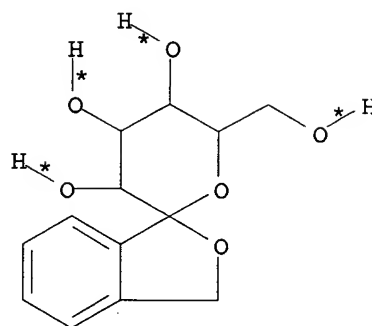
RX(2) RCT C 132814-51-8
 RGT G 109-63-7 BF₃-Et₂O, H 617-86-7 Et₃SiH
 PRO F 132814-52-9
 SOL 75-05-8 MeCN

RX(3) OF 10 ...F ==> J...



F

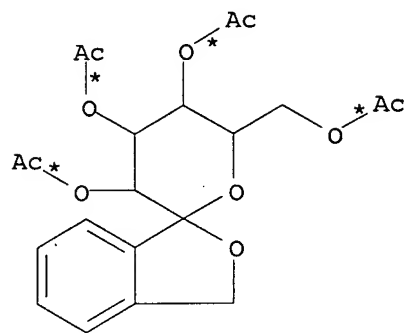
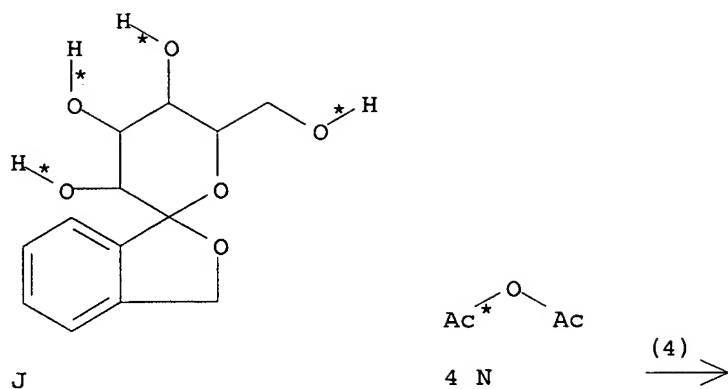
(3) →



J
 YIELD 98%

RX(3) RCT F 132814-52-9
 RGT K 1333-74-0 H₂
 PRO J 132814-53-0
 SOL 67-56-1 MeOH, 141-78-6 AcOEt

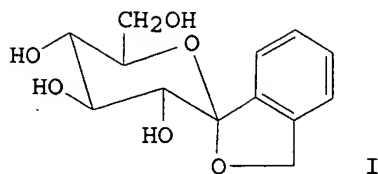
RX(4) OF 10 ...J + 4 N ==> O



YIELD 90%

RX(4) RCT J 132814-53-0, N 108-24-7
 RGT P 110-86-1 Pyridine, Q 1122-58-3 4-DMAP
 PRO O 132814-54-1

L27 ANSWER 4 OF 13 CASREACT COPYRIGHT 2004 ACS on STN DUPLICATE 6
 ACCESSION NUMBER: 114:143823 CASREACT
 TITLE: A new two-step stereospecific synthesis of glycidic spiroacetals
 AUTHOR(S): Czernecki, Stanislas; Perlat, Marie Claude
 CORPORATE SOURCE: Lab. Chim. Glucides, Univ. Pierre et Marie Curie, Paris, 75005, Fr.
 SOURCE: Journal of Carbohydrate Chemistry (1990), 9(6), 915-17
 CODEN: JCACDM; ISSN: 0732-8303
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 CLASSIFICATION: 33-3 (Carbohydrates)
 GRAPHIC IMAGE:



ABSTRACT:

As part of a continuing program of C-C bond formation at the anomeric center of the sugar moiety, a new straightforward synthesis of spiroacetal I of the papulacandin type is reported.

SUPPL. TERM: stereospecific prepn glycidic spiroacetal; sugar anomeric center spiroacetal prepn

INDEX TERM: Ring closure and formation
(of (trityloxymethyl)phenylglucose tetrabenzyl ether)

INDEX TERM: Condensation reaction
(of (trityloxymethyl)phenyllithium with gluconolactone derivative)

INDEX TERM: Stereochemistry
(of cyclization of (trityloxymethyl)phenylglucose tetrabenzyl ether)

INDEX TERM: Acetals
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(spiro, at sugar anomeric center, preparation of)

INDEX TERM: 13096-62-3, 2,3,4,6-Tetra-O-benzyl-D-gluconolactone
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with (trityloxymethyl)phenyllithium)

INDEX TERM: 132814-55-2
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(lithiation and condensation of, with tetra-O-benzyl-D-gluconolactone)

INDEX TERM: 132814-53-0P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

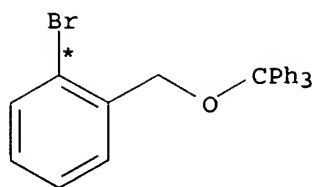
INDEX TERM: 132814-50-7P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with tetra-O-benzyl-D-gluconolactone)

INDEX TERM: 132814-52-9P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)

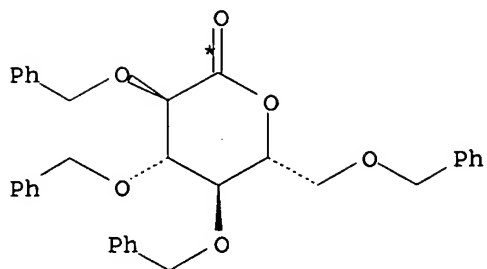
INDEX TERM: 132814-54-1P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(preparation and mol. structure determination of)

INDEX TERM: 132814-51-8P 132814-56-3P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive cyclization of)

RX(1) OF 5 2 A + 2 B ==> C + D...

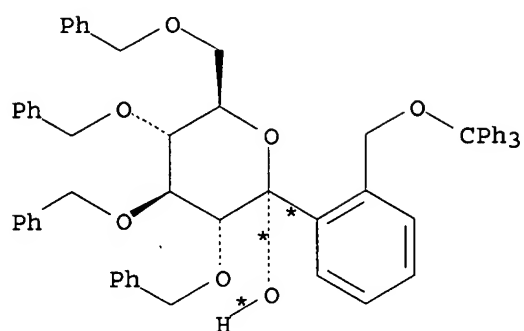


2 A

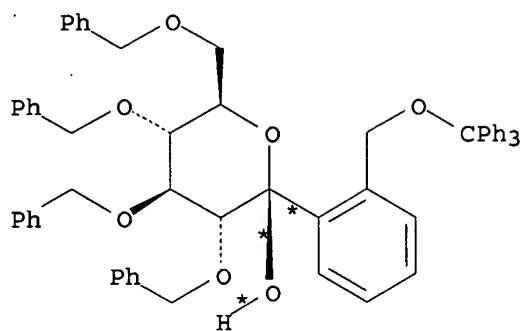


2 B

(1) →



C



D

RX(1) RCT A 132814-55-2

STAGE(1)

RGT E 598-30-1 s-BuLi

SOL 108-88-3 PhMe

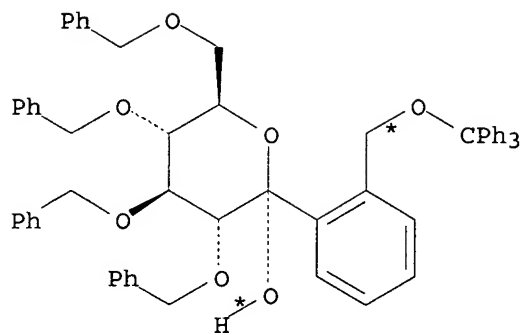
STAGE(2)

RCT B 13096-62-3

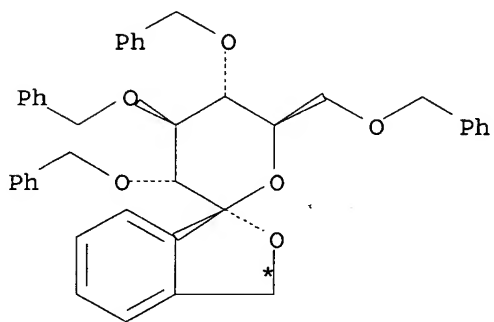
SOL 108-88-3 PhMe

PRO C 132814-51-8, D 132814-56-3

RX(2) OF 5 ...C ==> G



C

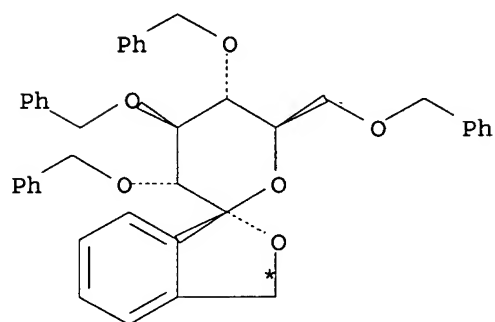
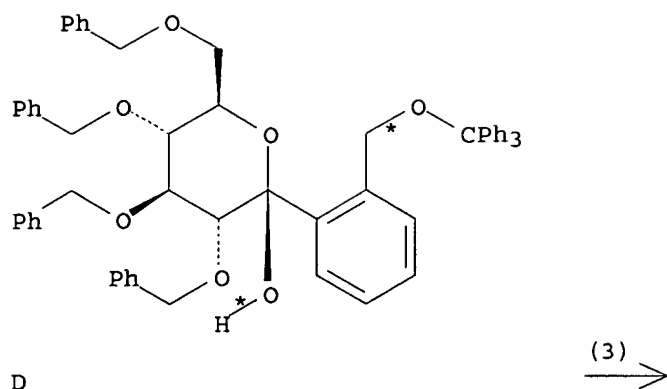


G

YIELD 61%

RX(2) RCT C 132814-51-8
 RGT H 109-63-7 BF3-Et2O, I 617-86-7 Et3SiH
 PRO G 132814-52-9
 SOL 75-05-8 MeCN
 NTE ISOMERIC REACTANT ALSO PRESENT

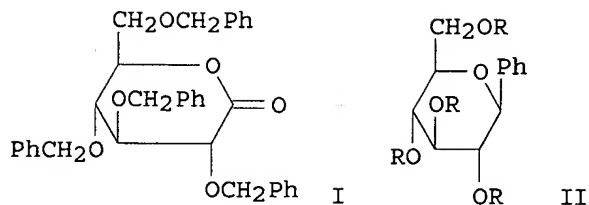
RX(3) OF 5 ...D ==> G



YIELD 61%

RX(3) RCT D 132814-56-3
 RGT H 109-63-7 BF3-Et2O, I 617-86-7 Et3SiH
 PRO G 132814-52-9
 SOL 75-05-8 MeCN
 NTE ISOMERIC REACTANT ALSO PRESENT

L27 ANSWER 5 OF 13 CASREACT COPYRIGHT 2004 ACS on/STN DUPLICATE 7
 ACCESSION NUMBER: 110:95662 CASREACT
 TITLE: C-Glycosides. 7. Stereospecific C-glycosylation of aromatic and heterocyclic rings
 AUTHOR(S): Czernecki, S.; Ville, G.
 CORPORATE SOURCE: Lab. Chim. Glucides, Univ. Pierre et Marie Curie, Paris, 75005, Fr.
 SOURCE: Journal of Organic Chemistry (1989), 54(3), 610-12
 CODEN: JOCEAH; ISSN: 0022-3263
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 CLASSIFICATION: 33-3 (Carbohydrates)
 GRAPHIC IMAGE:



ABSTRACT:

Stereospecific C-glycosylation of aromatic and heterocyclic rings can be realized by reacting the corresponding organolithium derivs. with benzylated lactones. Debenzylation proceeds without opening of the ring in pyrano series, but with opening in furano series. For example, glucopyranolactone I was treated with PhLi in THF at -78° and the product was reduced with Et_3SiH in MeCN in the presence of $\text{BF}_3 \cdot \text{Et}_2\text{O}$ to give C-glucoside II ($\text{R} = \text{PhCH}_2$), which on hydrogenolysis followed by acetylation gave II ($\text{R} = \text{Ac}$).

SUPPL. TERM: stereospecific glycosylation arom heterocyclic ring;
glycoside

INDEX TERM: Aromatic compounds
Heterocyclic compounds
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(stereospecific C-glycosylation of)

INDEX TERM: Glycosidation
(stereoselective, of aromatic and heterocyclic rings)

INDEX TERM: Glycosides
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(C-, preparation of)

INDEX TERM: 20181-49-1P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

INDEX TERM: 118436-94-5P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(preparation and debenzylation followed by acetylation of)

INDEX TERM: 112219-64-4P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)

INDEX TERM: 115129-92-5P 115130-15-9P 118436-89-8P 118436-90-1P
118436-92-3P 118436-93-4P 118436-97-8P 118436-98-9P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

INDEX TERM: 13231-13-5P 93414-71-2P 118436-91-2P 118436-95-6P
118436-96-7P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

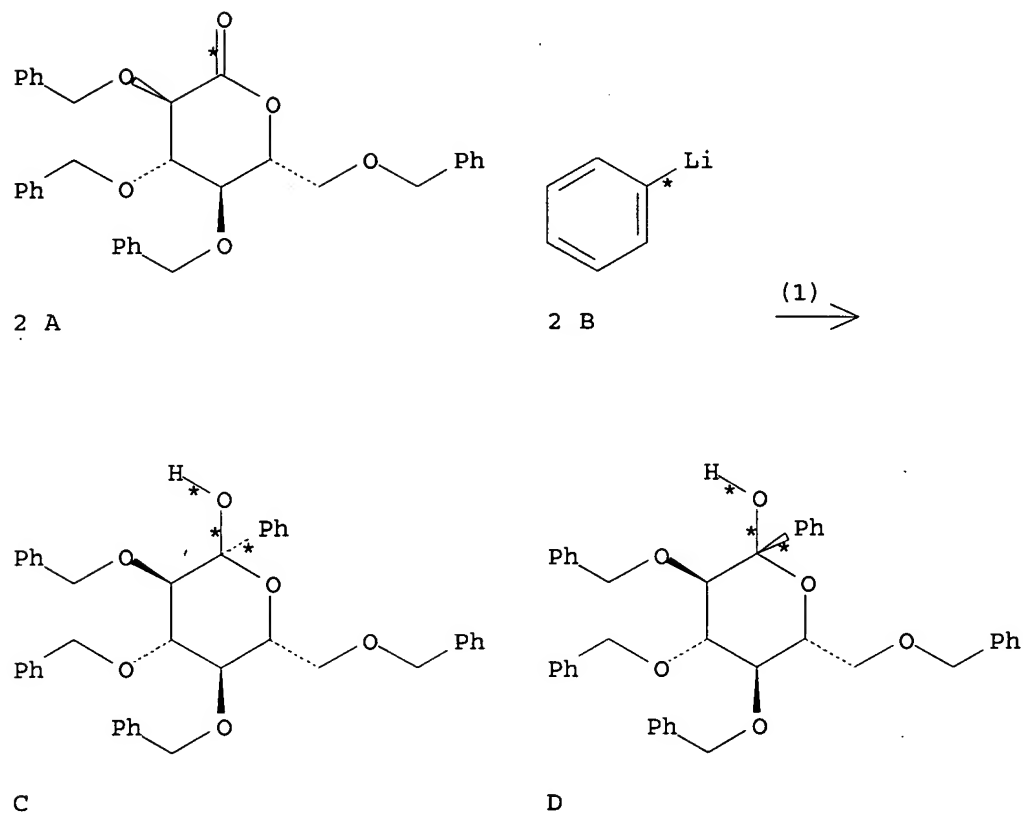
INDEX TERM: 13096-62-3
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aryllithiums or heteroaryllithiums, in
synthesis of C-glycosides)

INDEX TERM: 14233-64-8 75467-36-6 106886-17-3
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phenyllithium)

INDEX TERM: 591-51-5, Phenyllithium 2786-02-9, 2-Furyllithium
53101-93-2, 3-Furyllithium

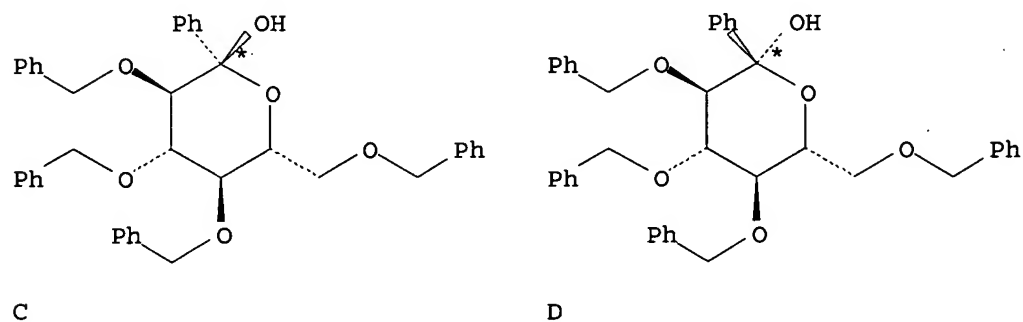
ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with tetra-O-benzylglucopyranolactone, in
 synthesis of C-glycoside)

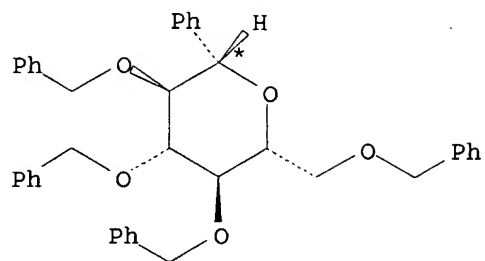
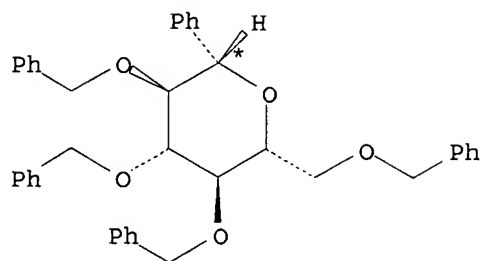
RX(1) OF 24 2 A + 2 B ==> C + D...



RX(1) RCT A 13096-62-3, B 591-51-5
 PRO C 118436-89-8, D 118436-90-1
 SOL 7732-18-5 Water
 NTE 85% overall

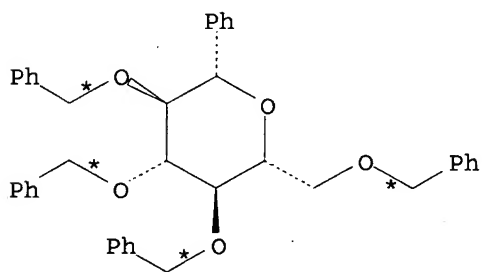
RX(2) OF 24 ...C + D ==> 2 F...



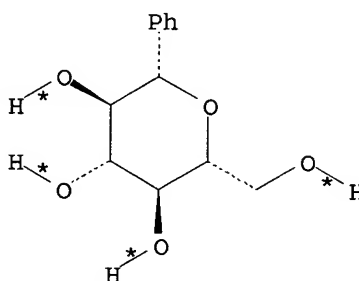
(2)
→F
YIELD 80%F
YIELD 80%

RX(2) RCT C 118436-89-8, D 118436-90-1
 RGT G 617-86-7 Et₃SiH, H 109-63-7 BF₃-Et₂O
 PRO F 112219-64-4
 SOL 75-05-8 MeCN

RX(3) OF 24 ...F ==> J...

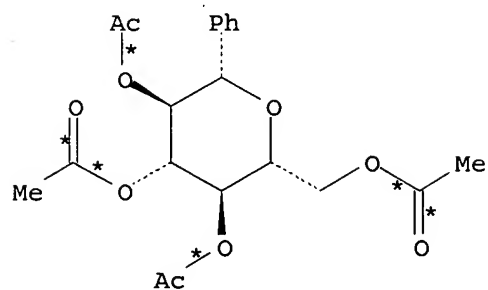
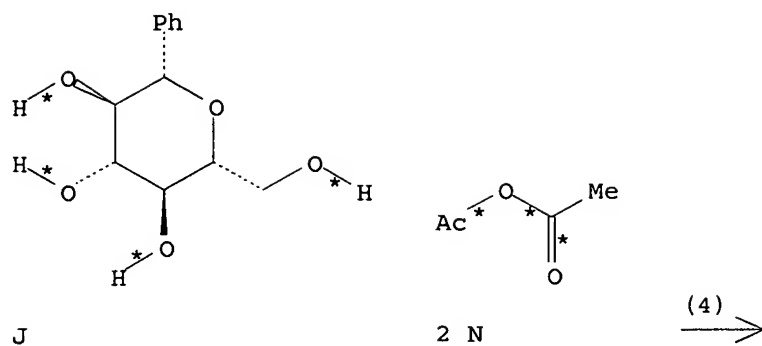


F

(3)
→J
YIELD 90%

RX(3) RCT F 112219-64-4
 RGT K 1333-74-0 H₂
 PRO J 20181-49-1
 CAT 7440-05-3 Pd
 SOL 67-56-1 MeOH

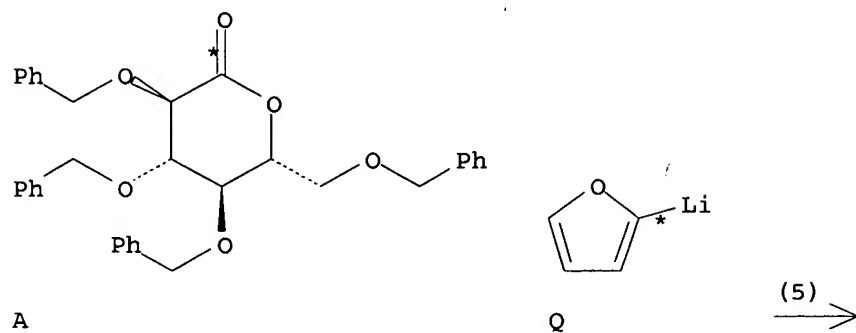
RX(4) OF 24 ...J + 2 N ==> O

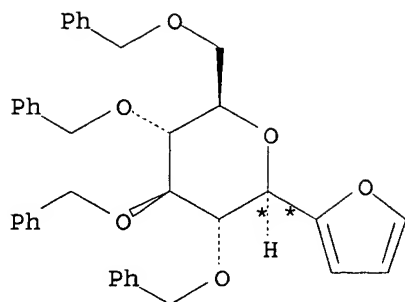


YIELD 84%

RX(4) RCT J 20181-49-1, N 108-24-7
 PRO O 13231-13-5
 SOL 110-86-1 Pyridine

RX(5) OF 24 A + Q ==> R





R
YIELD 77%

RX(5) RCT A 13096-62-3, Q 2786-02-9

STAGE(1)

SOL 109-99-9 THF

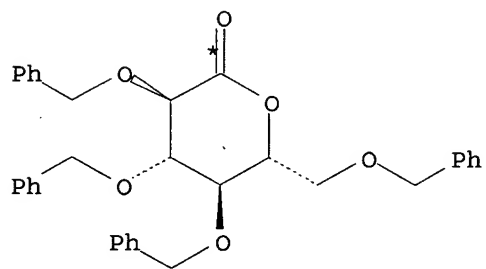
STAGE(2)

RGT G 617-86-7 Et₃SiH, H 109-63-7 BF₃-Et₂O

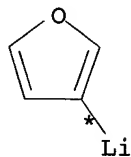
SOL 75-05-8 MeCN

PRO R 93414-71-2

RX(6) OF 24 A + T ==> U

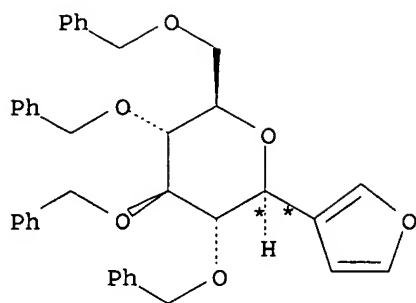


A



T

(6) →



U
YIELD 10%

RX(6) RCT A 13096-62-3, T 53101-93-2

STAGE(1)

SOL 109-99-9 THF

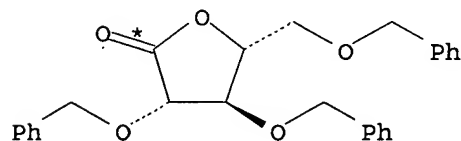
STAGE(2)

RGT G 617-86-7 Et3SiH, H 109-63-7 BF3-Et2O

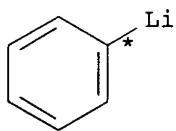
SOL 75-05-8 MeCN

PRO U 118436-91-2

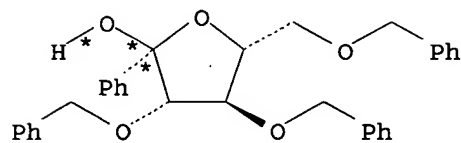
RX(7) OF 24 2 V + 2 B ==> W + X...



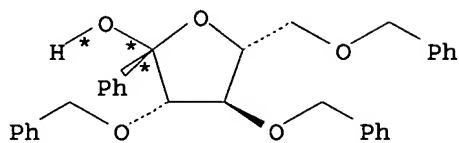
2 V



2 B



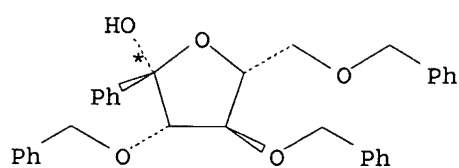
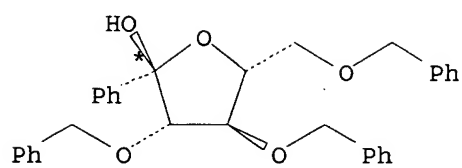
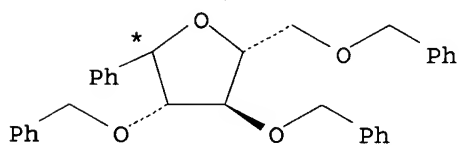
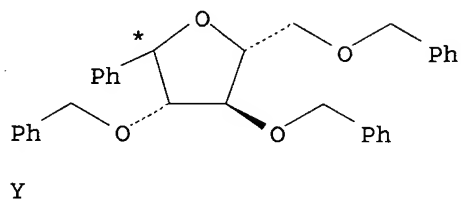
W



X

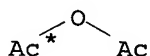
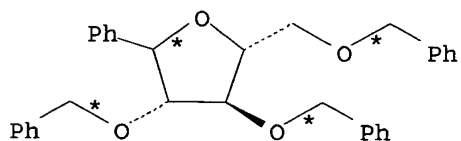
RX(7) RCT V 14233-64-8, B 591-51-5
PRO W 118436-92-3, X 118436-93-4
SOL 109-99-9 THF

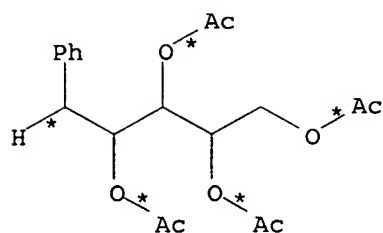
RX(8) OF 24 ...W + X ==> 2 Y...

(8) \rightarrow 

RX(8) RCT W 118436-92-3, X 118436-93-4
 RGT G 617-86-7 Et₃SiH, H 109-63-7 BF₃-Et₂O
 PRO Y 118436-94-5
 SOL 75-05-8 MeCN

RX(9) OF 24 ...Y + 4 N ==> Z

(9) \rightarrow



Z

RX(9) RCT Y 118436-94-5

STAGE(1)

RGT K 1333-74-0 H2

CAT 7440-05-3 Pd

SOL 67-56-1 MeOH

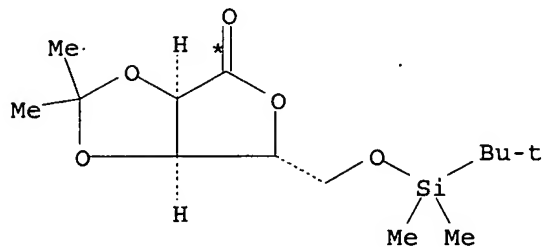
STAGE(2)

RCT N 108-24-7

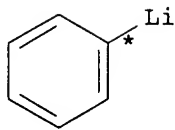
SOL 110-86-1 Pyridine

PRO Z 118436-95-6

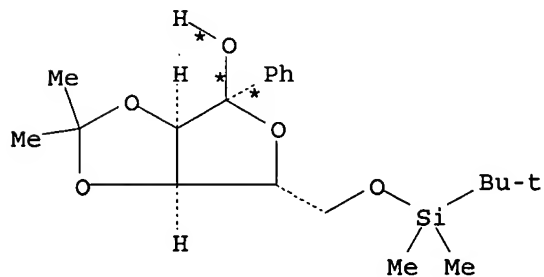
RX(10) OF 24 2 AA + 2 B ==> AB + AC...



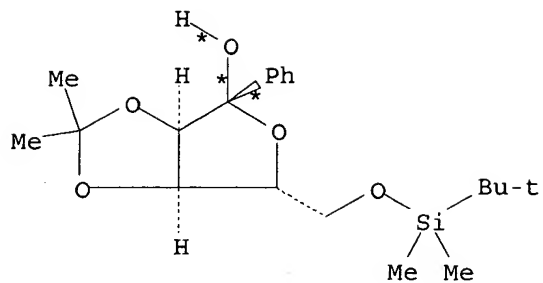
2 AA



2 B

(10) \longrightarrow 

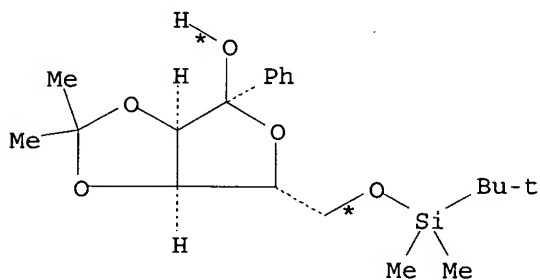
AB



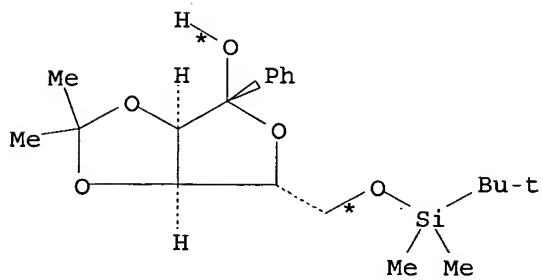
AC

RX(10) RCT AA 75467-36-6, B 591-51-5
 PRO AB 115129-92-5, AC 115130-15-9
 SOL 109-99-9 THF

RX(11) OF 24 ...AB + AC ==> 2 AD

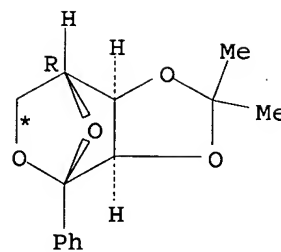


AB

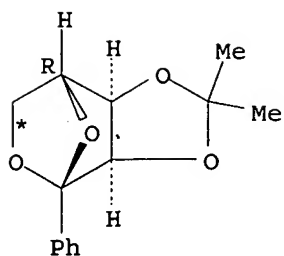


AC

(11)
 →



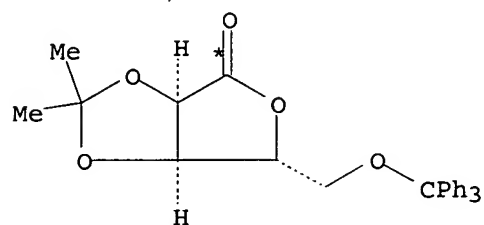
AD



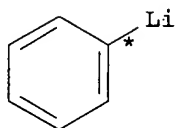
AD

RX(11) RCT AB 115129-92-5, AC 115130-15-9
 RGT G 617-86-7 Et₃SiH, H 109-63-7 BF₃-Et₂O
 PRO AD 118436-96-7
 SOL 75-05-8 MeCN

RX(12) OF 24 2 AE + 2 B ==> AF + AG...

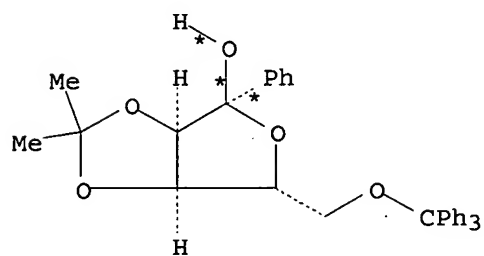


2 AE

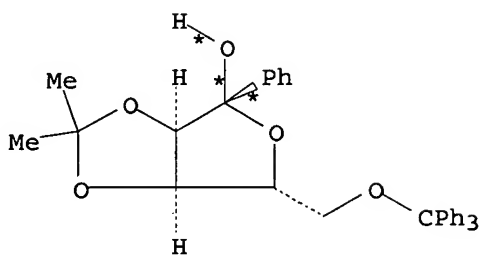


2 B

(12) →



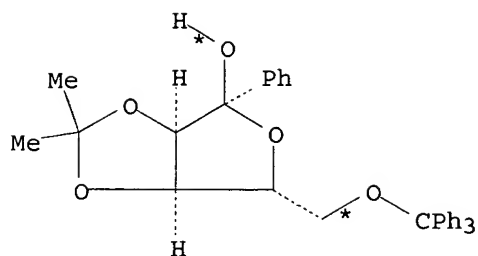
AF



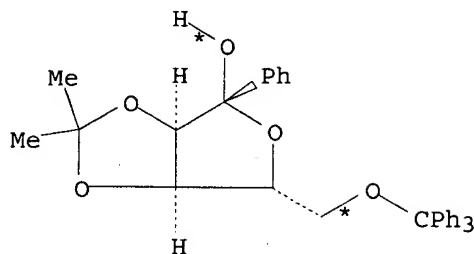
AG

RX(12) RCT AE 106886-17-3, B 591-51-5
 PRO AF 118436-97-8, AG 118436-98-9
 SOL 109-99-9 THF

RX(13) OF 24 ...AF + AG ==> 2 AD

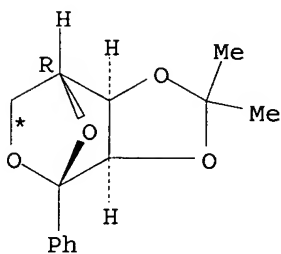


AF

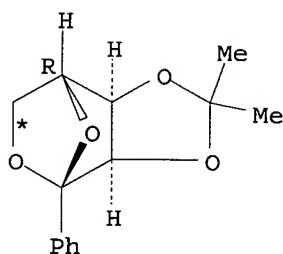


AG

(13) →



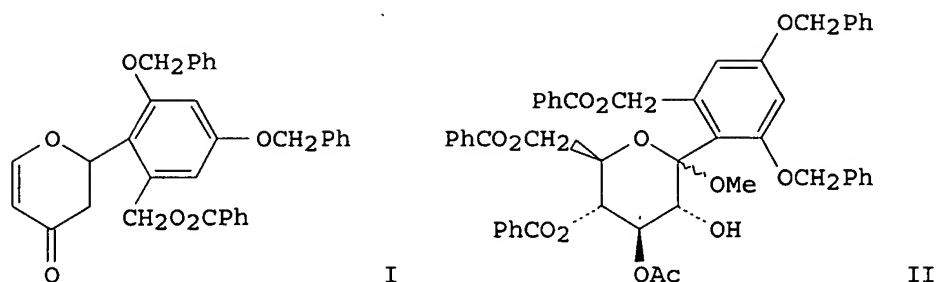
AD



AD

RX(13) RCT AF 118436-97-8, AG 118436-98-9
 RGT G 617-86-7 Et3SiH, H 109-63-7 BF3-Et2O
 PRO AD 118436-96-7
 SOL 75-05-8 MeCN

L27 ANSWER 6 OF 13 CASREACT COPYRIGHT 2004 ACS on STN DUPLICATE 8
 ACCESSION NUMBER: 109:6829 CASREACT
 TITLE: A fully synthetic route to the papulacandins.
 Stereospecific spiroacetalization of a C-1-arylated
 methylglycoside
 AUTHOR(S): Danishefsky, Samuel; Phillips, Gary; Ciufolini, Marco
 CORPORATE SOURCE: Dep. Chem., Yale Univ., New Haven, CT, 06511, USA
 SOURCE: Carbohydrate Research (1987), 171, 317-27
 CODEN: CRBRAT; ISSN: 0008-6215
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 CLASSIFICATION: 33-3 (Carbohydrates)
 GRAPHIC IMAGE:



ABSTRACT:

Lewis acid-catalyzed, hetero Diels-Alder reaction of (E)-1-methoxy-3-trimethylsilyloxy-1,3-butadiene with 6-benzoyloxymethyl-2,4-dibenzyloxybenzaldehyde afforded 2-(6-benzoyloxymethyl-2,4-dibenzyloxyphenyl)-2,3-dihydro-4H-pyran-4-one (I). This was converted into a derivative of papulacandin D by a stereospecific, spiroacetalization of a C(1) methoxylated aryl glycoside, [3,5-dibenzyloxy(Me 3-O-acetyl-4,6-di-O-benzoyl-DL-glucopyranosid-1-yl)phenyl] Me benzoate (II).

SUPPL. TERM: papulacandin D deriv; pyranone hydroxymethyldihydrophenyldihydro prepn transformation; aryl glycoside
 INDEX TERM: Spiro compounds
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (benzopyran C-glycoside, papulacandin D intermediate)
 INDEX TERM: Stereochemistry
 (of spiroacetalization of aryl glycosides)
 INDEX TERM: Acetalization and Ketalization
 (stereoselective, of aryl glycosides, papulacandin D intermediate from)
 INDEX TERM: Glycosides
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (C-, papulacandin D intermediates)
 INDEX TERM: 114644-84-7P
 ROLE: SPN (Synthetic preparation); PREP (Preparation)
 (attempted preparation of)
 INDEX TERM: 99-10-5, 3,5-Dihydroxybenzoic acid
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (esterification of)
 INDEX TERM: 54125-02-9
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (hetero Diels-Alder reaction of, with benzaldehyde derivative)
 INDEX TERM: 61036-49-5, Papulacandin D
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (intermediate for, preparation of)
 INDEX TERM: 114644-81-4P
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and Diels-Alder reaction of, with methoxysiloxybutadiene)
 INDEX TERM: 114644-80-3P
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and Vilsmeier-Haack reaction of)

INDEX TERM: 114644-90-5P 114715-75-2P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and acetylation of)

INDEX TERM: 24131-31-5P 114644-93-8P 114644-94-9P 114656-16-5P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and benzoxylation of)

INDEX TERM: 2150-44-9P, Methyl 3,5-dihydroxybenzoate
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and benzylation of)

INDEX TERM: 114644-82-5P
ROLE: SPN (Synthetic preparation); PREP (Preparation) (preparation and conjugate vinylcuprate addition to)

INDEX TERM: 114644-96-1P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deacetalization of)

INDEX TERM: 114644-92-7P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deacetylation of)

INDEX TERM: 114644-95-0P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and dehydrogenation of)

INDEX TERM: 114644-86-9P 114644-88-1P
ROLE: SPN (Synthetic preparation); PREP (Preparation) (preparation and enolsilylation of)

INDEX TERM: 114644-98-3P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and hydrogenolysis of)

INDEX TERM: 114644-91-6P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and hydroxylation-methoxylation of)

INDEX TERM: 58605-10-0P 114644-85-8P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of)

INDEX TERM: 114644-89-2P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and stereoselective reduction of)

INDEX TERM: 114644-97-2P 114656-17-6P
ROLE: SPN (Synthetic preparation); PREP (Preparation) (preparation and stereospecific spiroacetalization of)

INDEX TERM: 114651-76-2P
ROLE: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

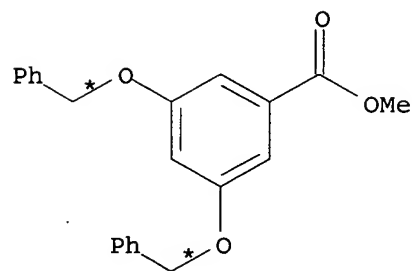
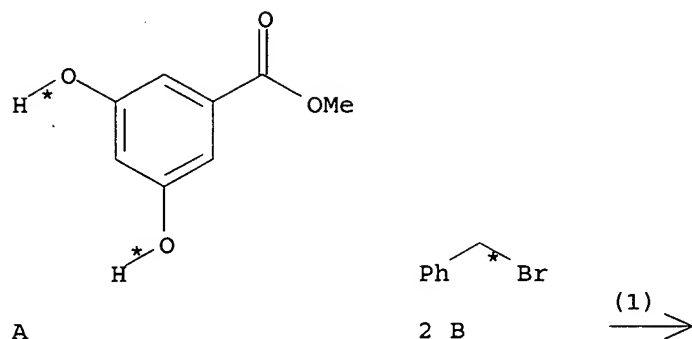
INDEX TERM: 114644-83-6P
ROLE: SPN (Synthetic preparation); PREP (Preparation) (preparation, alkene oxidation, and selective reduction of)

INDEX TERM: 114644-87-0P 114656-19-8P
ROLE: SPN (Synthetic preparation); PREP (Preparation) (preparation, epoxidn. and epoxide rearrangement of)

INDEX TERM: 79172-99-9
ROLE: RCT (Reactant); RACT (Reactant or reagent)

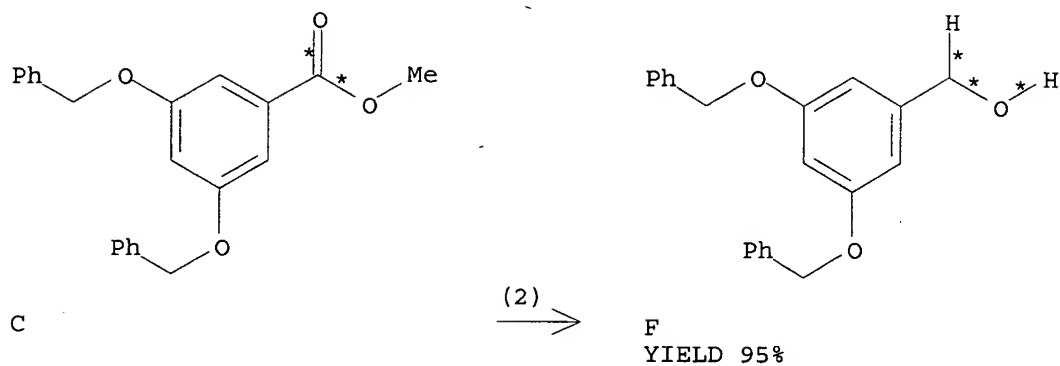
(reduction by, of oxopyrancarboxaldehyde derivative)
INDEX TERM: 114656-18-7P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(stereospecific preparation of, as papulacandin D intermediate)

RX(1) OF 231 ...A + 2 B ==> C...



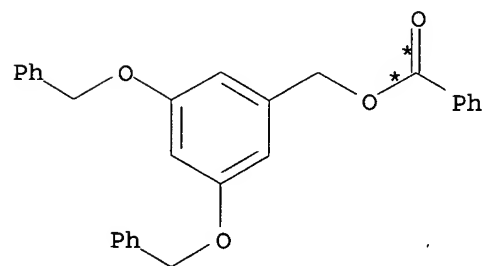
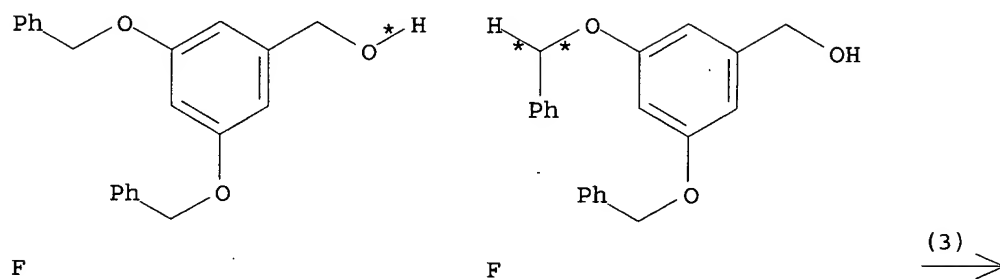
RX(1) RCT A 2150-44-9, B 100-39-0
RGT D 584-08-7 K₂CO₃
PRO C 58605-10-0
SOL 67-64-1 Me₂CO

RX(2) OF 231 ...C ==> F...



RX(2) RCT C 58605-10-0
 RGT G 16853-85-3 LiAlH₄
 PRO F 24131-31-5
 SOL 60-29-7 Et₂O

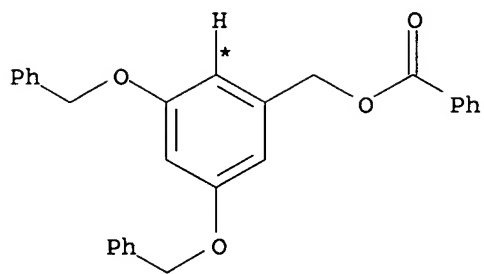
RX(3) OF 231 ...2 F ==> I...



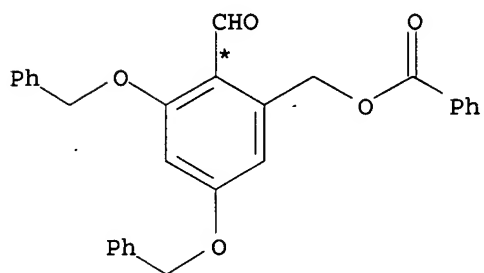
I
 YIELD 100%

RX(3) RCT F 24131-31-5
 RGT J 121-44-8 Et₃N, K 98-88-4 PhCOCl
 PRO I 114644-80-3
 SOL 75-09-2 CH₂Cl₂

RX(4) OF 231 ...I ==> M...

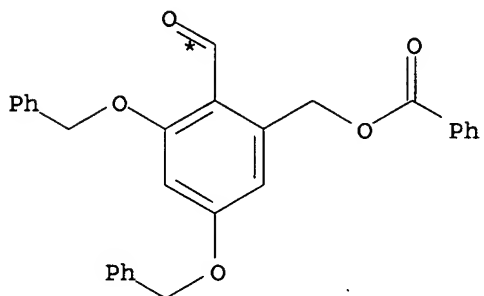


I

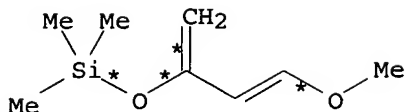
M
YIELD 66%

RX(4) RCT I 114644-80-3
 RGT N 10025-87-3 POCl₃
 PRO M 114644-81-4
 SOL 68-12-2 DMF

RX(5) OF 231 ...M + P ==> Q...

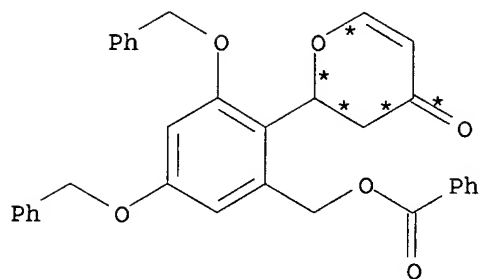


M



P





Q
YIELD 92%

RX(5) RCT M 114644-81-4, P 54125-02-9

STAGE(1)

CAT 18323-96-1 Ytterbium, tris(6,6,7,7,8,8,8-heptafluoro-2,2-dimethyl-3,5-octanedionato- κ O, κ O') -

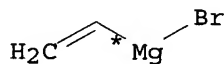
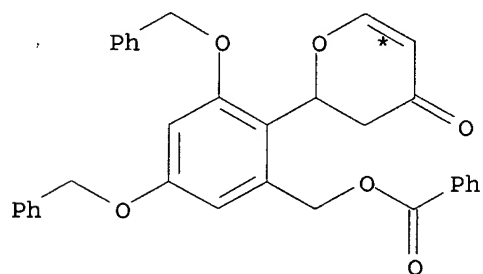
SOL 865-49-6 CDCl₃

STAGE(2)

CAT 76-05-1 F₃CCO₂H

PRO Q 114644-82-5

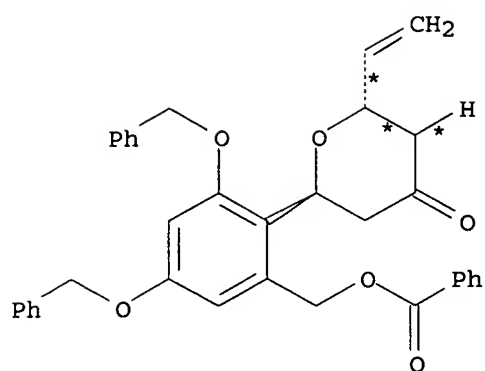
RX(6) OF 231 ...Q + U ==> V...



Q

U

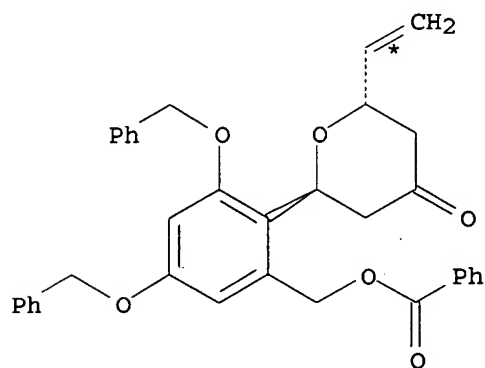
(6) \longrightarrow



V
YIELD 70%

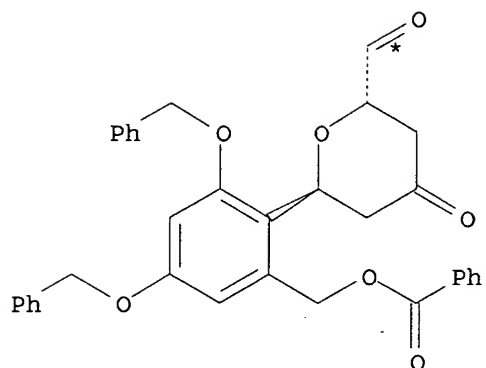
RX (6) RCT Q 114644-82-5, U 1826-67-1
RGT W 7681-65-4 CuI, X 75-18-3 Me2S
PRO V 114644-83-6
SOL 109-99-9 THF

RX (7) OF 231 ...V ==> Z...



V

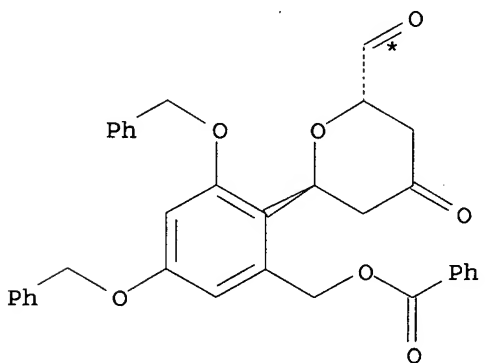
(7) \longrightarrow



Z

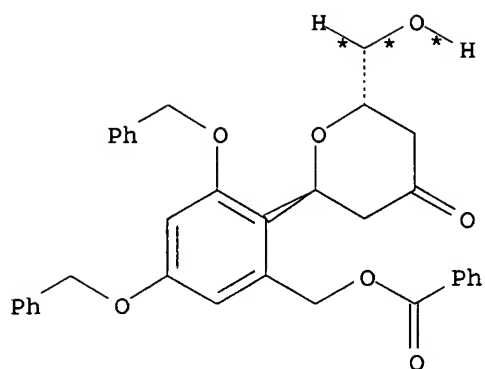
RX(7) RCT V 114644-83-6
 RGT AA 7790-28-5 NaIO4
 PRO Z 114644-85-8
 CAT 20816-12-0 OsO4
 SOL 123-91-1 Dioxane, 7732-18-5 Water

RX(8) OF 231 ...Z ==> AE...



Z

(8) →



AE

RX(8) RCT Z 114644-85-8

STAGE(1)

RGT AF 79172-99-9 (Et₃CO)₃AlH.Li

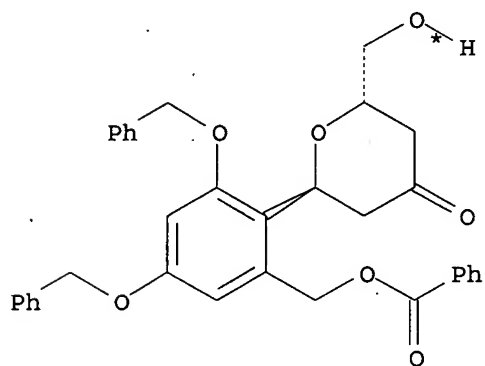
SOL 109-99-9 THF

STAGE(2)

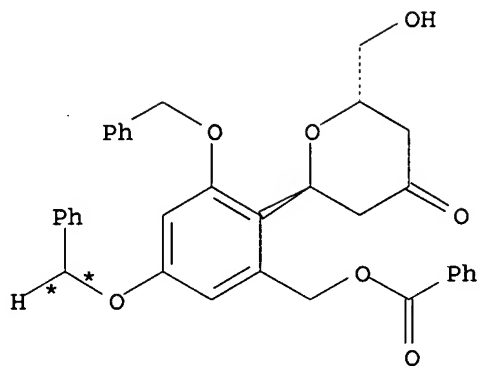
RGT AG 141-78-6 AcOEt

PRO AE 114656-16-5

RX(9) OF 231 ...2 AE ==> AH...



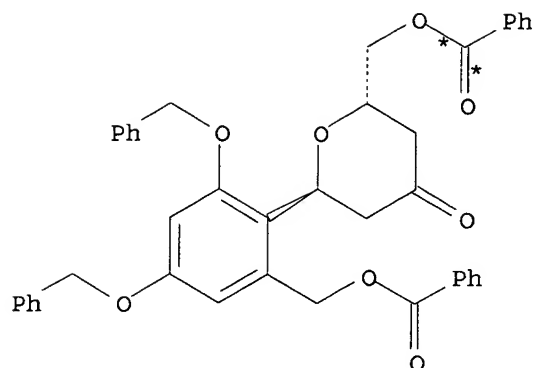
AE



AE

(9)

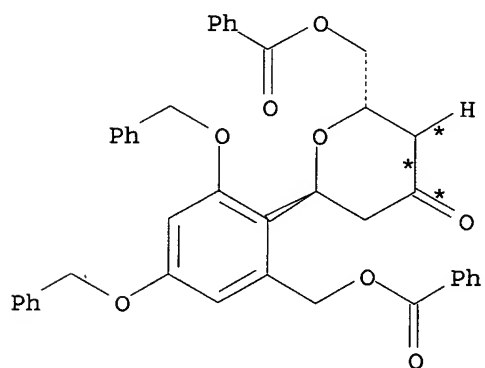




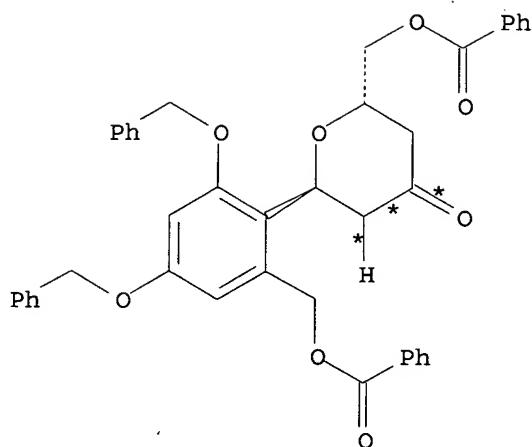
AH

RX(9) RCT AE 114656-16-5
 RGT K 98-88-4 PhCOCl
 PRO AH 114644-86-9
 SOL 110-86-1 Pyridine

RX(10) OF 231 ...2 AH ==> AJ + AK...

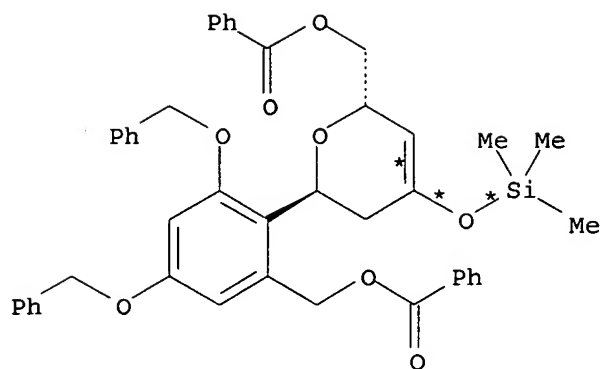


AH

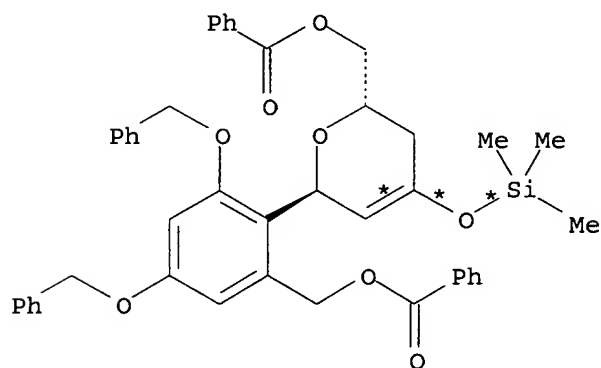


AH

(10) →



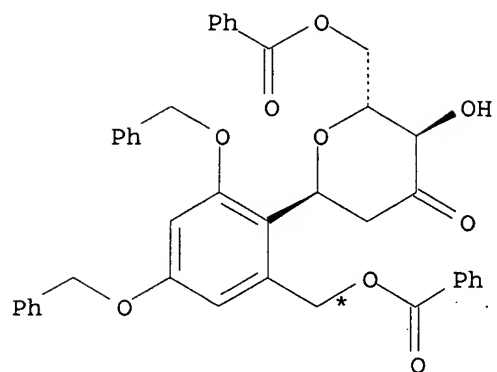
AJ



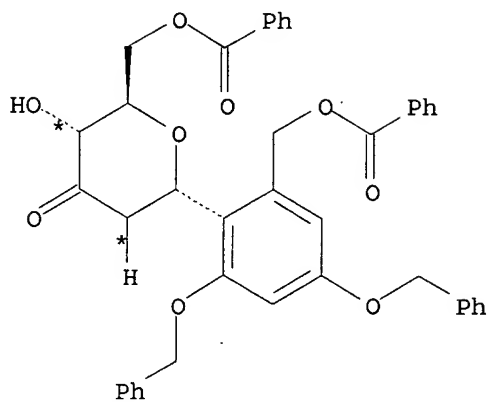
AK

RX(10) RCT AH 114644-86-9
 RGT AL 999-97-3 (Me3Si)2NH, AM 16029-98-4 Me3SiI
 PRO AJ 114644-87-0, AK 114656-19-8
 SOL 71-43-2 Benzene

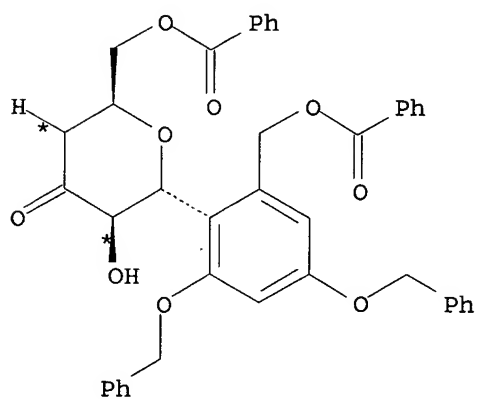
RX(11) OF 231 ...2 AO + 2 AP ==> AQ + AR...



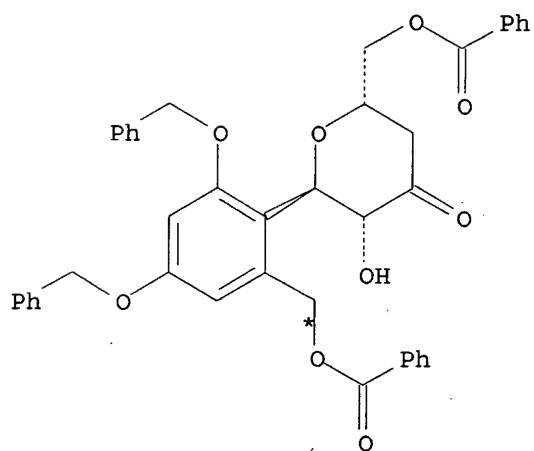
AO



AO

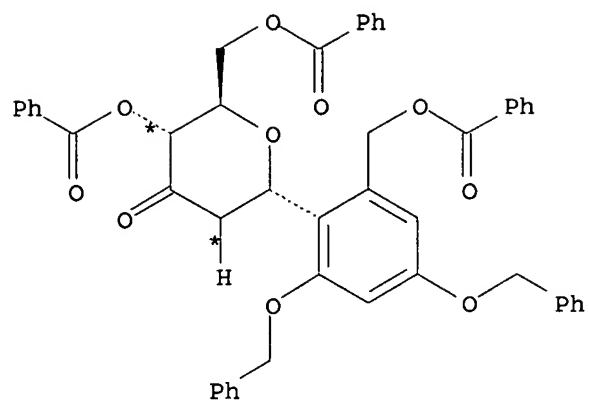


AP

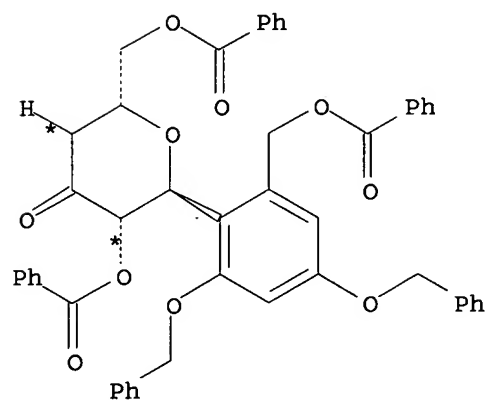


AP

(11) →



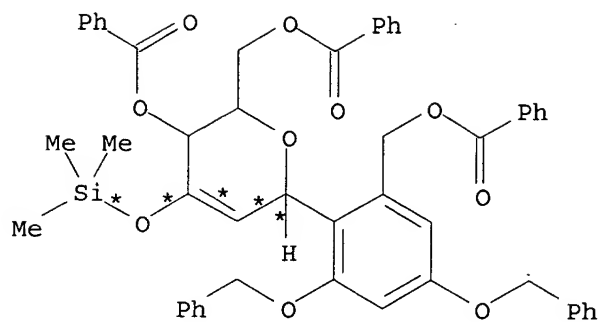
AQ



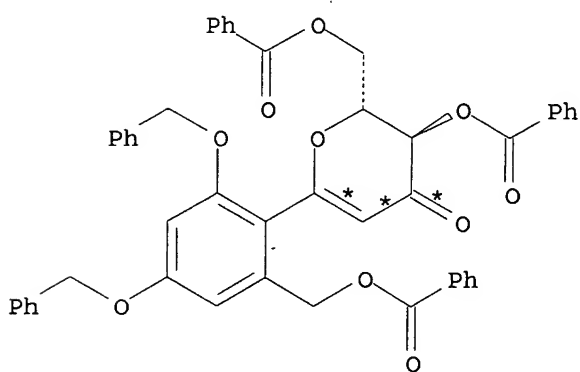
AR

RX(11) RCT AO 114644-93-8, AP 114644-94-9
RGT K 98-88-4 PhCOCl
PRO AQ 114644-88-1, AR 114651-76-2
SOL 110-86-1 Pyridine

RX(12) OF 231 ...AS ==> AT...



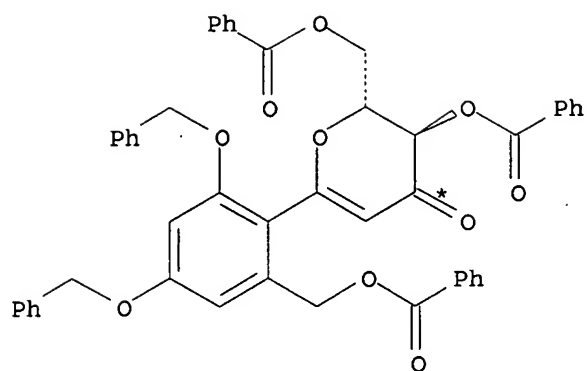
AS

(12)
→

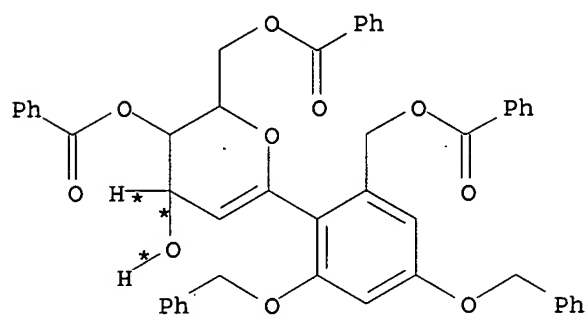
AT

RX (12) RCT AS 114644-95-0
 RGT AU 3375-31-3 Pd (OAc) 2
 PRO AT 114644-89-2
 SOL 75-05-8 MeCN

RX (13) OF 231 ...AT ==> AW...



AT

(13)
→

AW

RX(13) RCT AT 114644-89-2

STAGE(1)

RGT AX 1191-15-7 AlH(Bu-i)₂

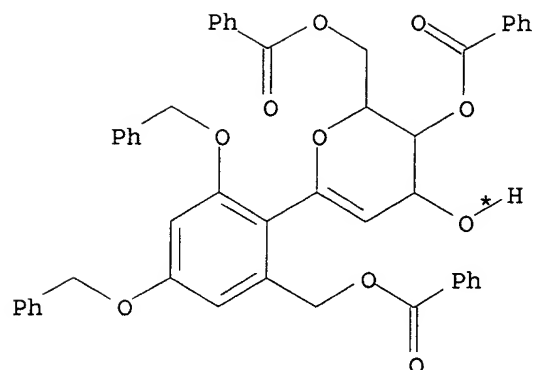
SOL 109-99-9 THF, 110-54-3 Hexane

STAGE(2)

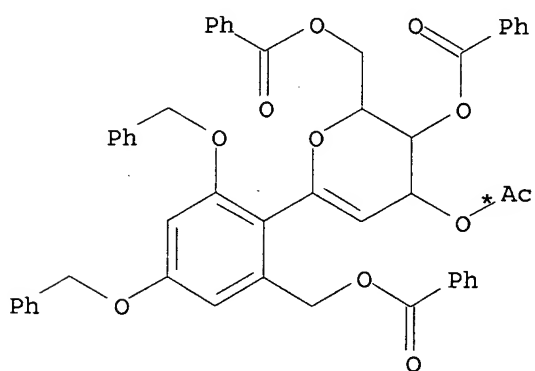
RGT AG 141-78-6 AcOEt

PRO AW 114644-90-5

RX(14) OF 231 ...AW ==> AZ...



AW

(14)
→

AZ

RX(14) RCT AW 114644-90-5
 RGT BA 108-24-7 Ac2O
 PRO AZ 114644-91-6
 SOL 110-86-1 Pyridine

RX(15) OF 231 ...2 AZ ==> BB + BC...



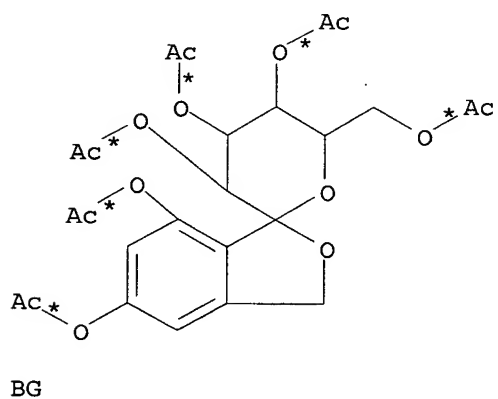
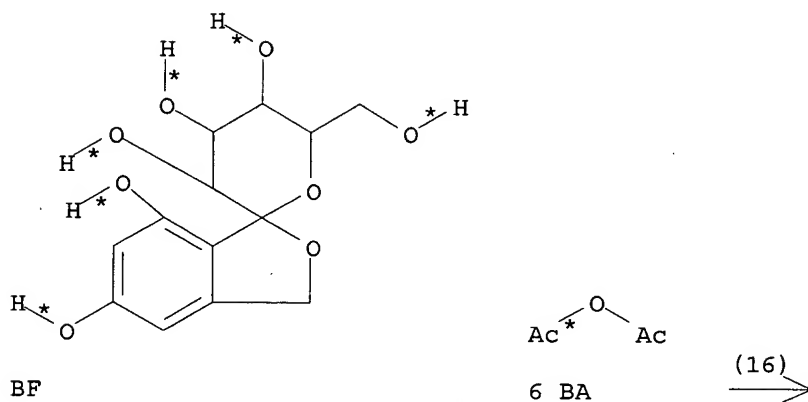
(15) →



RX (15) RCT AZ 114644-91-6

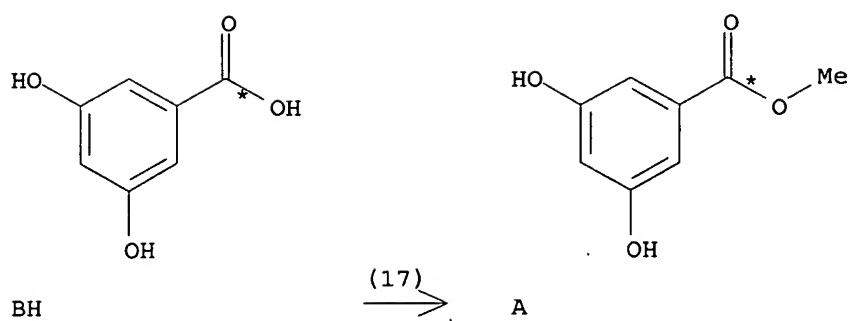
RGT BD 937-14-4 MCPBA
 PRO BB 114644-92-7, BC 114644-96-1
 SOL 67-56-1 MeOH, 109-99-9 THF
 NTE 82% overall

RX(16) OF 231 ...BF + 6 BA ==> BG



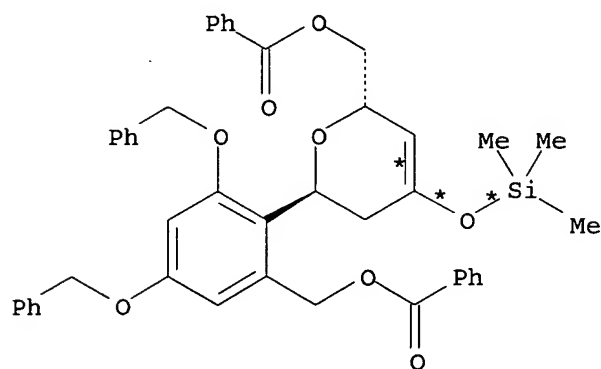
RX(16) RCT BF 114715-75-2, BA 108-24-7
 PRO BG 114656-18-7
 SOL 110-86-1 Pyridine

RX(17) OF 231 BH ==> A...

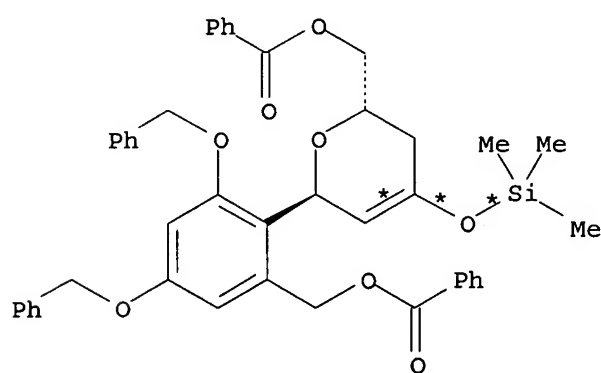


RX(17) RCT BH 99-10-5
 RGT BE 67-56-1 MeOH
 PRO A 2150-44-9
 CAT 7664-93-9 H2SO4
 SOL 67-56-1 MeOH

RX(18) OF 231 ...AJ + AK ==> AO + AP...

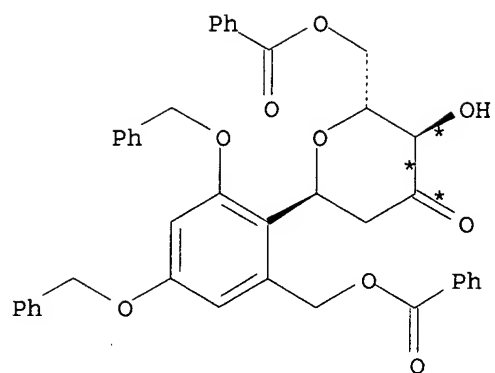


AJ

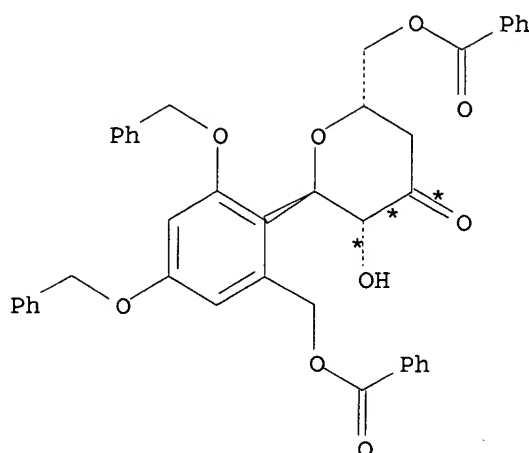


AK

(18)

AO



AP

RX(18) RCT AJ 114644-87-0, AK 114656-19-8

STAGE(1)

RGT BD 937-14-4 MCPBA

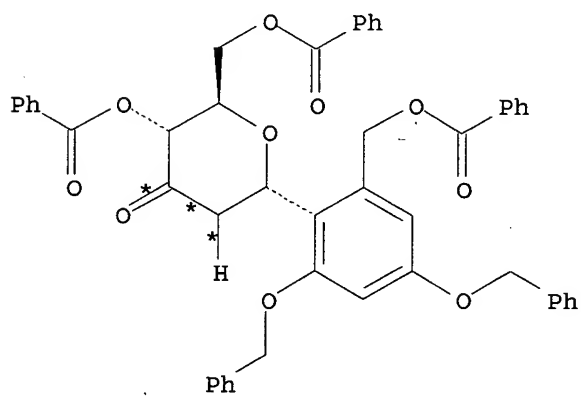
SOL 71-43-2 Benzene

STAGE(2)

SOL 67-56-1 MeOH, 109-99-9 THF

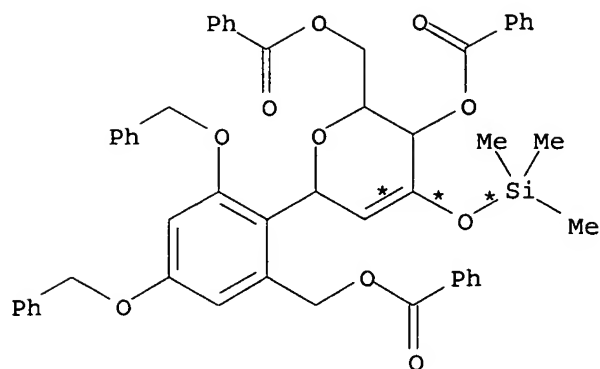
PRO AO 114644-93-8, AP 114644-94-9

RX(19) OF 231 ...AQ ==> AS...



AQ

(19) →



AS

RX(19) RCT AQ 114644-88-1

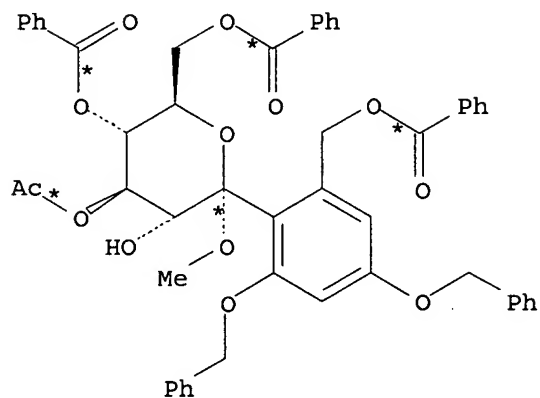
STAGE(1)

RGT AL 999-97-3 (Me₃Si)₂NH, BJ 109-72-8 BuLi, BK 680-31-9 HMPT
 SOL 109-99-9 THF, 110-54-3 Hexane

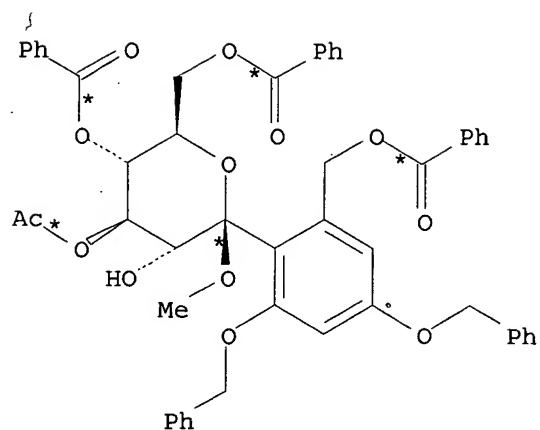
STAGE(2)

RGT BL 75-77-4 Me₃SiCl
 PRO AS 114644-95-0

RX(20) OF 231 ...BB + BC ==> 2 BM...

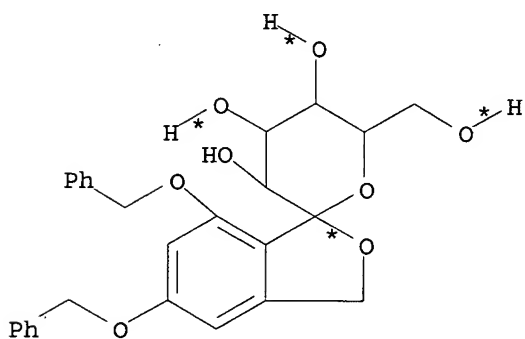


BB

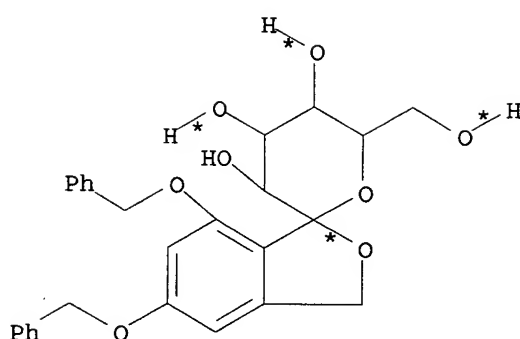


BC

(20)



BM



BM

RX(20) RCT BB 114644-92-7, BC 114644-96-1

STAGE(1)

RGT BN 1310-73-2 NaOH
 SOL 67-56-1 MeOH

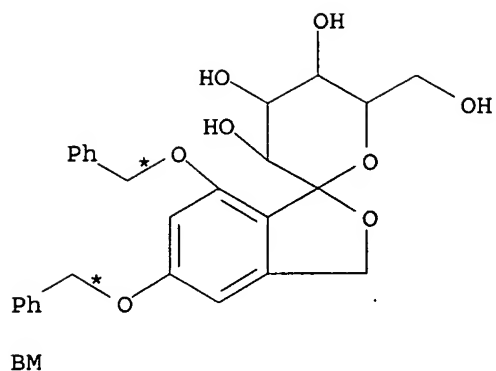
STAGE(2)

RGT BO 7647-01-0 HCl
 SOL 67-56-1 MeOH

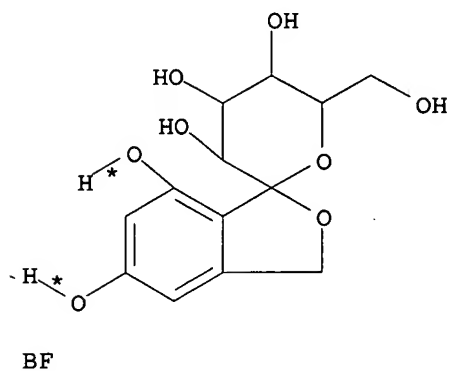
STAGE(3)

RGT BP 144-55-8 NaHCO3
 PRO BM 114644-98-3

RX(21) OF 231 ...BM ==> BF...



(21) →



RX(21) RCT BM 114644-98-3
 RGT BQ 1333-74-0 H2
 PRO BF 114715-75-2
 CAT 12135-22-7 Pd(OH)₂
 SOL 141-78-6 AcOEt

=> d iall hitstr 7-13

L27 ANSWER 7. OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
 ACCESSION NUMBER: 2004:569881 HCAPLUS
 DOCUMENT NUMBER: 141:89317
 ENTRY DATE: Entered STN: 16 Jul 2004
 TITLE: Methods of producing C-aryl glucoside SGLT2 inhibitors
 INVENTOR(S): Deshpande, Prashant P.; Ellsworth, Bruce A.; Singh, Janak; Denzel, Theodor W.; Lai, Chiajen; Crispino, Gerard; Randazzo, Michael E.; Gougoutas, Jack Z.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 31 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 INT. PATENT CLASSIF.:
 MAIN: C07H005-06
 SECONDARY: C08B037-00; C07H005-04
 US PATENT CLASSIF.: 536018700; 536001110

CLASSIFICATION: 33-3 (Carbohydrates)
 Section cross-reference(s): 1, 34, 63
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138439	A1	20040715	US 2003-745075	20031223
WO 2004063209	A2	20040729	WO 2003-US41373	20031223

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

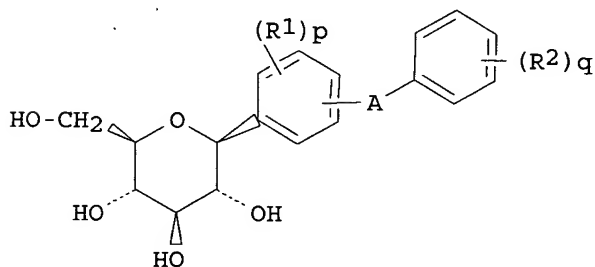
PRIORITY APPLN. INFO.:

US 2003-437847P P 20030103

PATENT CLASSIFICATION CODES:

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004138439	ICM	C07H005-06
	ICS	C08B037-00; C07H005-04
	NCL	536018700; 536001110

OTHER SOURCE(S): MARPAT 141:89317
 GRAPHIC IMAGE:



ABSTRACT:

Method for the production of C-aryl glucoside SGLT2 inhibitors I, wherein useful for the treatment of diabetes and related diseases (no data) and intermediates thereof. The C-aryl glucosides may be complexed with amino acid complex forming reagents. Thus, I (R1 = H, R2 = 4-Et, p = q = 1, A = CH2) was prepared as SGLT2 inhibitor.

SUPPL. TERM: SGLT2 inhibitor antidiabetic aryl glucoside prepn amino acid human

INDEX TERM: Glycosides
 ROLE: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (C-, aryl; methods of producing C-aryl glucoside SGLT2 inhibitors)

INDEX TERM: Human
 (methods of producing C-aryl glucoside SGLT2 inhibitors)

INDEX TERM: 21900-52-7P 68837-59-2P 333359-88-9P 333360-85-3P
 461432-22-4P 461432-23-5P 461432-25-7P
 714269-52-0P 714269-53-1P 714269-54-2P
 714269-55-3P 714269-56-4P 714269-57-5P
 714269-58-6P
 ROLE: IMF (Industrial manufacture); RCT (Reactant); SPN
 (Synthetic preparation); PREP (Preparation); RACT (Reactant
 or reagent)
 (methods of producing C-aryl glucoside SGLT2 inhibitors)

INDEX TERM: 333360-86-4P 335197-46-1P, SGLT2 461432-26-8P
 472968-87-9P
 ROLE: IMF (Industrial manufacture); SPN (Synthetic
 preparation); PREP (Preparation)
 (methods of producing C-aryl glucoside SGLT2 inhibitors)

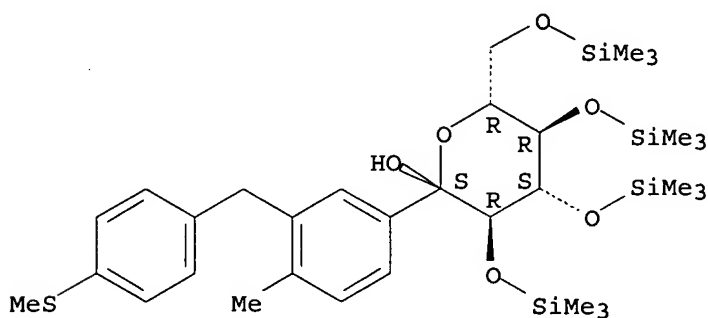
INDEX TERM: 63-91-2, L-Phenylalanine, reactions 75-77-4,
 Trimethylsilyl chloride, reactions 90-80-2 100-68-5,
 Thioanisole 103-73-1 109-02-4, 4-Methylmorpholine
 118-90-1, o-Toluic acid 1585-07-5 3132-99-8 21900-45-8
 333361-33-4 457051-14-8
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (methods of producing C-aryl glucoside SGLT2 inhibitors)

INDEX TERM: 32384-65-9P
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)
 (methods of producing C-aryl glucoside SGLT2 inhibitors)

IT 714269-52-0P 714269-53-1P 714269-55-3P
 714269-57-5P 714269-58-6P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
 preparation); PREP (Preparation); RACT (Reactant or reagent)
 (methods of producing C-aryl glucoside SGLT2 inhibitors)

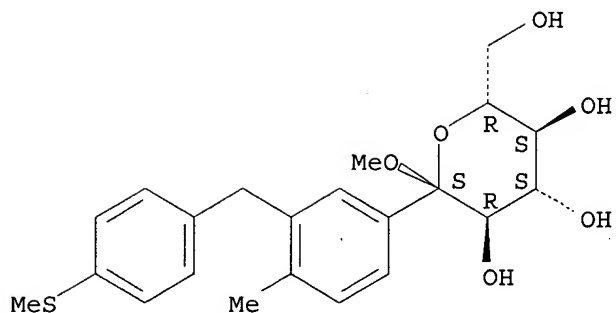
RN 714269-52-0 HCAPLUS
 CN α -D-Glucopyranose, 1-C-[4-methyl-3-[[4-(methylthio)phenyl]methyl]phe
 nyl]-2,3,4,6-tetrakis-O-(trimethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 714269-53-1 HCAPLUS
 CN α -D-Glucopyranoside, methyl 1-C-[4-methyl-3-[[4-(methylthio)phenyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

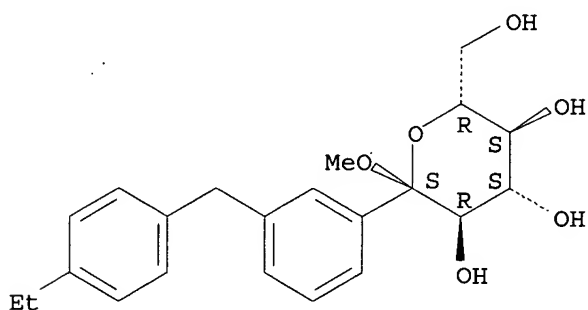
Absolute stereochemistry.



RN 714269-55-3 HCAPLUS

CN α-D-Glucopyranoside, methyl 1-C-[3-[(4-ethylphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

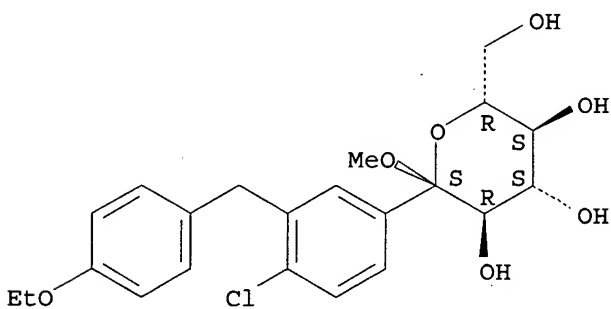
Absolute stereochemistry.



RN 714269-57-5 HCAPLUS

CN α-D-Glucopyranoside, methyl 1-C-[4-chloro-3-[(4-ethoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

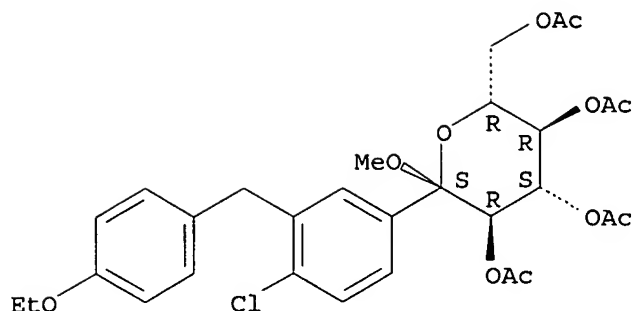
Absolute stereochemistry.



RN 714269-58-6 HCAPLUS

CN α-D-Glucopyranoside, methyl 1-C-[4-chloro-3-[(4-ethoxyphenyl)methyl]phenyl]-, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L27 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3
 ACCESSION NUMBER: 2002:736927 HCAPLUS
 DOCUMENT NUMBER: 137:247879
 ENTRY DATE: Entered STN: 27 Sep 2002
 TITLE: Preparation of antidiabetic agents C-aryl glucoside as human SGLT2 inhibitors
 INVENTOR(S): Ellsworth, Bruce; Washburn, William N.; Sher, Philip M.; Wu, Gang; Meng, Wei
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. 6,414,126.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 INT. PATENT CLASSIF.:
 MAIN: C07H001-00
 SECONDARY: A61K031-70
 US PATENT CLASSIF.: 536001110
 CLASSIFICATION: 33-3 (Carbohydrates)
 Section cross-reference(s): 1, 7, 63
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002137903	A1	20020926	US 2002-151436	20020520
US 6515117	B2	20030204		
US 6414126	B1	20020702	US 2000-679027	20001004
ZA 2002002604	A	20030703	ZA 2002-2604	20020403
WO 2003099836	A1	20031204	WO 2003-US15591	20030515

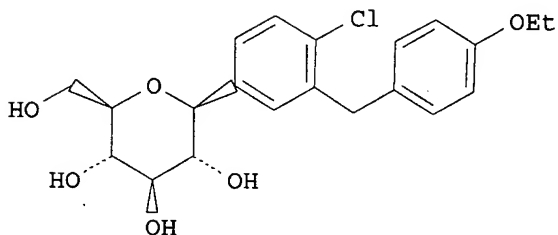
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
 US 1999-158773P P 19991012
 US 2000-194615P P 20000405
 US 2000-679027 A2 20001004
 US 2002-151436 A 20020520

PATENT CLASSIFICATION CODES:

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2002137903	ICM	C07H001-00
	ICS	A61K031-70
	NCL	536001110

GRAPHIC IMAGE:



I

ABSTRACT:

An SGLT2 inhibiting compound is provided having the formula I method is also provided for treating diabetes and related diseases employing an SGLT2 inhibiting amount of the above compound alone or in combination with another antidiabetic agent or other therapeutic agent (no data). 1A pharmaceutical combination comprising an SGLT2 inhibitor compound and an antidiabetic agent other than an SGLT2 inhibitor, for treating the complications of diabetes, an anti-obesity agent, an antihypertensive agent, an antiplatelet agent, an antiatherosclerotic agent, and/or a lipid-lowering agent (no data). A method for treating or delaying the progression or onset of diabetes, diabetic retinopathy, diabetic neuropathy, diabetic nephropathy, delayed wound healing, insulin resistance, hyperglycemia, hyperinsulinemia, elevated blood levels of fatty acids or glycerol, hyperlipidemia, obesity, hypertriglyceridemia, Syndrome X, diabetic complications, atherosclerosis or hypertension, or for increasing high d. lipoprotein levels, which comprises administering to a mammalian species in need of treatment a therapeutically effective amount of a compd (no data).

SUPPL. TERM: LDL cholesterol lowering glucoside prepn antidiabetic hypolipidemic; human SGLT2 inhibitor aryl glucoside prepn antidiabetic therapeutic hypolipidemic

INDEX TERM: Lipoprotein receptors
ROLE: BSU (Biological study, unclassified); BIOL (Biological study)
(LDL; preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: Antiarteriosclerotics
(antiatherosclerotics; preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: Kidney, disease
(diabetic nephropathy; preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: Lipids, biological studies
ROLE: BSU (Biological study, unclassified); BIOL (Biological study)
(hyperlipidemia; preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: Lipoproteins
ROLE: BSU (Biological study, unclassified); BIOL (Biological study)
(low-d.; preparation of antidiabetic agents C-aryl glucosides

as human SGLT2 inhibitors)

INDEX TERM: Antidiabetic agents
 Antihypertensives
 Arteriosclerosis
 Atherosclerosis
 Diabetes insipidus
 Diabetes mellitus
 Human
 Hypertension
 Hypolipemic agents
 Platelet aggregation inhibitors
 Thrombosis
 (preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: Embolism
 (thromboembolism; preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: 9027-63-8, ACAT 9029-60-1, Lipoxxygenase
 ROLE: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (inhibitor,; preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: 291541-96-3, HMG CoA reductase
 ROLE: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: 335197-46-1P, SGLT2 461432-27-9P
 461432-28-0P
 ROLE: BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: 51-64-9, Dexamphetamine 94-20-2, Chlorpropamide
 122-09-8, Phentermine 637-07-0, Clofibrate 657-24-9, Metformin 943-45-3D, Fibric acid, derivs. 9004-10-8, Insulin, biological studies 9077-14-9, Squalene synthetase 10238-21-8, Glyburide 14838-15-4, Phenylpropanolamine 21187-98-4, Glliclazide 22232-71-9, Mazindol. 25812-30-0, Gemfibrozil 29094-61-9, Glipizide 37250-24-1, HMG CoA reductase 49562-28-9, Fenofibrate 56180-94-0, Acarbose 72432-03-2, Miglitol 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 96829-58-2, Orlistat 97240-79-4, Topiramate 97322-87-7, Troglitazone 105816-04-4, Nateglinide 106650-56-0, Sibutramine 111025-46-8, Pioglitazone 122320-73-4, Rosiglitazone 134523-00-5, Atorvastatin 135062-02-1, Repaglinide 141750-63-2, Nisvastatin 141758-74-9, AC2993 144288-97-1, TS 962 145599-86-6, Cerivastatin 152755-31-2, LY295427. 159183-92-3, L750355 161600-01-7, Isaglitazone 166518-60-1, Avasimibe 170861-63-9, JTT-501 176435-10-2, LY315902 178759-95-0, MD 700 196808-45-4 199113-98-9, NN-2344 199914-96-0, YM-440 213252-19-8, KRP297 244081-42-3, AJ9677 287714-41-4, Rosuvastatin 335149-08-1, L895645 335149-14-9, R-119702 335149-15-0, KAD1129 335149-17-2, ARHO39242 335149-19-4, GW-409544 335149-23-0, NVPDPP-728A 335149-24-1, ATL-962

335149-25-2, CP331648 416839-88-8, Axokine 430433-17-3,
Glipyrside

ROLE: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: 461432-26-8P

ROLE: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: 461432-22-4P 461432-23-5P **461432-24-6P**

461432-25-7P 461432-29-1P

ROLE: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: 90-80-2 103-73-1, Phenetole 21739-92-4,

5-Bromo-2-chlorobenzoic acid

ROLE: RCT (Reactant); RACT (Reactant or reagent)

(preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

INDEX TERM: 32384-65-9P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

IT **461432-27-9P 461432-28-0P**

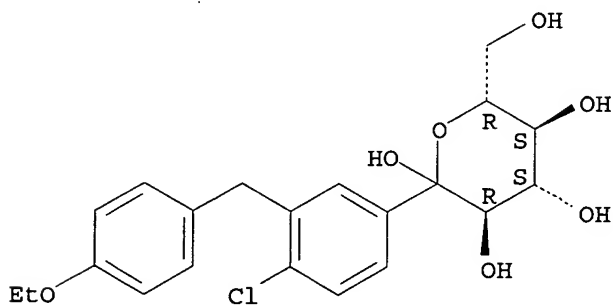
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

RN 461432-27-9 HCAPLUS

CN D-Glucopyranose, 1-C-[4-chloro-3-[(4-ethoxyphenyl)methyl]phenyl]- (9CI)
(CA INDEX NAME)

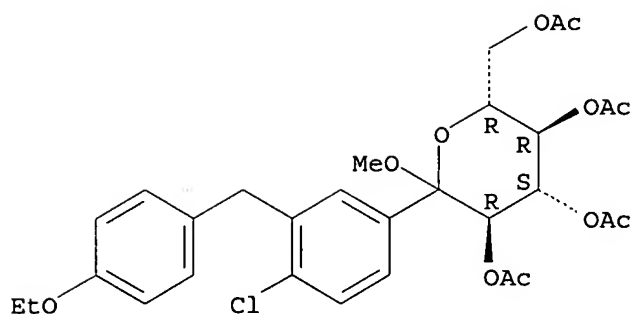
Absolute stereochemistry.



RN 461432-28-0 HCAPLUS

CN D-Glucopyranoside, methyl 1-C-[4-chloro-3-[(4-ethoxyphenyl)methyl]phenyl]-, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



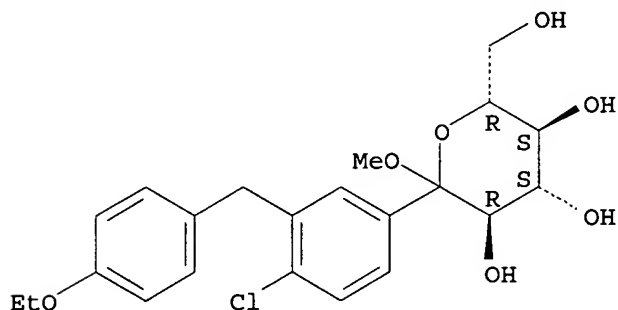
IT 461432-24-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antidiabetic agents C-aryl glucosides as human SGLT2 inhibitors)

RN 461432-24-6 HCAPLUS

CN D-Glucopyranoside, methyl 1-C-[4-chloro-3-[(4-ethoxyphenyl)methyl]phenyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L27 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:780686 HCAPLUS

DOCUMENT NUMBER: 141:296242

ENTRY DATE: Entered STN: 24 Sep 2004

TITLE: Preparation of C-glycoside derivatives and salts thereof as Na⁺-glucose co-transporter inhibitor
INVENTOR(S): Imamura, Masakazu; Murakami, Takeshi; Shiraki, Ryota; Ikegai, Kazuhiro; Sugane, Takashi; Iwasaki, Fumiyoshi; Kurosaki, Eiji; Tomiyama, Hiroshi; Noda, Atsushi; Kitta, Kayoko; Kobayashi, Yoshinori

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co. Ltd., Japan; Kotobuki Pharmaceutical Co. Ltd.

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

INT. PATENT CLASSIF.:

MAIN: C07D309-10

SECONDARY: C07D405-04; C07D405-10; C07D407-04; C07D407-10;
C07D409-04; C07D409-10; C07D409-14; C07D413-10;
C07D417-10; C07D495-04; A61K031-351; A61K031-381;

A61K031-4035; A61K031-404; A61K031-41; A61K031-4184;
A61K031-423; A61K031-428; A61K031-4433
33-6 (Carbohydrates)

CLASSIFICATION:

Section cross-reference(s): 1

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080990	A1	20040923	WO 2004-JP3324	20040312
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

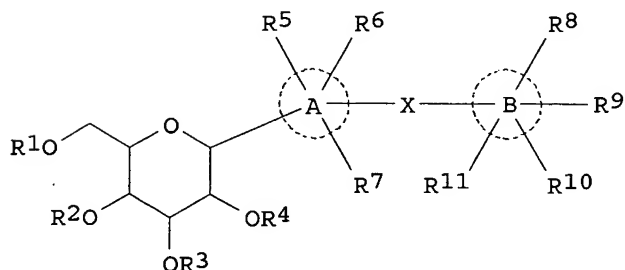
PRIORITY APPLN. INFO.:

JP 2003-70297 A 20030314

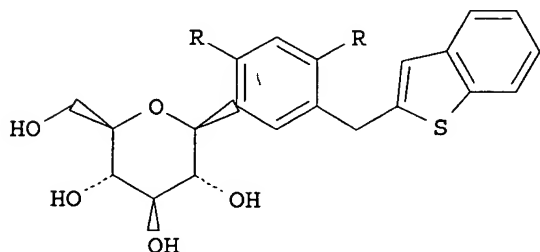
PATENT CLASSIFICATION CODES:

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004080990	ICM	C07D309-10
	ICS	C07D405-04; C07D405-10; C07D407-04; C07D407-10; C07D409-04; C07D409-10; C07D409-14; C07D413-10; C07D417-10; C07D495-04; A61K031-351; A61K031-381; A61K031-4035; A61K031-404; A61K031-41; A61K031-4184; A61K031-423; A61K031-428; A61K031-4433
WO 2004080990	ECLA	A61K031/351; A61K031/381; A61K031/4035; A61K031/404; A61K031/41; A61K031/4184; A61K031/423; A61K031/28; A61K031/4433; A61K031/497; A61K031/5377

GRAPHIC IMAGE:



I



II

ABSTRACT:

C-glycoside derivs. represented by the following general formula (I) or salts thereof [wherein ring A = benzene, 5- or 6-membered monocyclic heteroaryl ring containing 1-4 heteroatoms selected from N, S, and O, or (un)saturated 8- to 10-membered bicyclic heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O; ring B = (un)saturated 8- to 10-membered bicyclic heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O, (un)saturated 5- to 6-membered heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O, (un)saturated

8- to 10-membered carbocyclic ring, or benzene ring; X = a bond, lower alkylene; R1-R4 = H, lower alkyl, lower alkylcarbonyl, lower alkylene-aryl; R5-R11 = H, lower alkyl, cycloalkyl, halo, halo-lower alkyl, OH, oxo, NH2, lower alkylsulfonyl, halo-lower alkylsulfonyl, arylsulfonyl, aryl, (un)saturated 5- or 6-membered monocyclic heterocyclyl containing 1-4 heteroatoms selected from N, S, and O, hydroxy-lower alkyl, lower alkoxy-lower alkyl, etc.] are prepared. These C-glycosides, more specifically C-glucosides, are useful as Na⁺-glucose cotransporter inhibitors in remedies for, e.g., diabetes, in particular, insulin-independent diabetes (type 2 diabetes) and insulin-dependent diabetes (type 1 diabetes), as well as remedies for insulin resistance diseases and various diseases relating to diabetes including obesity. Thus, lithiation of benzo[b]thiophene with BuLi/hexane in THF at -78° for 2 h, addition reaction with 3-(2,3,4,6-tetra-O-benzyl-β-D-glucopyranosyl)benzaldehyde for 5 h, reduction with triethylsilane in the presence of BF₃.OEt₂ in CH₂Cl₂ for 2 h under ice-cooling, and finally debenzylation with BBr₃/heptane in CH₂Cl₂ at -78° for 90 min gave (1S)-1,5-anhydro-2,3,4,6-tetra-O-benzyl-1-[3-(1-benzothiophen-2-ylmethyl)phenyl]-D-glucitol (II; R = H). II (R = OMe) showed IC₅₀ of 3.8 nM for inhibiting the uptake of Me α-D-(U-14C)glucopyranoside in CHO cells stably expressing human Na⁺-glucose transporter (SGLT2).

SUPPL. TERM: diabetes treatment C glucoside prepn; C glycoside prepn
sodium glucose cotransporter inhibitor

INDEX TERM: Transport proteins
ROLE: BSU (Biological study, unclassified); BIOL (Biological study)
(glucose-sodium cotransporter; preparation of C-glycoside derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: Diabetes mellitus
(insulin-dependent; preparation of C-glycoside derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: Diabetes mellitus
(non-insulin-dependent; preparation of C-glycoside derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: Antidiabetic agents
Antiobesity agents
Diabetes mellitus
Obesity
(preparation of C-glycoside derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: 761423-35-2P 761423-36-3P 761423-37-4P 761423-38-5P
761423-39-6P 761423-40-9P 761423-41-0P 761423-42-1P
761423-43-2P 761423-44-3P 761423-45-4P 761423-46-5P
761423-47-6P 761423-48-7P 761423-49-8P 761423-50-1P
761423-51-2P 761423-52-3P 761423-54-5P 761423-56-7P
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761423-66-9P	761423-69-2P	761423-71-6P	761423-74-9P
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761424-35-5P	761424-36-6P	761424-37-7P	761424-38-8P

ROLE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of C-glycoside derivs. and salts thereof as Na+-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM:

50-00-0, Formalin, reactions 67-56-1, Methanol, reactions 67-63-0, 2-Propanol, reactions 74-88-4, Methyl iodide, reactions 75-15-0, Carbon disulfide, reactions 75-36-5, Acetyl chloride 85-41-6, Phthalimide 95-15-8, Benzo[b]thiophene 107-30-2, Chloromethyl methyl ether 108-24-7, Acetic anhydride 108-36-1, 1,3-Dibromobenzene 109-04-6, 2-Bromopyridine 109-97-7, Pyrrole 110-91-8, Morpholine, reactions 137-43-9, Cyclopentyl bromide 358-23-6, Trifluoromethanesulfonic anhydride 615-22-5, 2-(Methylthio)benzothiazole 630-08-0, Carbon monoxide, reactions 1003-09-4, 2-Bromothiophene 1455-18-1 1461-22-9, Chlorotributyltin 2830-53-7, 1-Benzyloxy-2-bromo-4-methylbenzene 3132-99-8, 3-Bromobenzaldehyde 4748-78-1, 4-Ethylbenzaldehyde 4774-14-5, 2,6-Dichloropyrazine 5002-26-6, 4-Bromo-2-methylbiphenyl 7664-41-7, Ammonia, reactions 10485-09-3 13096-62-3 16331-45-6, 4-Ethylbenzoyl chloride 18162-48-6, tert-Butyldimethylsilyl chloride 20232-11-5 24623-20-9, 6-Methylindan-1-one 26386-88-9, Diphenyl phosphoryl azide 35355-36-3 36880-33-8, 5-Ethylthiophene-2-carboxaldehyde 57825-30-6, 4-Ethylbenzyl bromide 60456-22-6 67073-72-7, 1-Bromo-3-(dimethoxymethyl)benzene 111762-31-3, 3-Bromophenylmagnesium bromide 116096-90-3, 5-Bromo-2,4-dihydroxybenzaldehyde 122741-44-0 143615-45-6 157427-46-8 174265-12-4, 5-Chloro-2-bromobenzaldehyde 216755-56-5, (3-Bromo-5-fluorophenyl)methanol 253170-14-8 655237-51-7 761424-92-4 761425-07-4 761425-12-1 761425-15-4 761425-18-7 761425-19-8 761425-20-1

ROLE: RCT (Reactant); RACT (Reactant or reagent)

(preparation of C-glycoside derivs. and salts thereof as Na+-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM:

7480-80-0P	22430-63-3P	41895-58-3P	200425-63-4P
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4-Bromo-2-(dibromomethyl)biphenyl 761424-93-5P
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ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)

(preparation of C-glycoside derivs. and salts thereof as
Na⁺-glucose cotransporter inhibitors for treatment of
diabetes)

INDEX TERM: 9004-10-8, Insulin, biological studies

ROLE: BSU (Biological study, unclassified); BIOL (Biological
study)

(resistance; preparation of C-glycoside derivs. and salts
thereof as Na⁺-glucose cotransporter inhibitors for
treatment of diabetes)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD.

REFERENCE(S): (1) Andrade-Cetto, A; Journal of Ethnopharmacology 2001,
V78, P145 HCAPLUS
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IT 761425-13-2P 761425-14-3P 761425-16-5P

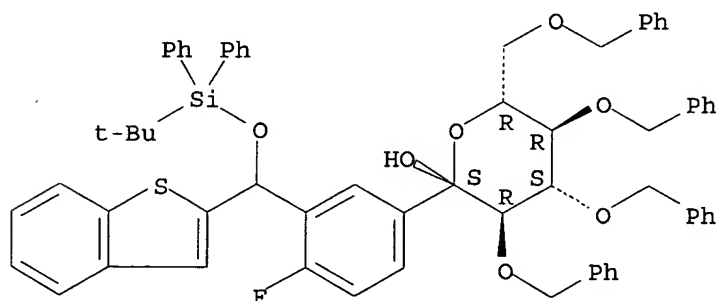
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of C-glycoside derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

RN 761425-13-2 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

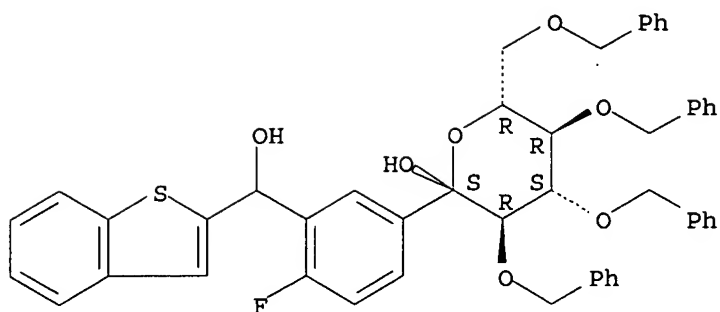
Absolute stereochemistry.



RN 761425-14-3 HCAPLUS

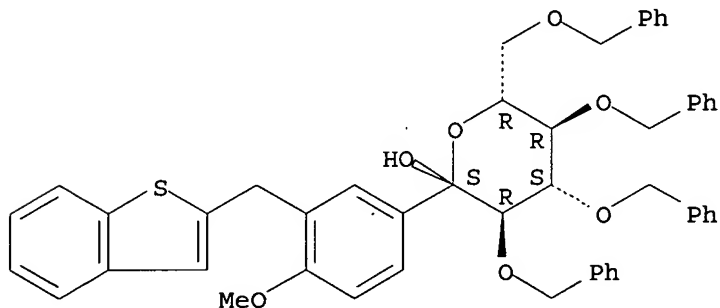
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Absolute stereochemistry.



RN 761425-16-5 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



L27 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:120840 HCAPLUS
DOCUMENT NUMBER: 140:164134
ENTRY DATE: Entered STN: 13 Feb 2004
TITLE: Preparation of 1,5-anhydro-1-[3-(azulen-2-ylmethyl)phenyl]-D-glucitol derivatives and salts thereof for treatment of diabetes
INVENTOR(S): Tomiyama, Hiroshi; Noda, Atsushi; Kitta, Kayoko; Kobayashi, Yoshinori; Imamura, Masakazu; Murakami, Takeshi; Ikegai, Kazuhiro; Suzuki, Takayuki; Kurosaki, Eiji
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Kotobuki Pharmaceutical Co., Ltd.; et al.
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
INT. PATENT CLASSIF.:
MAIN: C07D309-12
SECONDARY: A61K031-351; A61P003-04; A61P003-10; A61P043-00
CLASSIFICATION: 33-3 (Carbohydrates)
Section cross-reference(s): 1
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

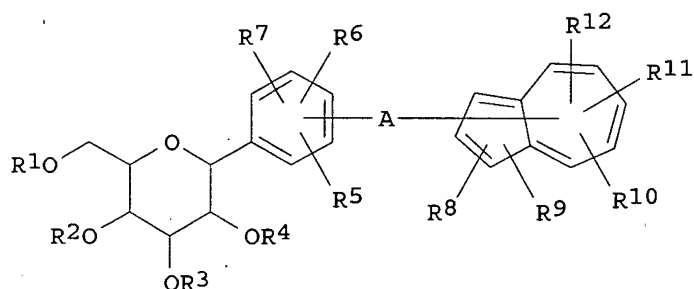
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004013118 A1 20040212 WO 2003-JP9868 20030804
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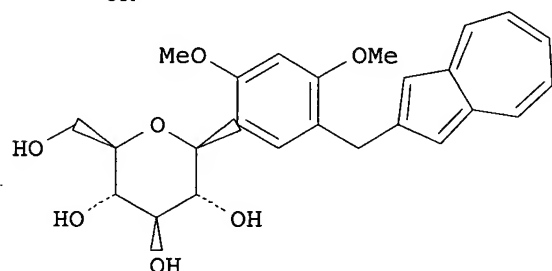
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PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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	ICS	A61K031-351; A61P003-04; A61P003-10; A61P043-00
OTHER SOURCE(S):		MARPAT 140:164134

GRAPHIC IMAGE:



I



II

ABSTRACT:

Azulene derivs. represented by the following general formula (I) and salts thereof [R1-R4 = H, (un)substituted lower alkyl, lower alkyl-carbonyl, or aryl-lower alkyl; R5-R12 = H, (un)substituted lower alkyl, lower alkoxy, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy, aryl-lower alkoxy, lower alkylcarbonyloxy-lower alkyl, lower alkoxy-carbonyl, or NH2, halo, HO, CO2H, NO2, cyano; A = a bond, (un)substituted lower alkylene, wherein A is attached to any of 1-8 positions; or any two of R5-R7 together with the adjacent carbon atoms form a benzene ring] are prepared. These C-glycosides are useful as Na⁺-glucose cotransporter (SGLT) inhibitors in, for example, remedies for diabetes, etc., in particular, insulin-independent diabetes (type 2 diabetes), insulin-dependent diabetes (type 1 diabetes), etc., and remedies for various diabetes-related diseases such as insulin resistant disease and obesity. For example, (1S)-1,5-anhydro-1-[2,4-dimethoxy-5-(azulen-2-ylmethyl)phenyl]-D-glucitol (II) in vitro inhibited the uptake of Me

α -D-(U-14C)glucopyranoside in CHO cells stably expressing human SGLT2 with IC50 of 5.7 nM in a human SGLT2 inhibitory assay. II in vivo at 3 mg/kg p.o. lowered the blood sugar level by 45% in KK-Ay mice.

SUPPL. TERM: azulenylmethylphenyldeoxyglucose prepn treatment diabetes; sodium glucose cotransporter inhibitor azulene C glycoside prepn; azulenylmethylphenylanhydroglucitol prepn treatment diabetes

INDEX TERM: Glycosides
 ROLE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (C-; preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: Transport proteins
 ROLE: BSU (Biological study, unclassified); BIOL (Biological study)
 (glucose-sodium cotransporter, SGLT2; preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: Diabetes mellitus
 (insulin-dependent; preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: Diabetes mellitus
 (non-insulin-dependent; preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: Antidiabetic agents
 Antiobesity agents
 Diabetes mellitus
 Human
 Obesity
 (preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: 655237-17-5P 655237-18-6P 655237-19-7P 655237-20-0P
 655237-21-1P 655237-22-2P 655237-23-3P 655237-24-4P
 655237-25-5P 655237-26-6P
 ROLE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (claims compd; preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM: 655236-42-3P 655236-43-4P 655236-44-5P 655236-45-6P
 655236-46-7P 655236-47-8P 655236-48-9P 655236-49-0P
 655236-50-3P 655236-51-4P 655236-52-5P 655236-53-6P
 655236-54-7P 655236-55-8P 655236-56-9P 655236-57-0P
 655236-58-1P 655236-59-2P 655236-60-5P 655236-61-6P
 655236-62-7P 655236-63-8P 655236-64-9P 655236-65-0P
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655236-86-5P 655236-87-6P 655236-88-7P 655236-89-8P
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 655237-06-2P 655237-07-3P 655237-08-4P 655237-09-5P
 655237-10-8P 655237-11-9P 655237-12-0P 655237-13-1P
 655237-14-2P 655237-15-3P 655237-16-4P

ROLE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM:

68-12-2, N,N-Dimethylformaldehyde, reactions 74-88-4, Methyl iodide, reactions 95-52-3, 2-Fluorotoluene 100-39-0, Benzyl bromide 107-30-2, Chloromethyl methyl ether 604-69-3, 1,2,3,4,6-Penta-O-acetyl-β-D-glucopyranose 769-31-3, 1-Methylazulene 813-19-4, Hexabutyliditin 1711-09-7, 3-Bromobenzoyl chloride 1779-49-3, Methyltriphenylphosphonium bromide 2612-56-8, 1,2-Diethoxy-4-methylbenzene 13096-62-3, 2,3,4,6-Tetra-O-benzyl-D-glucono-1,5-lactone 17715-69-4, 1-Bromo-2,4-dimethoxybenzene 18162-48-6, tert-Butyldimethylsilyl chloride 38692-80-7, 3-Bromo-4-hydroxyphenylacetic acid 54798-16-2, 2-Chloroazulene-1-carboxylic acid methyl ester 80866-82-6, 5-Bromo-2-methoxybenzyl alcohol 102943-13-5, 6-Isopropylazulene 103260-55-5, 3-Bromo-4-ethoxytoluene 125343-69-3 655237-91-5

ROLE: RCT (Reactant); RACT (Reactant or reagent)

(preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

INDEX TERM:

201150-66-5P 333360-95-5P 333360-97-7P 333360-99-9P
 655237-27-7P 655237-28-8P 655237-29-9P
 655237-30-2P 655237-31-3P 655237-32-4P 655237-33-5P
 655237-34-6P 655237-35-7P 655237-36-8P 655237-37-9P
 655237-38-0P 655237-39-1P 655237-40-4P 655237-41-5P
 655237-42-6P 655237-43-7P 655237-44-8P 655237-45-9P
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 655237-82-4P 655237-83-5P 655237-84-6P 655237-85-7P
 655237-86-8P 655237-87-9P 655237-88-0P 655237-89-1P
 655237-90-4P 655237-92-6P 655240-34-9P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

IT 655237-29-9P

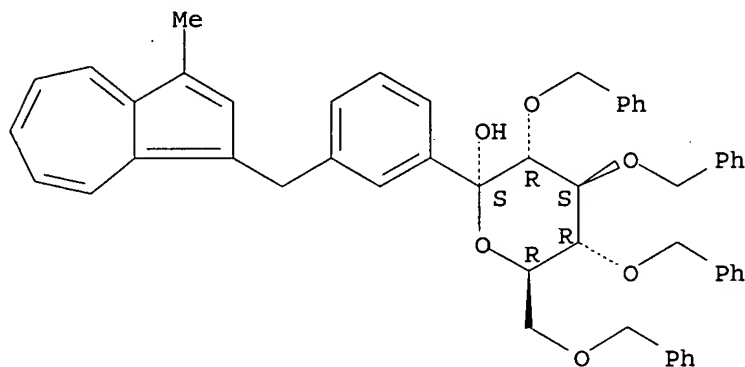
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [(azulenylmethyl)phenyl]deoxyglucose derivs. and salts thereof as Na⁺-glucose cotransporter inhibitors for treatment of diabetes)

RN 655237-29-9 HCAPLUS

CN α -D-Glucopyranose, 1-C-[3-[(3-methyl-1-azulenyl)methyl]phenyl]-2,3,4,6-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L27 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:1013855 HCAPLUS

DOCUMENT NUMBER: 140:199547

ENTRY DATE: Entered STN: 31 Dec 2003

TITLE: Stereoselective synthesis of C- and N-ketosides by lewis acid-catalyzed C- and N-glycosidation of alkynyl, phenyl, and methyl ketoses

AUTHOR(S): Gomez, Ana M.; Uriel, Clara; Jarosz, Slawomir; Valverde, Serafin; Lopez, J. Cristobal

CORPORATE SOURCE: Instituto de Quimica Organica General (CSIC), Madrid, 28006, Spain

SOURCE: European Journal of Organic Chemistry (2003), (24), 4830-4837

CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

CLASSIFICATION: 33-7 (Carbohydrates)

ABSTRACT:

C-Ketosides can be prepared conveniently, in a stereoselective manner, from alkynyl, Ph and Me glucopyranose hemiketals by reaction with carbon nucleophiles in the presence of Lewis acids. The reaction of the hemiketals with trimethylsilyl azide provides an efficient route to the corresponding N-ketopyranosides.

SUPPL. TERM: C ketoside stereoselective synthesis; glycoside hemiketal C glycosylation

INDEX TERM: Glycosides

ROLE: SPN (Synthetic preparation); PREP (Preparation)
(C-; ketosides; stereoselective synthesis of C- and N-ketosides via glycosylation of Me glucopyranose hemiketals with carbon nucleophiles in the presence of Lewis acids)

INDEX TERM: Glycosylation
Stereoselective synthesis

(stereoselective synthesis of C- and N-ketosides via glycosylation of Me glucopyranose hemiketals with carbon nucleophiles in the presence of Lewis acids)

INDEX TERM: 150-78-7 536-74-3, Phenylacetylene 591-51-5, Phenyl lithium 621-23-8 762-72-1 1066-54-2 4648-54-8 7677-24-9 13735-81-4 35510-38-4 502183-14-4

ROLE: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective synthesis of C- and N-ketosides via glycosylation of Me glucopyranose hemiketals with carbon nucleophiles in the presence of Lewis acids)

INDEX TERM: 502183-13-3P 660816-79-5P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective synthesis of C- and N-ketosides via glycosylation of Me glucopyranose hemiketals with carbon nucleophiles in the presence of Lewis acids)

INDEX TERM: 354528-76-0P 415684-24-1P 502183-15-5P 502183-16-6P 502183-17-7P 502183-18-8P 502183-19-9P 502183-20-2P 502183-21-3P 502183-22-4P 502183-23-5P 502183-24-6P 658704-44-0P 660816-80-8P 660816-81-9P 660816-82-0P 660816-83-1P 660816-84-2P

ROLE: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective synthesis of C- and N-ketosides via glycosylation of Me glucopyranose hemiketals with carbon nucleophiles in the presence of Lewis acids)

REFERENCE COUNT: 121 THERE ARE 121 CITED REFERENCES AVAILABLE FOR THIS RECORD.

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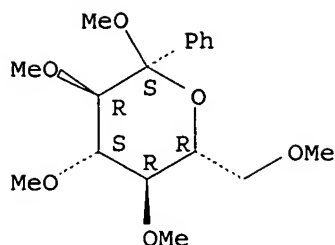
IT 660816-82-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective synthesis of C- and N-ketosides via glycosylation of
Me glucopyranose hemiketals with carbon nucleophiles in the presence of
Lewis acids)

RN 660816-82-0 HCAPLUS

CN α -D-Glucopyranoside, methyl 2,3,4,6-tetra-O-methyl-1-C-phenyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L27 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:502892 HCAPLUS
 DOCUMENT NUMBER: 133:222904
 ENTRY DATE: Entered STN: 26 Jul 2000
 TITLE: Glycosylidene carbenes, Part 29: Insertion into B-C and Al-C bonds: glycosylborinates, -boranes, and -alanes

AUTHOR(S): Wenger, Wolfgang; Vasella, Andrea
 CORPORATE SOURCE: Laboratorium fur Organische Chemie, ETH-Zentrum, Zurich, CH-8092, Switz.
 SOURCE: Helvetica Chimica Acta (2000), 83(7), 1542-1560
 CODEN: HCACAV; ISSN: 0018-019X
 PUBLISHER: Verlag Helvetica Chimica Acta
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 CLASSIFICATION: 33-3 (Carbohydrates)

Section cross-reference(s): 75
 OTHER SOURCE(S): CASREACT 133:222904

ABSTRACT:

Insertion of the glycosylidene carbenes derived from diazirines into the B-alkyl bond of B-alkyl-9-oxa-10-borabicyclo[3.3.2]decane yielded the stable glycosylborinates in 31 to 55% yields. Crystal-structure anal. of 10-[4,5-di-O-benzyl-6,8-O-benzylidene-1-C-(4-chlorophenyl)-1,2-dideoxy-β-D-glucopyranosyl]-9-oxa-10-borabicyclo[3.3.2]decane and NOEs of two derivs. show that they adopt similar conformations. The glycosylborinates are stable under acidic, basic and thermal conditions. The unprotected glycosylborinate was obtained in 80% by hydrogenolysis of 10-(2,3,4,6-tetra-O-benzyl-1-C-cyclopentyl-α-D-glucopyranosyl)-9-oxa-10-borabicyclo[3.3.2]decane. Insertion of the glycosylidene carbene derived from the tetrabenzylated gluco-diazirine into a B-C bond of B₂Et₃, B₂Bu₃, and BPh₃ led to unstable glycosylboranes that were oxidized to yield the hemiacetals in 13 to 55% yields. Insertion of the glycosylidene carbenes derived from the manno-isomer and the benzylidene-protected analog into a B-C bond of B₂Et₃ led exclusively to hemiacetals; only the manno-isomer yielding traces of the glucal besides the hemiacetal. The glycosylidene carbene derived from the tetrabenzylated gluco-diazirine reacted with Al(*i*Bu)₃ and AlMe₃ to generate reactive glycosylalanes that were hydrolyzed, yielding the C-glycosides, besides the glucals; deuteriolysis instead of protonolysis led to the monodeuterio analogs, which possess an equatorial 2H-atom at the anomeric center.

SUPPL. TERM: crystal structure glycosylborinate; glycosyl borane borinate
 alane synthesis glycosylidene carbene diazirine;
 glycosylidene carbene insertion reaction thermolysis
 alkylborabicyclodecane

INDEX TERM: Carbenes (methylene derivatives)
 ROLE: SPN (Synthetic preparation); PREP (Preparation)

(Glycosylidene; insertion reaction of glycosylidene carbenes into B-C and Al-C bonds to give glycosylborinates, -boranes, and -alanes)

INDEX TERM: Boranes
 ROLE: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (glycosyl derivs.; insertion reaction of glycosylidene carbenes into B-C and Al-C bonds to give glycosylborinates, -boranes, and -alanes)

INDEX TERM: Insertion reaction
 (insertion reaction of glycosylidene carbenes into B-C and Al-C bonds to give glycosylborinates, -boranes, and -alanes)

INDEX TERM: Glycosides
 ROLE: SPN (Synthetic preparation); PREP (Preparation)
 (insertion reaction of glycosylidene carbenes into B-C and Al-C bonds to give glycosylborinates, -boranes, and -alanes)

INDEX TERM: Crystal structure
 (of glycosylborinate derivative)

INDEX TERM: 292149-77-0P
 ROLE: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (crystal structure of;; insertion reaction of glycosylidene carbenes into B-C and Al-C bonds to give glycosylborinates, -boranes, and -alanes)

INDEX TERM: 30169-71-2 99966-27-5 126709-14-6 154125-88-9
 255843-77-7 255843-78-8 292149-75-8
 ROLE: RCT (Reactant); RACT (Reactant or reagent)
 (insertion reaction of glycosylidene carbenes into B-C and Al-C bonds to give glycosylborinates, -boranes, and -alanes)

INDEX TERM: 255843-74-4P 255843-81-3P 255843-82-4P 255843-83-5P
 255843-84-6P
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (insertion reaction of glycosylidene carbenes into B-C and Al-C bonds to give glycosylborinates, -boranes, and -alanes)

INDEX TERM: 4132-32-5P 105938-02-1P 118436-89-8P
 130912-27-5P 141197-14-0P 184877-44-9P 255843-71-1P
 255843-72-2P 255843-75-5P 255843-76-6P 255843-79-9P
 255843-80-2P 292149-76-9P 292149-78-1P 292149-79-2P
 292149-80-5P 292149-81-6P 292149-82-7P 292149-83-8P
 292149-84-9P 292149-85-0P 292149-86-1P 292149-87-2P
 292149-88-3P 292149-89-4P 292149-90-7P
 ROLE: SPN (Synthetic preparation); PREP (Preparation)
 (insertion reaction of glycosylidene carbenes into B-C and Al-C bonds to give glycosylborinates, -boranes, and -alanes)

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD.

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V12, P227 HCAPLUS

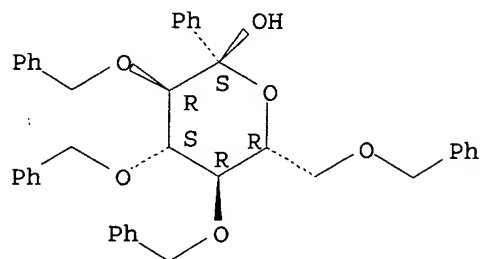
IT 118436-89-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(insertion reaction of glycosylidene carbenes into B-C and Al-C bonds
to give glycosylborinates, -boranes, and -alanes)

RN 118436-89-8 HCAPLUS

CN α -D-Glucopyranose, 1-C-phenyl-2,3,4,6-tetrakis-O-(phenylmethyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L27 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:470151 HCAPLUS

DOCUMENT NUMBER: 117:70151

ENTRY DATE: Entered STN: 23 Aug 1992

TITLE: Synthesis of C-glycopyranosyl compounds by a palladium-catalyzed coupling reaction of 1-tributylstannyl-D-glucals with organic halides

AUTHOR(S): Dubois, Eric; Beau, Jean Marie

CORPORATE SOURCE: Lab. Biochim. Struct., Univ. Orleans, Orleans, F-45067, Fr.

SOURCE: Carbohydrate Research (1992), 228(1), 103-20

CODEN: CRBRAT; ISSN: 0008-6215

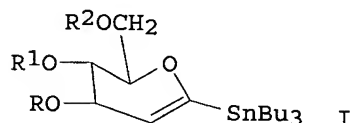
DOCUMENT TYPE: Journal

LANGUAGE: English

CLASSIFICATION: 33-3 (Carbohydrates)

OTHER SOURCE(S): CASREACT 117:70151

GRAPHIC IMAGE:



ABSTRACT:

Tributylstannyl-D-glucals I ($R-R_2 = CH_2Ph$; $R = CH_2Ph$, $SiMe_2CMe_3$, $R_1R_2 = CHPh$) prepared from the corresponding 1-phenylsulfonyl-D-glucals, were coupled efficiently to various organic halides in the presence of a $Pd(0)$ catalyst. This mild reaction is specially useful for the preparation of 1-C-aryl-D-glucals and compatible with unprotected hydroxy groups or hindered aromatic bromides. It has been shown that the resulting 1-C-aryl(alkyl)-D-glycals are suited for further synthetic manipulation of the enol ether group, including stereoselective hydrogenation, hydroboration-oxidation, or epoxidn. All compds. formed resulted from the attack of the α -face of the glucal derivs. by the reagent. The reaction, extended to 1,3-, 1,4-di-, and 1,3,5-tri-bromobenzenes, leads to the corresponding sym. di-(tri)-C-glucosylbenzenes. Finally, a sequential di-C-glucosylation of 1,3-dibromobenzene with two different 1-stannylated glucals was obtained.

SUPPL. TERM: tributylstannylglucal coupling org halide; glycal
tributylstannyl coupling org halide; C glycosidation glycal
palladium catalyst; glycoside C

INDEX TERM: Coupling reaction
(of tributylstannylglucals with organic halides)

INDEX TERM: Coupling reaction catalysts
Glycosidation catalysts
(palladium, for tributylstannylglucals with organic halides)

INDEX TERM: Glycosidation
(C-, of tributylstannylglucals with organic halides)

INDEX TERM: Glycosides
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(C-, preparation of)

INDEX TERM: 100-39-0, Benzyl bromide 104-92-7, 4-Bromoanisole
106-37-6, 1,4-Dibromobenzene 106-95-6, Allyl bromide,
reactions 108-36-1, 1,3-Dibromobenzene 108-86-1,
Bromobenzene, reactions 122-04-3 593-60-2, Vinyl bromide
626-39-1, 1,3,5-Tribromobenzene 1725-82-2,
3-Iodo-2-propyn-1-ol 18982-54-2 67093-26-9 142270-19-7
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with tributylstannylglucal derivative, in
presence of palladium)

INDEX TERM: 38184-10-0
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)

INDEX TERM: 130940-56-6P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with tributylstannylglucal
derivative)

INDEX TERM: 64978-34-3P 142270-08-4P 142393-18-8P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and elimination reaction of)

INDEX TERM: 101696-02-0P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and etherification of)

INDEX TERM: 129171-17-1P 142270-07-3P 142393-17-7P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

INDEX TERM: 130912-29-7P 142270-14-2P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with borane and di-Me sulfide)

INDEX TERM: 64978-35-4P 142393-19-9P 142393-20-2P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with tributyltin hydride)

INDEX TERM: 105938-01-0P 142393-21-3P 142393-22-4P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and C-glycosidation of, in presence of palladium)

INDEX TERM: 130912-27-5P 130912-30-0P 130912-31-1P 130912-32-2P
130912-38-8P 130912-39-9P 130912-40-2P 130912-42-4P
130940-55-5P 130940-57-7P 142270-09-5P 142270-10-8P
142270-11-9P 142270-12-0P 142270-13-1P
142270-15-3P 142270-16-4P 142270-17-5P
142270-18-6P 142433-32-7P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

INDEX TERM: 71676-30-7

ROLE: RCT (Reactant); RACT (Reactant or reagent)
(silylation of)

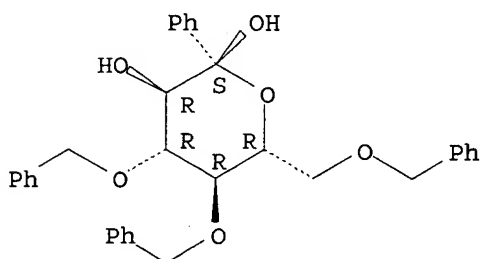
IT 142270-15-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 142270-15-3 HCAPLUS

CN α -D-Glucopyranose, 1-C-phenyl-3,4,6-tris-O-(phenylmethyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

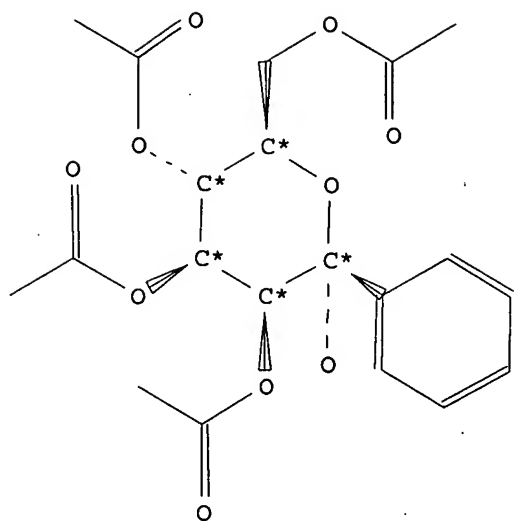


=> d l24 ide

YOU HAVE REQUESTED DATA FROM FILE 'BEILSTEIN' - CONTINUE? (Y)/N:y

L24 ANSWER 1 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN):	7259179
Chemical Name (CN):	2,3,4,6-Tetra-O-acetyl-1-C-phenyl- α -D-mannopyranose
Autonom Name (AUN):	acetic acid 4,5-diacetoxy-6-acetoxymethyl-2-hydroxy-2-phenyl-tetrahydro-pyran-3-yl ester
Molec. Formula (MF):	C20 H24 O10
Molecular Weight (MW):	424.40
Lawson Number (LN):	10190, 1155
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	1720179
Tautomer ID (TAUTID):	6897416
Beilstein Citation (BSO):	6-08
Entry Date (DED):	1995/10/31
Update Date (DUPD):	1996/08/09



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXPRO	Substance is Reaction Product	2

=> d 124 rx 1

YOU HAVE REQUESTED DATA FROM FILE 'BEILSTEIN' - CONTINUE? (Y)/N:y

L24 ANSWER 1 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 4209831
 Reactant BRN (.RBRN): 99078

Reactant (.RCT): (1S)-tetra-O-acetyl-1-phenyl-1,5-anhydro-D-mannitol
Product BRN (.PBRN): 7259179
Product (.PRO): 2,3,4,6-Tetra-O-acetyl-1-C-phenyl- α -D-mannopyranose
No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 4209831.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): Br₂
Solvent (.SOL): CCl₄, H₂O
Time (.TIM): 15 min
Other Conditions (.COND): Irradiation
Note(s) (.COM): Yield given
Reference(s):
1. Cettour, Pierre; Descotes, Gerard; Praly, Jean-Pierre,
J.Carbohydr.Chem., CODEN: JCACDM, 14(3), <1995>, 445-450; BABS-5962950

Reaction:

RX

Reaction ID (.ID): 4209830
Reactant BRN (.RBRN): 99077
Reactant (.RCT): (1R)-tetra-O-acetyl-1-phenyl-1,5-anhydro-D-mannitol
Product BRN (.PBRN): 7259179
Product (.PRO): 2,3,4,6-Tetra-O-acetyl-1-C-phenyl- α -D-mannopyranose
No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 4209830.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): Br₂
Solvent (.SOL): CCl₄, H₂O
Time (.TIM): 40 min
Other Conditions (.COND): Irradiation
Note(s) (.COM): Yield given
Reference(s):
1. Cettour, Pierre; Descotes, Gerard; Praly, Jean-Pierre,
J.Carbohydr.Chem., CODEN: JCACDM, 14(3), <1995>, 445-450; BABS-5962950

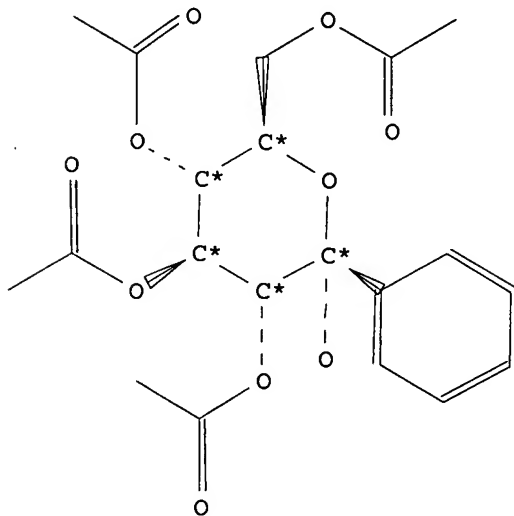
=> d l24 ide 2

YOU HAVE REQUESTED DATA FROM FILE 'BEILSTEIN' - CONTINUE? (Y)/N:y

L24 ANSWER 2 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 7259178
Chemical Name (CN): 2,3,4,6-Tetra-O-acetyl-1-C-phenyl- α -D-glucopyranose
Autonom Name (AUN): acetic acid 4,5-diacetoxy-6-acetoxymethyl-2-hydroxy-2-phenyl-tetrahydro-pyran-3-yl ester
Molec. Formula (MF): C₂₀ H₂₄ O₁₀

Molecular Weight (MW): 424.40
 Lawson Number (LN): 10190, 1155
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): isocyclic
 Constitution ID (CONSID): 1720179
 Tautomer ID (TAUTID): 6897415
 Beilstein Citation (BSO): 6-08
 Entry Date (DED): 1995/10/31
 Update Date (DUPD): 1996/08/09



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	3
ORP	Optical Rotatory Power	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d 124 rx 2

YOU HAVE REQUESTED DATA FROM FILE 'BEILSTEIN' - CONTINUE? (Y)/N:y

L24 ANSWER 2 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 4209833
Reactant BRN (.RBRN): 99080
Reactant (.RCT): (1S)-tetra-O-acetyl-1-phenyl-1,5-anhydro-D-glucitol
Product BRN (.PBRN): 7259178
Product (.PRO): 2,3,4,6-Tetra-O-acetyl-1-C-phenyl- α -D-glucopyranose
No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 4209833.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): Br₂
Solvent (.SOL): CCl₄, H₂O
Time (.TIM): 85 min
Temperature (.T): 0 Cel
Other Conditions (.COND): Irradiation
Note(s) (.COM): Yield given
Reference(s):
1. Cettour, Pierre; Descotes, Gerard; Praly, Jean-Pierre,
J.Carbohydr.Chem., CODEN: JCACDM, 14(3), <1995>, 445-450; BABS-5962950

=>

FILE 'BEILSTEIN' ENTERED AT 14:01:21 ON 03 DEC 2004
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FILE RELOADED ON OCTOBER 20, 2002

FILE LAST UPDATED ON NOVEMBER 3, 2004

FILE COVERS 1771 TO 2004.

*** FILE CONTAINS 9,073,068 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *

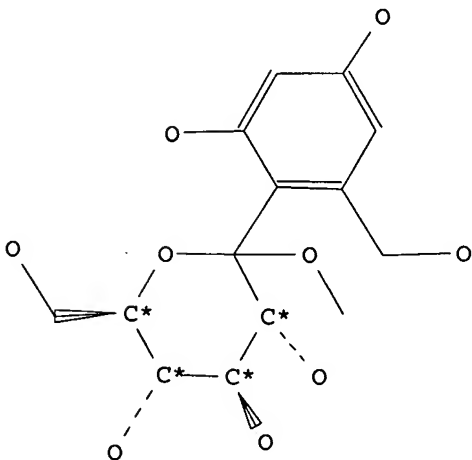
NEW

* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
SEARCHED, SELECTED AND TRANSFERRED.
* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
COMPOUND AT A GLANCE.

=> d l24 ide 3

L24 ANSWER 3 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN):	5997375
Chemical Name (CN):	2-(2,4-dihydroxy-6-hydroxymethyl-phenyl)-6-hydroxymethyl-2-methoxy-tetrahydro-pyran-3,4,5-triol
Autonom Name (AUN):	2-(2,4-dihydroxy-6-hydroxymethyl-phenyl)-6-hydroxymethyl-2-methoxy-tetrahydro-pyran-3,4,5-triol
Molec. Formula (MF):	C14 H20 O9
Molecular Weight (MW):	332.31
Lawson Number (LN):	17695, 289
File Segment (FS):	racemate, Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	5234168
Tautomer ID (TAUTID):	5693412
Beilstein Citation (BSO):	6-17
Entry Date (DED):	1993/07/22
Update Date (DUPD):	1993/07/22



Fragment Notes:

Additionally represents mirror image
Stereo Descriptor: +/-

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

=> d 124 rx 3

L24 ANSWER 3 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 3280502
 Reactant BRN (.RBRN): 6025990
 Reactant (.RCT): 2-(2,4-bis-benzyloxy-6-hydroxymethyl-phenyl)-6-hydroxymethyl-2-methoxy-tetrahydro-pyran-3,4,5-triol
 Product BRN (.PBRN): 5997375
 Product (.PRO): 2-(2,4-dihydroxy-6-hydroxymethyl-phenyl)-6-hydroxymethyl-2-methoxy-tetrahydro-pyran-3,4,5-triol
 No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 3280502.1
 Reaction Classification (.CL): Preparation
 Reagent (.RGT): H2
 Catalyst (.CAT): Pd(OH)2 on C
 Solvent (.SOL): ethyl acetate
 Time (.TIM): 5 hour(s)
 Reference(s):
 1. Danishefsky, Samuel; Phillips, Gary; Ciufolini, Marco, Carbohydr.Res.,
 CODEN: CRBRAT, 171, <1987>, 317-328; BABS-5731893

Reaction:

RX

Reaction ID (.ID): 2379254
Reactant BRN (.RBRN): 5997375, 385737
Reactant (.RCT): 2-(2,4-dihydroxy-6-hydroxymethyl-phenyl)-6-hydroxymethyl-2-methoxy-tetrahydro-pyran-3,4,5-triol, acetic acid anhydride
Product BRN (.PBRN): 6036291
Product (.PRO): spiro 5,7-diacetoxymethylisobenzofuran-1-(3H),1'-(2',3',4',6')-tetra-O-acetyl-DL-glycopyranose
No. of React. Details (.NVAR): 1

Reaction Details:

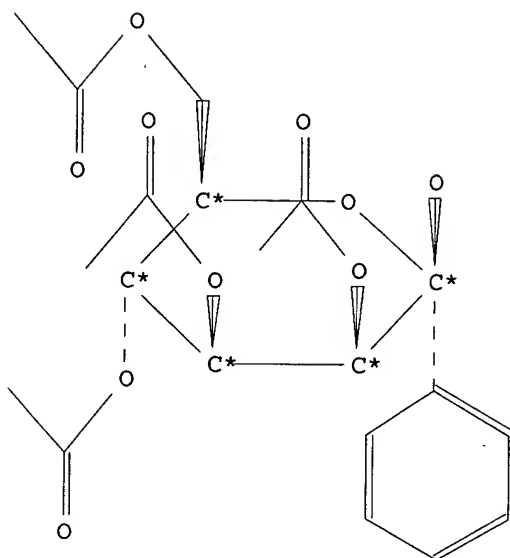
RX

Reaction RID (.RID): 2379254.1
Reaction Classification (.CL): Preparation
Solvent (.SOL): pyridine
Note(s) (.COM): Yield given
Reference(s):
1. Danishefsky, Samuel; Phillips, Gary; Ciufolini, Marco, Carbohydr.Res., CODEN: CRBRAT, 171, <1987>, 317-328; BABS-5731893

=> d 124 ide 4

L24 ANSWER 4 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 1897855
Chemical Name (CN): acetic acid 4,5-diacetoxy-6-acetoxymethyl-2-hydroxy-2-phenyl-tetrahydro-pyran-3-yl ester
Autonom Name (AUN): acetic acid 4,5-diacetoxy-6-acetoxymethyl-2-hydroxy-2-phenyl-tetrahydro-pyran-3-yl ester
Molec. Formula (MF): C20 H24 O10
Molecular Weight (MW): 424.40
Lawson Number (LN): 10190, 1155
File Segment (FS): Stereo compound
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 1720179
Tautomer ID (TAUTID): 1820855
Beilstein Citation (BSO): 5-08
Entry Date (DED): 1989/06/29
Update Date (DUPD): 1989/06/29



Field Availability:

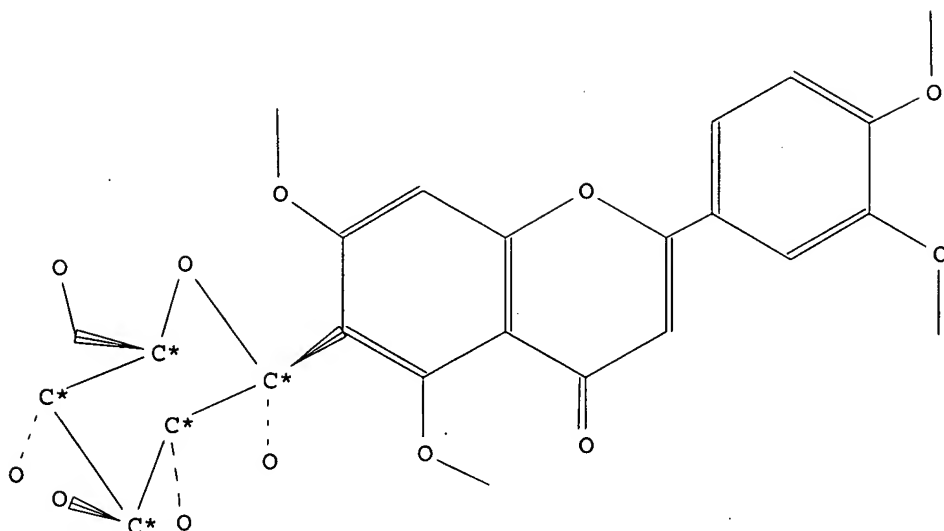
Code	Name	Occurrence
=====	=====	=====
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
NMR	Nuclear Magnetic Resonance	1

=> d 124 ide 5

L24 ANSWER 5 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 1303959
 Chemical Name (CN): Tetra-O-methyl-homoorientin,
 Tetra-O-methyl-isoorientin
 Autonom Name (AUN): 2-(3,4-dimethoxy-phenyl)-5,7-dimethoxy-6-
 (2,3,4,5-tetrahydroxy-6-hydroxymethyl-
 tetrahydro-pyran-2-yl)-chromen-4-one
 Molec. Formula (MF): C25 H28 O12
 Molecular Weight (MW): 520.49
 Lawson Number (LN): 19456, 289
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): heterocyclic

Constitution ID (CONSID): 1305154
 Tautomer ID (TAUTID): 1332168
 Beilstein Citation (BSO): 5-18
 Entry Date (DED): 1988/11/29
 Update Date (DUPD): 1991/01/23



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1
UVS	UV and Visible Spectrum	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

=> d l24 rx 5

L24 ANSWER 5 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 6472061
Product BRN (.PBRN): 1303959
Product (.PRO): Tetra-O-methyl-homoorientin,
Tetra-O-methyl-isoorientin
No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 6472061.1
Reaction Classification (.CL): Preparation (half reaction)
Reference(s):
1. Koeppen et al., Biochem.J., CODEN: BIJOAK, 83, <1962>, 507,508

Reaction:

RX

Reaction ID (.ID): 6242987
Reactant BRN (.RBRN): 1303959
Reactant (.RCT): Tetra-O-methyl-homoorientin,
Tetra-O-methyl-isoorientin
No. of React. Details (.NVAR): 1

Reaction Details:

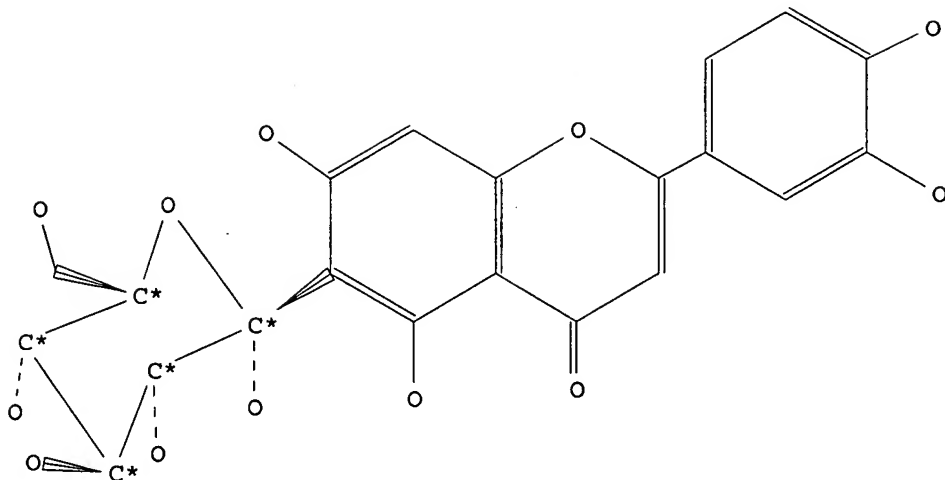
RX

Reaction RID (.RID): 6242987.1
Reaction Classification (.CL): Chemical behaviour (half reaction)
Reference(s):
1. Koeppen et al., Biochem.J., CODEN: BIJOAK, 83, <1962>, 507,508

=> d l24 ide 6

L24 ANSWER 6 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 1303608
Chemical Name (CN): Homoorientin, Isoorientin
Autonom Name (AUN): 2-(3,4-dihydroxy-phenyl)-5,7-dihydroxy-6-(2,3,4,5-tetrahydroxy-6-hydroxymethyl-tetrahydro-pyran-2-yl)-chromen-4-one
Molec. Formula (MF): C21 H20 O12
Molecular Weight (MW): 464.38
Lawson Number (LN): 19456
File Segment (FS): Stereo compound
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 1305690
Tautomer ID (TAUTID): 1346672
Beilstein Citation (BSO): 5-18
Entry Date (DED): 1988/11/29
Update Date (DUPD): 1991/01/23



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
INP	Isolation from Natural Product	6
IR	Infrared Spectrum	1
MP	Melting Point	3
ORP	Optical Rotatory Power	2
RSTR	Related Structure	1
UVS	UV and Visible Spectrum	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXREA	Substance is Reaction Reactant	1

=> d 124 rx 6

L24 ANSWER 6 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 6242912
Reactant BRN (.RBRN): 1303608
Reactant (.RCT): Homoorientin, Isoorientin
No. of React. Details (.NVAR): 1

Reaction Details:

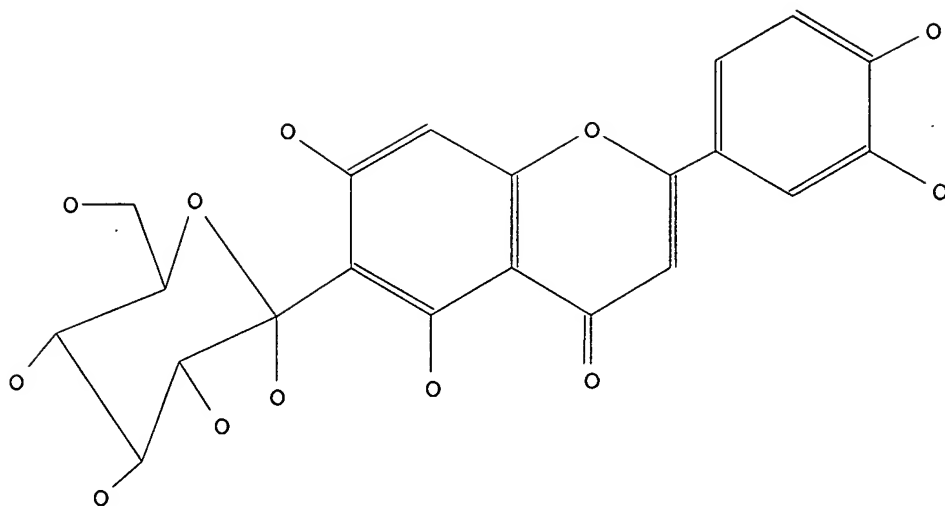
RX

Reaction RID (.RID): 6242912.1
Reaction Classification (.CL): Chemical behaviour (half reaction)
Reference(s):
1. Aritomi, Yakugaku Zasshi, CODEN: YKKZAJ, 83, <1963>, 737,738,
Chem.Abstr., 59(14295), <1963>
2. Paris, C.R.Hebd.Seances Acad.Sci., CODEN: COREAF, 258, <1964>, 6003

=> d l24 ide 7

L24 ANSWER 7 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 1303607
Chemical Name (CN): 2-(3,4-dihydroxy-phenyl)-5,7-dihydroxy-6-(2,3,4,5-tetrahydroxy-6-hydroxymethyl-tetrahydro-pyran-2-yl)-chromen-4-one
Autonom Name (AUN): 2-(3,4-dihydroxy-phenyl)-5,7-dihydroxy-6-(2,3,4,5-tetrahydroxy-6-hydroxymethyl-tetrahydro-pyran-2-yl)-chromen-4-one
Molec. Formula (MF): C21 H20 O12
Molecular Weight (MW): 464.38
Lawson Number (LN): 19456
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 1305690
Tautomer ID (TAUTID): 1345181
Beilstein Citation (BSO): 5-18
Entry Date (DED): 1988/11/29
Update Date (DUPD): 1992/04/28



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
INP	Isolation from Natural Product	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1
RSTR	Related Structure	1

=> d l24 rx 7

L24 ANSWER 7 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

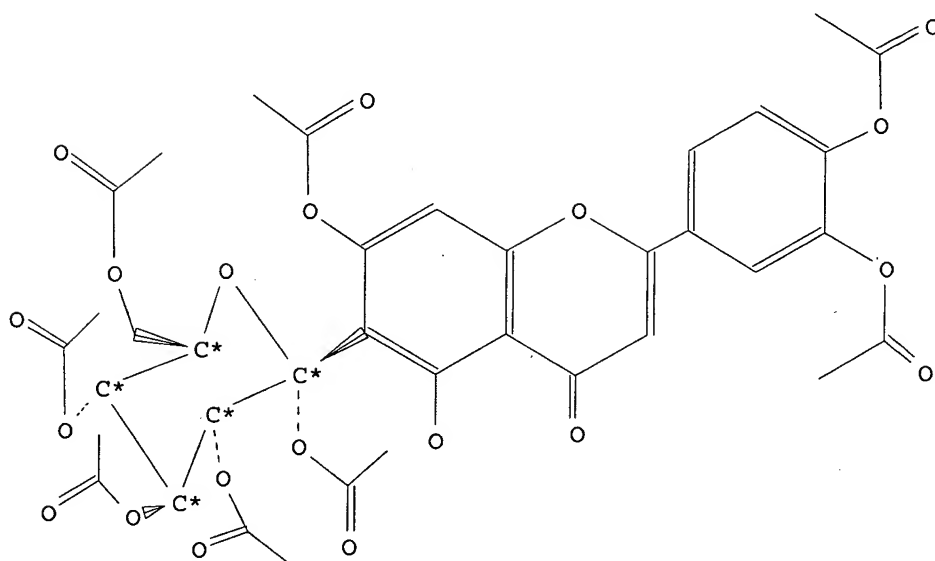
=> d l24 ide 8

L24 ANSWER 8 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 1279427
 Chemical Name (CN): Octa-O-acetyl-homoorientin,
 Octa-O-acetyl-isoorientin
 Autonom Name (AUN): acetic acid 3,4,5-triacetoxy-2-<7-acetoxy-
 2-(3,4-diacetoxy-phenyl)-5-hydroxy-4-oxo-

4H-chromen-6-yl>-6-acetoxymethyl-
tetrahydro-pyran-2-yl ester

Molec. Formula (MF): C37 H36 O20
Molecular Weight (MW): 800.68
Lawson Number (LN): 22781, 1155
File Segment (FS): Stereo compound
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 1217014
Tautomer ID (TAUTID): 1253060
Beilstein Citation (BSO): 5-19
Entry Date (DED): 1988/11/29
Update Date (DUPD): 1991/01/23



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1
UVS	UV and Visible Spectrum	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d l24 rx 8

L24 ANSWER 8 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 6451987
Product BRN (.PBRN): 1279427
Product (.PRO): Octa-O-acetyl-homoorientin,
Octa-O-acetyl-isoorientin
No. of React. Details (.NVAR): 1

Reaction Details:

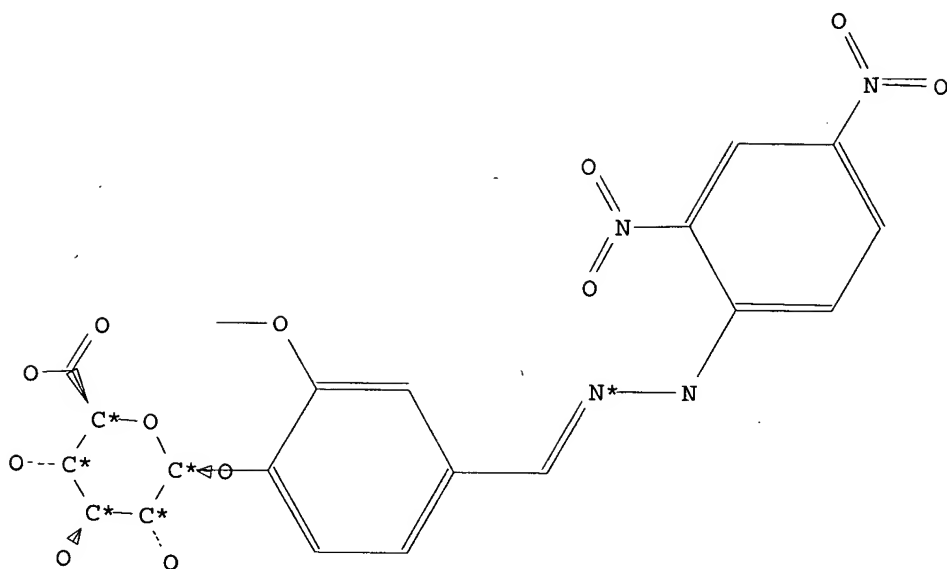
RX

Reaction RID (.RID): 6451987.1
Reaction Classification (.CL): Preparation (half reaction)
Reference(s):
1. Koeppen et al., Biochem.J., CODEN: BIJOAK, 83, <1962>, 507,508

=> d l24 ide 9

L24 ANSWER 9 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 73961
Chemical Name (CN): 01-<4-<(2,4-dinitro-phenylhydrazono)-
methyl>-2-methoxy-phenyl>-β-D-
glucopyranuronic acid
Autonom Name (AUN): 6-<4-<(2,4-dinitro-phenyl)-
hydrazonomethyl>-2-methoxy-phenyl>-3,4,5,6-
tetrahydroxy-tetrahydro-pyran-2-carboxylic
acid
Molec. Formula (MF): C20 H20 N4 O12
Molecular Weight (MW): 508.40
Lawson Number (LN): 16437, 13778, 289
File Segment (FS): Stereo compound
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 78949
Tautomer ID (TAUTID): 90476
Beilstein Citation (BSO): 4-18-00-05129
Entry Date (DED): 1988/06/27
Update Date (DUPD): 1988/06/30



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
CPD	Crystal Property Description	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d l24 rx 9

L24 ANSWER 9 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Reaction:
RX

Reaction ID (.ID): 740592
Reactant BRN (.RBRN): 615586
Reactant (.RCT): (2,4-dinitro-phenyl)-hydrazine
Product BRN (.PBRN): 73961
Product (.PRO): 01-<4-<(2,4-dinitro-phenylhydrazono)-
methyl>-2-methoxy-phenyl>-β-D-
glucopyranuronic acid

No. of React. Details (.NVAR): 1

Reaction Details:

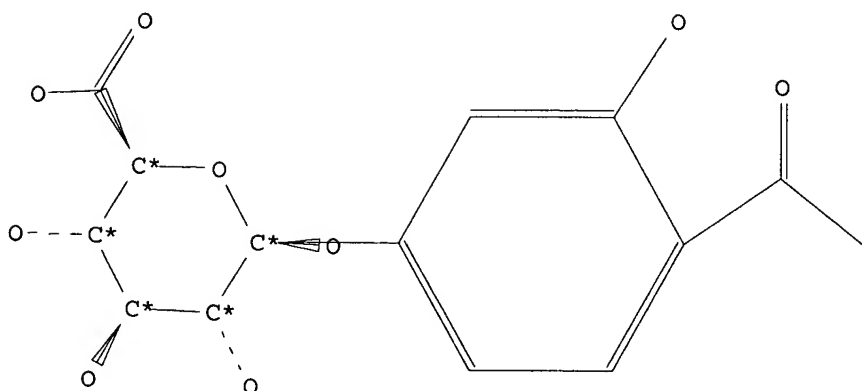
RX

Reaction RID (.RID): 740592.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): sulfuric acid, ethanol
Other Conditions (.COND): Behandeln des nach der Verfuetterung von
Vanillin an Kaninchen erhaltenen Harns mit
wss. Salzsaeure
Note(s) (.COM): Handbook
Reference(s):
1. Sammons; Williams, Biochem.J., CODEN: BIJOAK, 35, <1941>, 1175

=> d l24 ide 10

L24 ANSWER 10 OF 10 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 51238
Chemical Name (CN): 01-(4-acetyl-3-hydroxy-phenyl)-β-D-
glucopyranuronic acid
Autonom Name (AUN): 6-(4-acetyl-3-hydroxy-phenyl)-3,4,5,6-
tetrahydroxy-tetrahydro-pyran-2-carboxylic
acid
Molec. Formula (MF): C14 H16 O9
Molecular Weight (MW): 328.27
Lawson Number (LN): 13780
File Segment (FS): Stereo compound
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 56160
Tautomer ID (TAUTID): 68651
Beilstein Citation (BSO): 4-18-00-05129
Entry Date (DED): 1988/06/27
Update Date (DUPD): 1988/06/30



Field Availability:

Code	Name	Occurrence
=====		
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
RSTR	Related Structure	1

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